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(54) Title: PYRIDYLPROPYNYLOXYPHENYL DERIVATIVES FOR USE AS HERBICIDES

(57) Abstract: Compounds of formula (I), wherein the substituents R_1, R_2, R_3, R_4 and Z and the suffixes n and m are as defined in claim 1, and the agrochemically acceptable salts and all stereoisomers and tautomers of those compounds are suitable for use as herbicides.

PYRIDYLPROPYNYLOXYPHENYL DERIVATIVES FOR USE AS HERBICIDES

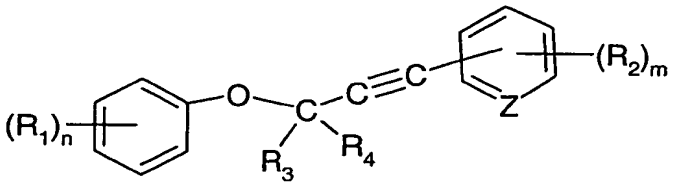
Novel herbicides

The present invention relates to novel herbicidally active pyridyl-alkynes and pyridyl N-oxide-alkynes, to processes for their preparation, to compositions comprising those compounds, and to their use in controlling weeds, especially in crops of useful plants, or in inhibiting plant growth.

Phenylalkynes having herbicidal action are described, for example, in JP-A-11 147 866, WO 01/55066 and PCT Application No. EP01/11353.

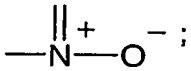
Novel pyridyl-alkynes and pyridyl N-oxide-alkynes having herbicidal and growth-inhibiting properties have now been found.

The present invention accordingly relates to compounds of formula I



(I),

wherein

Z is =N- or  ;

n is 0, 1, 2, 3, 4 or 5;

each R_1 independently of any others is halogen, -CN, -SCN, $-SF_5$, $-NO_2$, $-NR_5R_6$, $-CO_2R_7$, $-CONR_8R_9$, $-C(R_{10})=NOR_{11}$, $-COR_{12}$, $-OR_{13}$, $-SR_{14}$, $-SOR_{15}$, $-SO_2R_{16}$, $-OSO_2R_{17}$, C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl or C_3-C_6cycloalkyl; or is C_1-C_8alkyl, C_2-C_8alkenyl or C_2-C_8alkynyl substituted by one or more halogen, -CN, $-NO_2$, $-NR_{18}R_{19}$, $-CO_2R_{20}$, $-CONR_{21}R_{22}$, $-COR_{23}$, $-C(R_{24})=NOR_{25}$, $-C(S)NR_{26}R_{27}$, $-C(C_1$-C_4alkylthio$)=NR_{28}$, $-OR_{29}$, $-SR_{30}$, $-SOR_{31}$, $-SO_2R_{32}$ or C_3-C_6cycloalkyl substituents; or

each R_1 independently of any others is C_3-C_6cycloalkyl substituted by one or more halogen, -CN, $-NO_2$, $-NR_{18}R_{19}$, $-CO_2R_{20}$, $-CONR_{21}R_{22}$, $-COR_{23}$, $-C(R_{24})=NOR_{25}$, $-C(S)NR_{26}R_{27}$, $-C(C_1$-C_4alkylthio$)=NR_{28}$, $-SR_{30}$, $-SOR_{31}$, $-SO_2R_{32}$ or C_3-C_6cycloalkyl substituents; or

each R_1 independently of any others is phenyl, which may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

two adjacent R_1 together form a C_1-C_7alkylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9; or

two adjacent R_1 together form a C_2-C_7alkenylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9;

R_3 and R_4 are each independently of the other hydrogen, halogen, -CN, C_1-C_4alkyl or C_1-C_4-alkoxy; or

R_3 and R_4 together are C_2-C_5alkylene;

R_5 is hydrogen or C_1-C_8alkyl;

R_6 is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl; wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_5 and R_6 together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_7 is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_8 is hydrogen or C_1-C_8alkyl;

R_9 is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl or –CN substituents, or

R_9 is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_8 and R_9 together are C_2-C_5alkylene;

R_{10} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{11} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;

R_{12} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{13} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl; or

R_{13} is phenyl or phenyl-C_1-C_6alkyl, wherein both phenyl rings may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents, or

R_{13} is C_1-C_8alkyl substituted by one or more halogen, –CN, C_1-C_6alkylamino, di(C_1-C_6alkyl)-amino or C_1-C_4alkoxy substituents;

R_{14} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{15}, R_{16} and R_{17} are each independently of the others C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8-alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{18} is hydrogen or C_1-C_8alkyl;

R_{19} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{18} and R_{19} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{21} is hydrogen or C_1-C_8alkyl;

R_{22} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or

R_{22} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{21} and R_{22} together are C_2-C_5alkylene;

R_{23} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{24} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{25} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;

R_{26} is hydrogen or C_1-C_8alkyl;

R_{27} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or

R_{27} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{26} and R_{27} together are C_2-C_5alkylene;

R_{28} is hydrogen or C_1-C_8alkyl;

R_{29} and R_{30} are each independently of the other hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{31} and R_{32} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

m is 0, 1, 2, 3 or 4;

each R_2 independently of any others is halogen, -CN, -SCN, -OCN, $-N_3$, $-SF_5$, $-NO_2$, $-NR_{33}R_{34}$, $-CO_2R_{35}$, $-CONR_{36}R_{37}$, $-C(R_{38})=NOR_{39}$, $-COR_{40}$, $-OR_{41}$, $-SR_{42}$, $-SOR_{43}$, $-SO_2R_{44}$, $-OSO_2R_{45}$, $-N([CO]_pR_{46})COR_{47}$, $-N(OR_{54})COR_{55}$, $-N(R_{56})SO_2R_{57}$, $-N(SO_2R_{58})SO_2R_{59}$, $-N=C(OR_{60})R_{61}$, $-CR_{62}(OR_{63})OR_{64}$, $-OC(O)NR_{65}R_{66}$, $-SC(O)NR_{67}R_{68}$, $-OC(S)NR_{69}R_{70}$ or -N-phthalimide; or

R_2 is a 5- to 7-membered heterocyclic ring system which may be aromatic or partially or fully saturated and may contain from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur, it being possible for that heterocyclic ring system in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, hydroxy-C_1-C_4alkyl, C_1-C_4alkoxy, C_1-C_4alkoxy-C_1-C_4alkyl, -CN, $-NO_2$, C_1-C_6alkylthio, C_1-C_6alkylsulfinyl or C_1-C_6alkylsulfonyl substituents;

R_{33} is hydrogen or C_1-C_8alkyl; and

R_{34} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{33} and R_{34} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_{35} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4halo-alkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{36} is hydrogen or C_1-C_8alkyl;

R_{37} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or

R_{37} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{36} and R_{37} together are C_3-C_5alkylene;

R_{38} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{39} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;

R_{40} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_8alkylthio, -C(O)-C(O)OC_1-C_4alkyl or C_3-C_6-cycloalkyl;

R_{41} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_8alkyl-carbonyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_1-C_6alkoxy-C_1-C_6alkoxycarbonyl, C_1-C_6alkylthio-C_1-C_6alkyl, C_1-C_6alkylsulfinyl-C_1-C_6alkyl or C_1-C_6alkylsulfonyl-C_1-C_6alkyl; or

R_{41} is phenyl or phenyl-C_1-C_6alkyl, wherein both phenyl rings may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, or -$S(O)_2C_1$-C_8alkyl substituents, or

R_{41} is C_1-C_8alkyl substituted by one or more –COOH, C_1-C_8alkoxycarbonyl, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or –CN substituents;

R_{42} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{43} and R_{44} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{45} is C_1-C_8alkyl, C_1-C_8alkyl substituted by one or more halogen, -CN or C_1-C_4alkoxy substituents, C_3-C_8alkenyl or C_3-C_8alkynyl, or

R_{45} is phenyl, it being possible for the phenyl ring to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{46} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_4haloalkyl;

R_{47} is hydrogen, C_1-C_8alkyl, C_1-C_4alkoxy, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, -CN, C_1-C_4alkoxy, C_1-C_8alkoxycarbonyl, -NH_2, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, -$NR_{48}COR_{49}$, -$NR_{50}SO_2R_{51}$ or -$NR_{52}CO_2R_{53}$ substituents, or

R_{47} is phenyl or benzyl, each of which may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

p is 0 or 1;

R_{48}, R_{49}, R_{50}, R_{51}, R_{52} and R_{53} are each independently of the others hydrogen, C_1-C_8alkyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic radicals in turn to be substituted by one or more halogen, C_1-C_8alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, -NH_2, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{54} and R_{55} are each independently of the other hydrogen, C_1-C_8alkyl or phenyl, whereby the phenyl ring may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{56} is hydrogen, C_1-C_8alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_3-C_8alkenyl, C_3-C_8alkynyl or benzyl, it being possible for benzyl in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{57} is C_1-C_8alkyl, C_1-C_4haloalkyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic rings to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, -NH_2, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{58} and R_{59} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic rings to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, -NH_2, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{60} and R_{61} are each independently of the other hydrogen or C_1-C_6alkyl;

R_{62}, R_{63} and R_{64} are each independently of the others hydrogen or C_1-C_8alkyl, or

R_{63} and R_{64} together form a C_2-C_5alkylene bridge;

R_{65}, R_{66}, R_{67}, R_{68}, R_{69} and R_{70} are each independently of the others hydrogen or C_1-C_8alkyl, or

R_{65} and R_{66} together or R_{67} and R_{68} together or R_{69} and R_{70} together form a C_2-C_5alkylene bridge; or

each R_2 independently of any others is C_1-C_8alkyl, or is C_1-C_8alkyl mono- or poly-substituted by halogen, -CN, -N_3, -SCN, -NO_2, -$NR_{71}R_{72}$, -CO_2R_{73}, -$CONR_{74}R_{75}$, -COR_{76}, -$C(R_{77})$=NOR_{78}, -$C(S)NR_{79}R_{80}$, -$C(C_1$-C_4alkylthio)=NR_{81}, -OR_{82}, -SR_{83}, -SOR_{84}, -SO_2R_{85}, -$O(SO_2)R_{86}$, -$N(R_{87})CO_2R_{88}$, -$N(R_{89})COR_{90}$, -$S^+(R_{91})_2$, -$N^+(R_{92})_3$, -$Si(R_{93})_3$ or C_3-C_6cycloalkyl; or

each R_2 independently of any others is C_1-C_8alkyl substituted by a 5- to 7-membered heterocyclic ring system, which may be aromatic or partially or fully saturated and may contain from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur, it being possible for that heterocyclic ring system in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, hydroxy-C_1-C_4alkyl, C_1-C_4alkoxy, C_1-C_4alkoxy-C_1-C_4alkyl, -CN, -NO_2, C_1-C_6alkylthio, C_1-C_6alkylsulfinyl or C_1-C_6alkylsulfonyl substituents; or

each R_2 independently of any others is C_2-C_8alkenyl, or is C_2-C_8alkenyl mono- or poly-substituted by halogen, -CN, -NO_2, -CO_2R_{94}, -$CONR_{95}R_{96}$, -COR_{97}, -$C(R_{98})$=NOR_{99}, -$C(S)NR_{100}R_{101}$, -$C(C_1$-C_4alkylthio)=NR_{102}, -OR_{103}, -$Si(R_{104})_3$ or C_3-C_6cycloalkyl; or

each R_2 independently of any others is C_2-C_8alkynyl, or is C_2-C_8alkynyl mono- or poly-substituted by halogen, -CN, -CO_2R_{105}, -$CONR_{106}R_{107}$, -COR_{108}, -$C(R_{109})$=NOR_{110}, -$C(S)NR_{111}R_{112}$, -$C(C_1$-C_4alkylthio)=NR_{113}, -OR_{114}, -$Si(R_{115})_3$ or C_3-C_6cycloalkyl; or

each R_2 independently of any others is C_3-C_6cycloalkyl, or is C_3-C_6cycloalkyl mono- or poly-substituted by halogen, -CN, -CO_2R_{116}, -$CONR_{117}R_{118}$, -COR_{119}, -$C(R_{120})$=NOR_{121}, -$C(S)NR_{122}R_{123}$ or -$C(C_1$-C_4alkylthio)=NR_{124}; or

two adjacent R_2 together form a C_1-C_7alkylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9; or

two adjacent R_2 together form a C_2-C_7alkenylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9;

R_{71} is hydrogen or C_1-C_8alkyl;

R_{72} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{71} and R_{72} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_{73} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, it being possible for phenyl in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{74} is hydrogen or C_1-C_8alkyl;

R_{75} is hydrogen, C_1-C_8alkyl or C_3-C_7cycloalkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl, C_1-C_6alkoxy or –CN substituents; or

R_{75} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{74} and R_{75} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or sulfur atom;

R_{76} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{77} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{78} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl; and

R_{79} is hydrogen or C_1-C_8alkyl;

R_{80} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or

R_{80} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{79} and R_{80} together are C_2-C_5alkylene;
R_{81} is hydrogen or C_1-C_8alkyl;
R_{82} is -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_8alkyl, whereby C_1-C_8alkyl is mono- or poly-substituted by halogen, -CN, -NH_2, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or C_1-C_4alkoxy;
R_{83} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_8alkyl, whereby C_1-C_8alkyl is mono- or poly-substituted by halogen, -CN, -NH_2, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or C_1-C_4alkoxy;
R_{84}, R_{85} and R_{86} are each independently of the others C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8-alkynyl, or C_1-C_8alkyl which is substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;
R_{87} and R_{89} are each independently of the other hydrogen, C_1-C_8alkyl or C_1-C_8alkoxy;
R_{88} is C_1-C_8alkyl;
R_{90} is hydrogen or C_1-C_8alkyl;
R_{91} is C_1-C_4alkyl;
R_{92} and R_{93} are each independently of the other C_1-C_6alkyl;
R_{94} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{95} is hydrogen or C_1-C_8alkyl;
R_{96} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{96} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{95} and R_{96} together are C_2-C_5alkylene;
R_{97} and R_{98} are each independently of the other hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{99} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{100} is hydrogen or C_1-C_8alkyl;
R_{101} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or

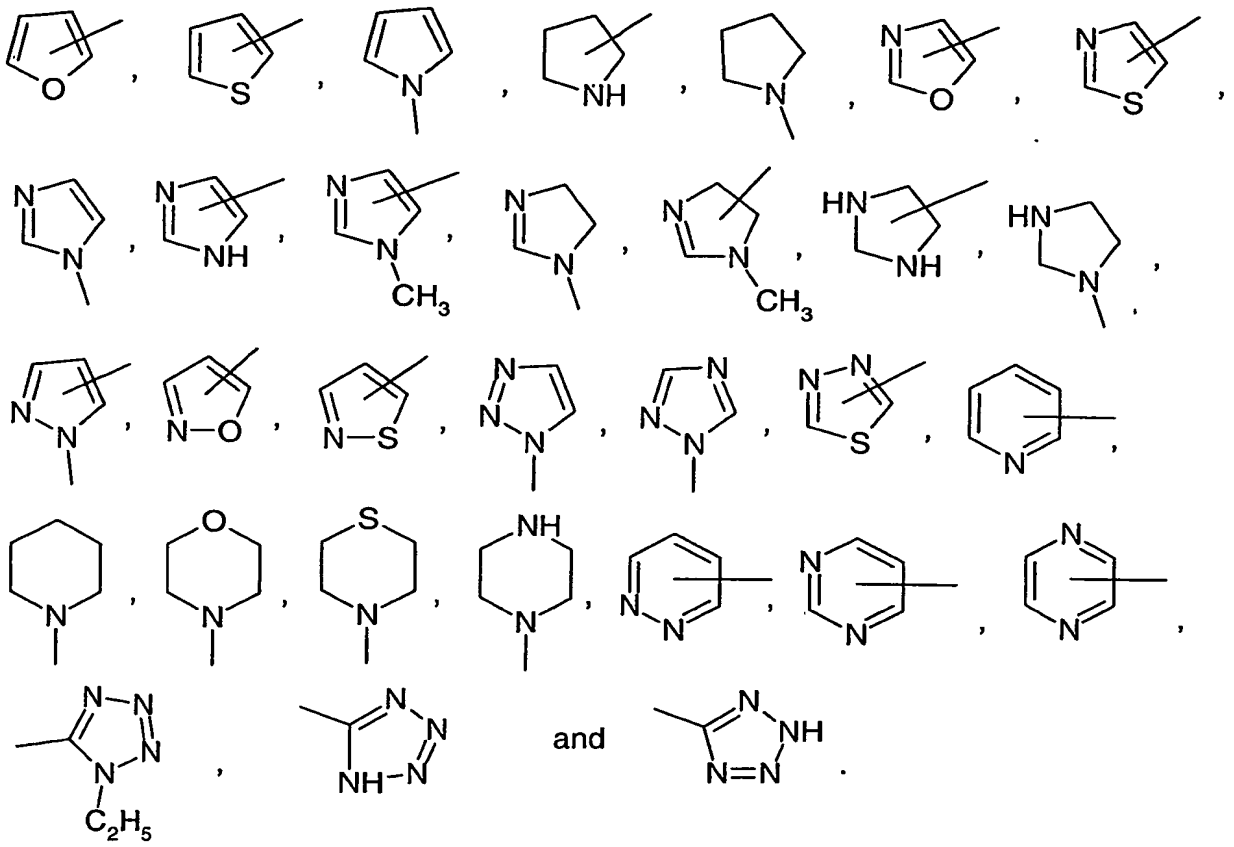
R_{101} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{100} and R_{101} together are C_2-C_5alkylene;
R_{102} is hydrogen or C_1-C_8alkyl;
R_{103} is hydrogen, C_1-C_8alkyl, -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl or C_3-C_8alkynyl;
R_{104} is C_1-C_6alkyl;
R_{105} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{106} is hydrogen or C_1-C_8alkyl;
R_{107} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{107} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{106} and R_{107} together are C_2-C_5alkylene;
R_{108} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{109} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{110} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{111} is hydrogen or C_1-C_8alkyl;
R_{112} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{112} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{111} and R_{112} together are C_2-C_5alkylene;
R_{113} is hydrogen or C_1-C_8alkyl;
R_{114} is hydrogen, C_1-C_8alkyl, -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl or C_3-C_8alkynyl;
R_{115} is C_1-C_6alkyl;
R_{116} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{117} is hydrogen or C_1-C_8alkyl;

R_{118} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl or –CN substituents; or
R_{118} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{117} and R_{118} together are C_2-C_5alkylene;
R_{119} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{120} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{121} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{122} is hydrogen or C_1-C_8alkyl;
R_{123} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{123} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{122} and R_{123} together are C_2-C_5alkylene; and
R_{124} is hydrogen or C_1-C_8alkyl,
and to the agrochemically acceptable salts and all stereoisomers and tautomers of the compounds of formula I.

When n is 0, all the free valencies on the phenyl ring of the compounds of formula I are substituted by hydrogen. When m is 0, all the free valencies on the pyridyl ring of the compounds of formula I are substituted by hydrogen.

Examples of substituents that are formed when R_5 and R_6 together or R_{18} and R_{19} together or R_{36} and R_{37} together or R_{74} and R_{75} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom, are piperidine, morpholine, thiomorpholine and pyrrolidine.

Examples of heterocyclic ring systems, which may be aromatic or partially or fully saturated, in the definition of R_2 are:



The alkyl groups appearing in the definitions of substituents may be straight-chain or branched and are, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, and also the isomers of pentyl, hexyl, heptyl, octyl, nonyl and decyl.

Halogen is fluorine, chlorine, bromine and iodine, preferably fluorine and chlorine. Haloalkyl is, for example, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, pentafluoroethyl, 1,1-difluoro-2,2,2-trichloroethyl, 2,2,3,3-tetrafluoroethyl and 2,2,2-trichloroethyl; preferably trichloromethyl, difluorochloromethyl, difluoromethyl, trifluoromethyl and dichlorofluoromethyl.

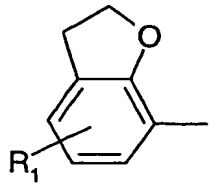
Alkoxy groups have preferably a chain length of from 1 to 6, especially from 1 to 4, carbon atoms. Alkoxy is, for example, methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy, and also the pentyloxy and hexyloxy isomers; preferably methoxy and ethoxy.

Alkoxy, alkenyl, alkynyl, alkoxyalkyl, alkylthio, alkylsulfonyl, alkylsulfinyl, alkylaminoalkoxy, alkoxycarbonyl, alkylcarbonyloxy, alkenylthio, alkenylsulfonyl, alkenylsulfinyl, alkynylsulfonyl, alkynylthio and alkynylsulfinyl groups are derived from the mentioned alkyl radicals. The alkenyl and alkynyl groups can be mono- or poly-unsaturated. Alkenyl is to be understood as being, for example, vinyl, allyl, methallyl, 1-methylvinyl or but-2-en-1-yl. Alkynyl is, for example, ethynyl, propargyl, but-2-yn-1-yl, 2-methylbutyn-2-yl or but-3-yn-2-yl.

Alkylthio groups have preferably a chain length of from 1 to 4 carbon atoms. Alkylthio is, for example, methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec-butylthio or tert-butylthio, preferably methylthio and ethylthio. Alkylsulfinyl is, for example, methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, n-butylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl or tert-butylsulfinyl; preferably methylsulfinyl or ethylsulfinyl.
Alkylsulfonyl is, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl or tert-butylsulfonyl; preferably methylsulfonyl or ethylsulfonyl.

Alkoxyalkyl groups have preferably from 1 to 6 carbon atoms. Alkoxyalkyl is, for example, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, n-propoxymethyl, n-propoxyethyl, isopropoxymethyl or isopropoxyethyl.

Substituents wherein two adjacent R_1 together form a C_1-C_7alkylene bridge which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9, or two adjacent R_1 together form a C_2-C_7alkenylene bridge which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9, have, for example, the following structures: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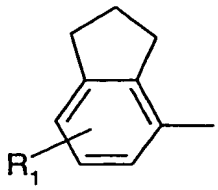
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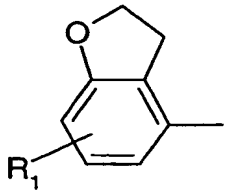
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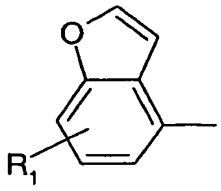
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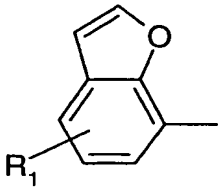
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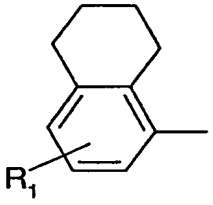
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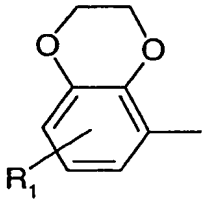
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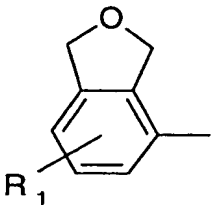
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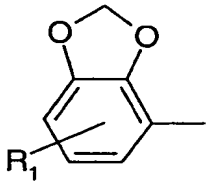
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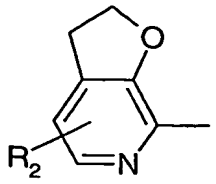
Substituents wherein two adjacent R_2 together form a C_1-C_7alkylene bridge which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9, or two adjacent R_2 together form a C_2-C_7alkenylene bridge which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9, have, for example, the following structures: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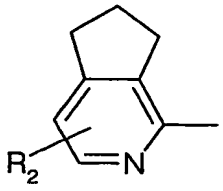
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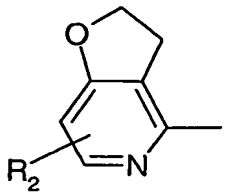
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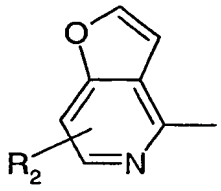
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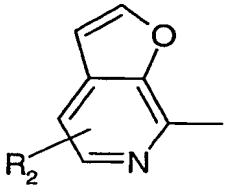
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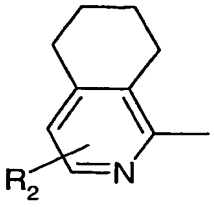
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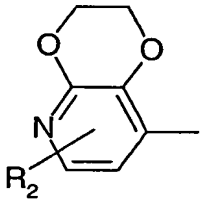
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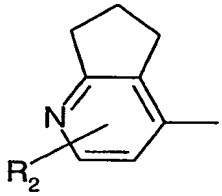
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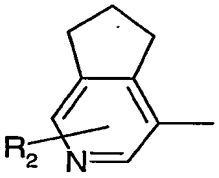
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The invention relates also to the salts which the compounds of formula I are able to form especially with amines, alkali metal and alkaline earth metal bases or quaternary ammonium bases. Suitable salt-formers are described, for example, in WO 98/41089.

Among the alkali metal and alkaline earth metal hydroxides as salt formers, special mention should be made of the hydroxides of lithium, sodium, potassium, magnesium and calcium, but especially the hydroxides of sodium and potassium.

Examples of amines suitable for ammonium salt formation include ammonia as well as primary, secondary and tertiary C_1-C_{18}alkylamines, C_1-C_4hydroxyalkylamines and C_2-C_4-alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine, isopropylamine, the four butylamine isomers, n-amylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methylethylamine, methylisopropylamine, methylhexylamine, methylnonylamine, methylpentadecylamine, methyloctadecylamine, ethylbutylamine, ethylheptylamine, ethyloctylamine, hexylheptylamine, hexyloctylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-

diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, dibutenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amines, for example pyridine, quinoline, isoquinoline, morpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o-, m- and p-toluidines, phenylenediamines, benzidines, naphthylamines and o-, m- and p-chloroanilines; but especially triethylamine, isopropylamine and diisopropylamine.

Preferred quaternary ammonium bases suitable for salt formation correspond e.g. to the formula $[N(R_a R_b R_c R_d)]OH$ wherein R_a, R_b, R_c and R_d are each independently of the other C_1-C_4alkyl. Other suitable tetraalkylammonium bases with other anions can be obtained, for example, by anion exchange reactions.

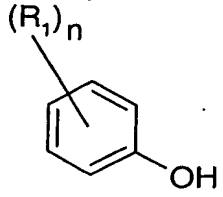
Preferred compounds of formula I are those wherein Z is =N-; and each R_2 independently of any others is C_2-C_8alkenyl, or is C_2-C_8alkenyl mono- or poly-substituted by -CN, $-NO_2$, $-CO_2R_{94}$, $-CONR_{95}R_{96}$, $-COR_{97}$, $-C(R_{98})=NOR_{99}$, $-C(S)NR_{100}R_{101}$, $-C(C_1$-C_4alkylthio$)=NR_{102}$, $-OR_{103}$, $-Si(R_{104})_3$ or C_3-C_6cycloalkyl.

Further preferred compounds of formula I are those wherein each R_2 independently of any others is halogen, -CN, -SCN, -OCN, $-N_3$, $-CONR_{36}R_{37}$, $-C(R_{38})=NOR_{39}$, $-COR_{40}$, $-OR_{41}$, $-SO_2R_{45}$, $-N([CO]_pR_{46})COR_{47}$, $-N(R_{56})SO_2R_{57}$, $-N(SO_2R_{58})SO_2R_{59}$, $-N=C(OR_{60})R_{61}$ or C_1-C_8alkyl, or is C_1-C_8alkyl mono- or poly-substituted by halogen, -CN, $-N_3$, -SCN, $-CONR_{74}R_{75}$, $-COR_{76}$, $-C(R_{77})=NOR_{78}$, $-C(S)NR_{79}R_{80}$, $-OR_{82}$, $-SOR_{84}$, $-SO_2R_{85}$ or $-N(R_{89})COR_{90}$.

Preference is likewise given to compounds of formula I wherein each R_1 independently of any others is halogen, -CN, C_1-C_3alkyl, C_1-C_3haloalkyl, C_1-C_3cyanoalkyl, $-OR_{13}$ or $-C(R_{24})=NOR_{25}$; R_{13} is C_1-C_3alkyl or di(C_1-C_4-alkyl)amino-C_1-C_4alkyl; R_{24} is hydrogen or methyl; and R_{25} is hydrogen or C_1-C_3alkyl.

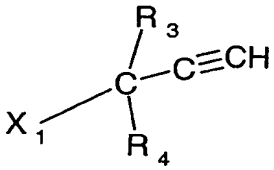
Also of importance are compounds of formula I wherein R_3 and R_4 are each independently of the other hydrogen or methyl.

The compounds of formula I can be prepared by methods known *per se* described, for example, in Tetrahedron 1997 (53), 12621-12628; Helv. Chim. Acta 2000 (83), 650-657; J. Chem. Res., Synop. 1996 (10), 462-463; Org. Prep. Proc. Int. 1995 (27), 129-160; Tetrahedron Organic Chemistry 2000 (20), 209-213; and K. Sonogashira in "Comprehensive Organic Synthesis", Editors I. Fleming *et al.*, Pergamon, Oxford 1991, Vol. 3, page 521 ff., for example by reacting a compound of formula II



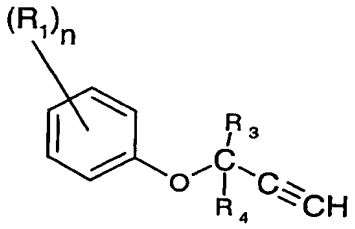
(II),

wherein R_1 and n are as defined for formula I, in the presence of a base, with a compound of formula III



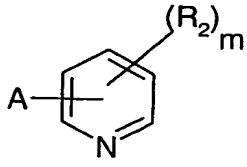
(III),

wherein R_3 and R_4 are as defined for formula I and X_1 is O-tosyl, O-mesyl, chlorine, bromine or iodine, to form a compound of formula IV

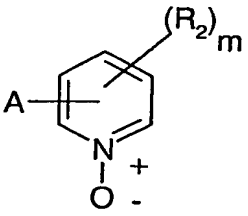


(IV),

wherein R_1, R_3, R_4 and n are as defined, and then coupling that compound with a compound of formula V or Va

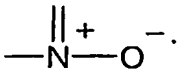


(V) or



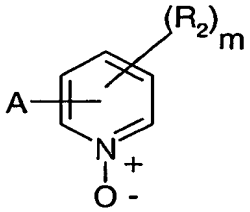
(Va),

wherein R_2 and m are as defined for formula I and A is a leaving group, e.g. halogen or trifluoromethanesulfonate, in the presence of a palladium catalyst, and, if desired, oxidising

the resulting pyridine derivative of formula I wherein Z is =N- to form the corresponding pyridine N-oxide of formula I wherein Z is  .

The preparation of the compounds of formula I can be carried out e.g. according to the individual Schemes 1, 2, 3, 4 and 5. For the individual synthesis schemes it is generally true that various substituents R_2 in a compound of formula V or Va are either already present at the outset or can be introduced in succession, for example by nucleophilic or electrophilic aromatic substitution.

Similarly, the compound of formula V may at the outset already be in the form of the pyridine N-oxide derivative of formula Va

 (Va).

If desired, however, the N-oxide function can be introduced into the pyridyl ring of the compound of formula I wherein Z is =N- only at the end of the synthesis sequence, *via* oxidation by conventional methods, e.g. with hydrogen peroxide or organic peracids.

According to Reaction Scheme 1, the compounds of formula I can be obtained, for example, from substituted phenyl propargyl ethers of formula IV.

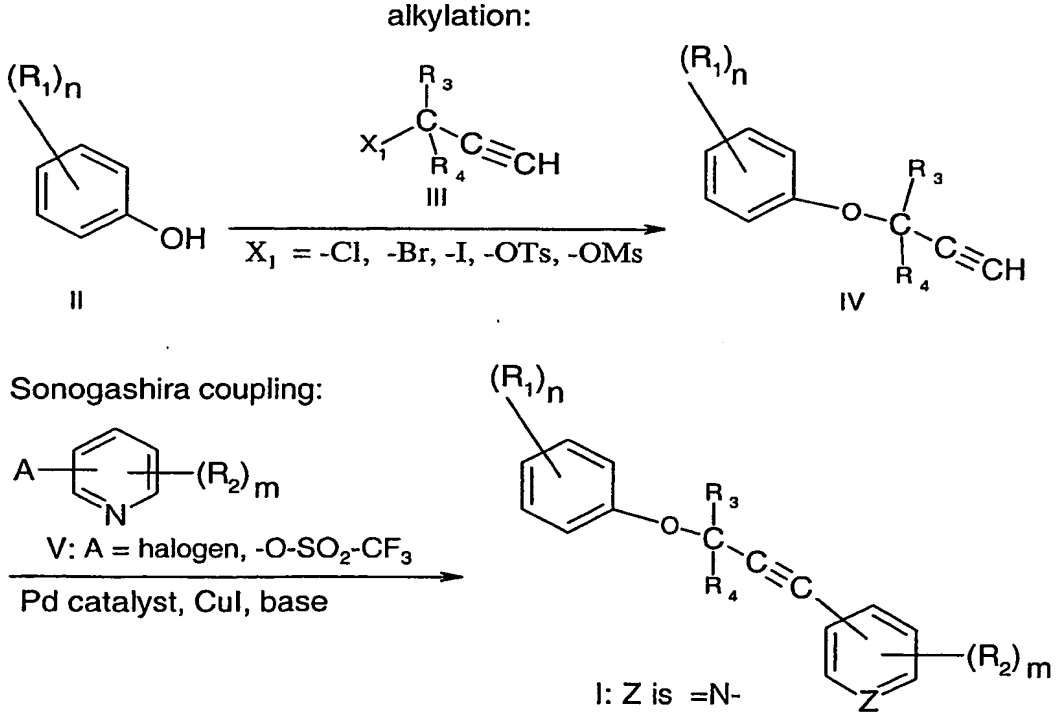
The propargyl ethers of formula IV can be obtained beforehand by etherification of phenols of formula II, which are reacted in the presence of a base with acetylene derivatives of formula III. Such etherification reactions are standard procedures and can be carried out e.g. analogously to Tetrahedron 1997 (53), 12621-12628; Helv. Chim. Acta 2000 (83), 650-657; and J. Chem. Res., Synop. 1996 (10), 462-463.

In the next step, the propargyl ethers of formula IV are coupled with substituted pyridine or pyridine N-oxide derivatives of formula V or Va, respectively, under typical Sonogashira conditions (K.Sonogashira in "Comprehensive Organic Synthesis", Editors I. Fleming *et al.*, Pergamon, Oxford 1991, Vol. 3, page 521 ff.; J. Org. Chem. 1998 (63), 8551-8553). Catalyst mixtures that come into consideration are, for example, tetrakistriphenylphosphine-palladium or bistriphenylphosphine-palladium dichloride together with copper iodide, and bases that

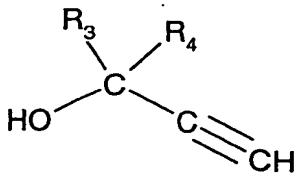
come into consideration (for the reductive elimination) are especially amines, for example triethylamine, diethylamine and diisopropylethylamine.

The pyridines or pyridine N-oxides of formula V or Va, respectively, preferably carry a leaving group A, wherein A is e.g. halogen or trifluoromethanesulfonate (Tetrahedron Organic Chemistry 2000 (20), 209-213; J. Org. Chem. 1997 (62), 1491-1500). As solvents for the Sonogashira reaction there are customarily used ethers, for example tetrahydrofuran, chlorinated hydrocarbons, for example chloroform, or dipolar aprotic solvents, for example dimethylformamide or dimethyl sulfoxide, or amines, for example triethylamine or piperidine.

Scheme 1

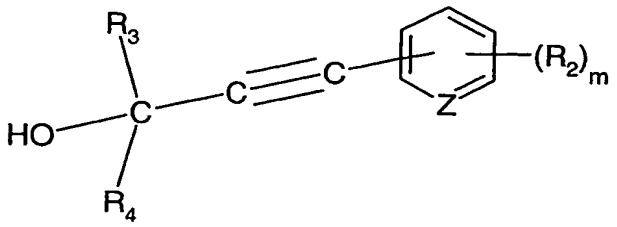


The Pd-catalysed cross-coupling of suitably substituted pyridine or pyridine N-oxide derivatives of formula V or Va, respectively, with propargyl alcohols or terminal acetylenes of formula VI



(VI),

wherein R_3 and R_4 are as defined for formula I, is known generally as the Sonogashira reaction and is shown diagrammatically in Reaction Scheme 2 for the pyridine derivatives of formula V. That reaction is documented in detail in Tetrahedron Organic Chemistry 2000 (20), 209-213 and can be used for the preparation of the pyridyl and pyridyl N-oxide propargyl alcohols of formula VII



(VII),

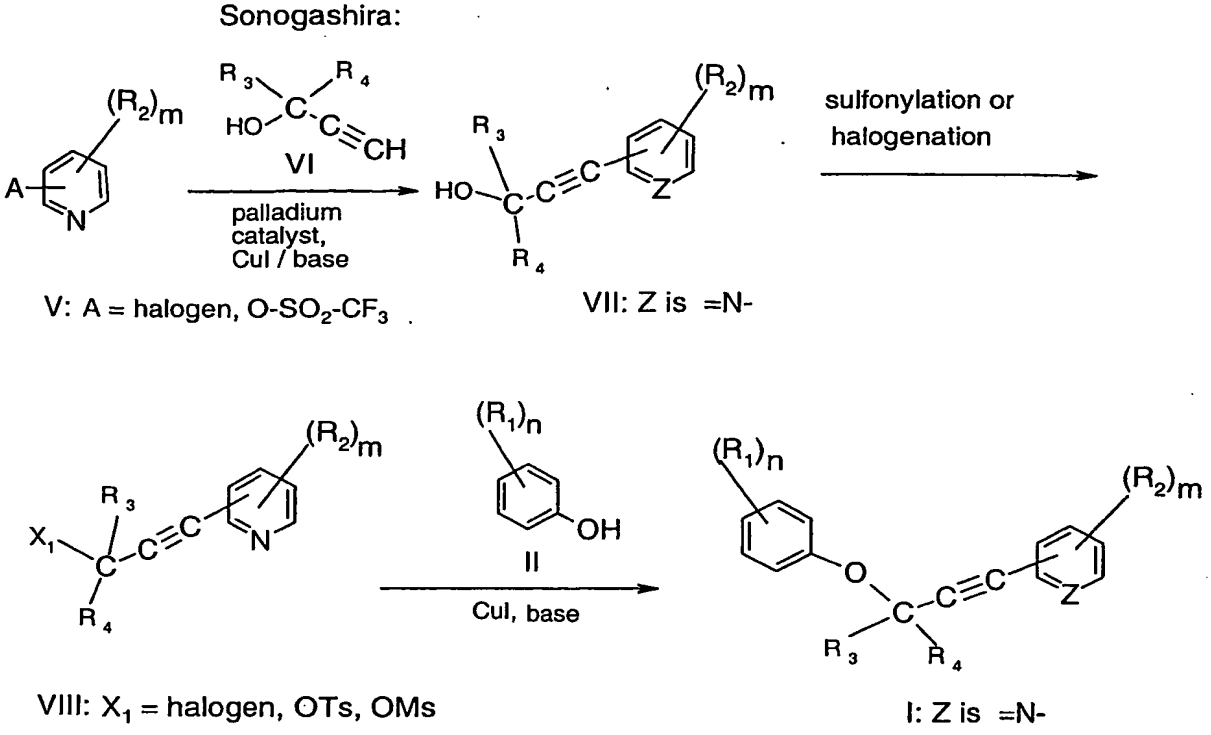
wherein R_2, R_3, R_4, Z and m are as defined for formula I.

The activation of the alcohol of formula VII (Z is =N-) is carried out e.g. by sulfonylation or halogenation according to Scheme 2. The sulfonylation of the alcohol of formula VII is a standard reaction and can be carried out e.g. with a sulfonic acid chloride, for example mesyl chloride (MsCl) or para-toluenesulfonic acid chloride (p-TsCl), in the presence of a tertiary amine, for example triethylamine, or an aromatic amine, for example pyridine, in a solvent, e.g. a chlorinated hydrocarbon, for example carbon tetrachloride or methylene chloride, or an amine, for example pyridine. Such reactions are generally known and are described e.g. in J. Org. Chem. 1997 (62), 8987; J. Het. Chem. 1995 (32), 875-882; and also in Tetrahedron Lett. 1997 (38), 8671-8674.

The halogenation of the alcohol of formula VII (Z is =N-) can be carried out analogously to standard procedures. For example, the bromination is carried out with carbon tetrabromide in the presence of triphenylphosphine (Synthesis 1998, 1015-1018) in methylene chloride. The chlorination is carried out with mineral acids, for example with concentrated hydrochloric acid (J. Org. Chem. 1955 (20), 95) or with para-toluenesulfonic acid chloride in the presence of an amine, for example triethylamine in a solvent, e.g. methylene chloride (Tetrahedron Lett. 1984 (25), 2295).

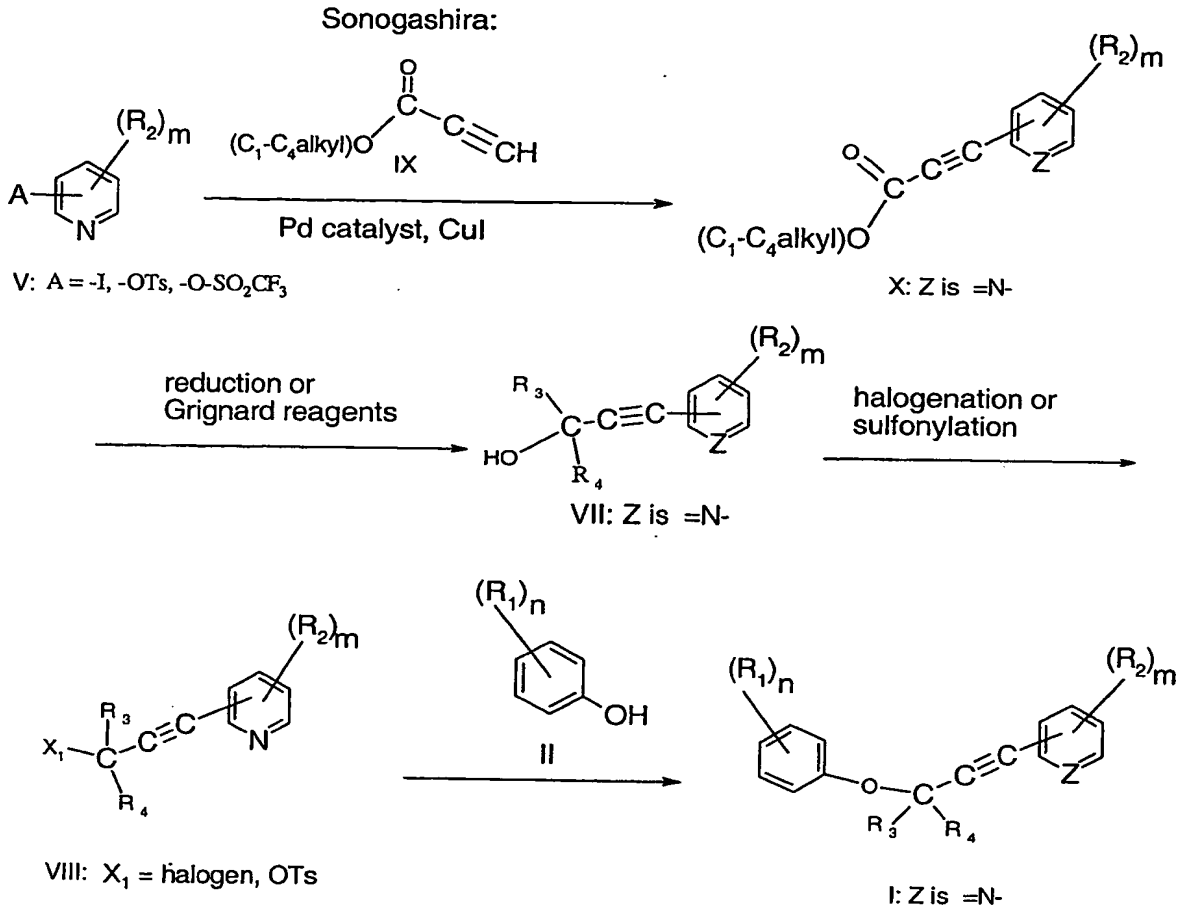
The preparation of the pyridyl-propynyloxy-benzenes of formula I (Z is =N-) can be carried out analogously to Synthesis 1995, 707-712; and Tetrahedron Lett. 1994 (35), 6405-6408 by means of copper-iodide-catalysed etherification of the phenol of formula II in the presence of the tosylate or mesylate or halide of formula VIII (according to Scheme 2). Suitable solvents are dimethylformamide and acetonitrile, and suitable bases are especially potassium carbonate and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

Scheme 2

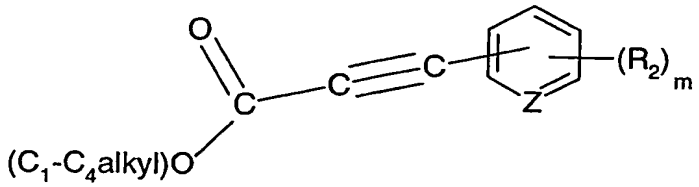


Compounds of formula I can also be obtained by further methods (according to Scheme 3).

Scheme 3

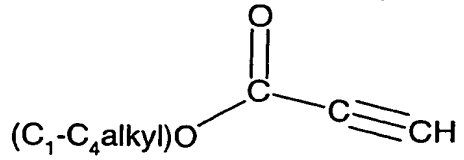


Accordingly, acetylene esters of formula X



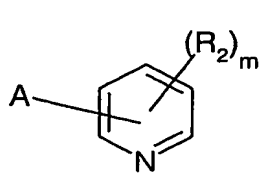
(X),

wherein R_2, Z and m are as defined for formula I, can be obtained, by means of Sonogashira coupling, from the compounds of formula IX

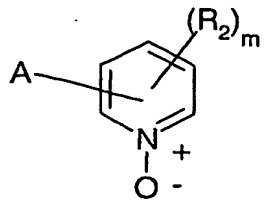


(IX)

and activated pyridine derivatives of formula V or Va

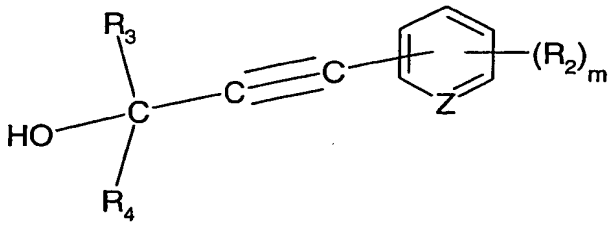


(V) or



(Va),

wherein R_2 and m are as defined and A is a leaving group as described above, analogously to Synthetic Communic. 1998 (28), 327-335. The esters of formula X can then be reduced or reacted with organometallic compounds, for example Grignard reagents, to form the alcohols of formula VII



(VII),

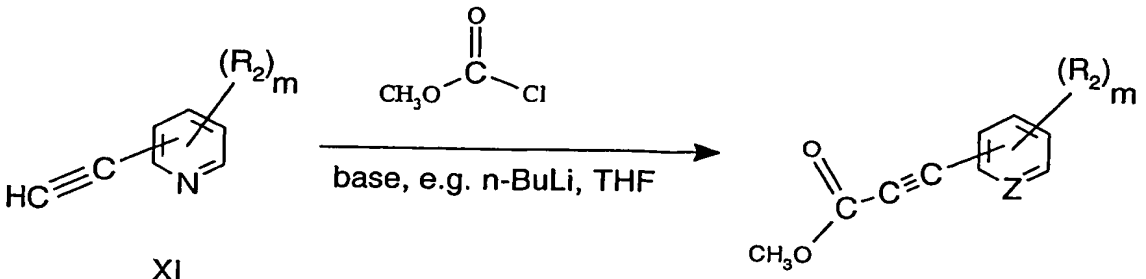
wherein R_2, Z and m are as defined for formula I and R_3 and R_4 are each independently of the other hydrogen, C_1-C_4alkyl or C_1-C_4alkoxy.

The reduction of the acetylene esters of formula X (Z is =N-) to the alcohols of formula VII (Z is =N-) can be carried out especially with hydrides by standard methods, for example with lithium aluminium hydride or sodium borohydride in a solvent, e.g. an ether, for example diethyl ether, dioxane or tetrahydrofuran, or an alcohol, for example methanol or ethanol. Such reductions are described e.g. in C. Ferri, "Reaktionen der organischen Synthese" 1978, pages 98-102.

Reactions of carboxylic acid esters with Grignard reagents are standard in organic synthesis chemistry and are described in detail in "Organikum" 1976, pages 617-625. The subsequent etherification of the phenol derivatives of formula II in the presence of a compound of formula VIII to form the compounds of formula I has already been described in detail in Scheme 2.

Further methods of preparing the desired compounds of formula I are shown in Scheme 4 (variant of Scheme 3).

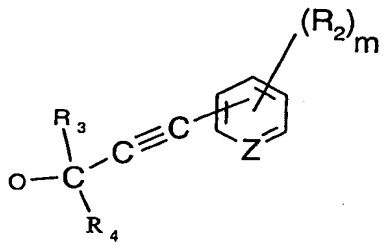
Scheme 4



XI

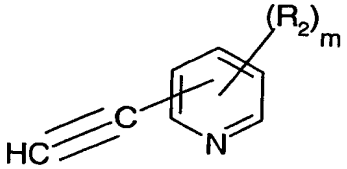
Xa: Z is =N-

reduction, e.g. $LiAlH_4$ or
organometallic compounds e.g.
Grignard reagents



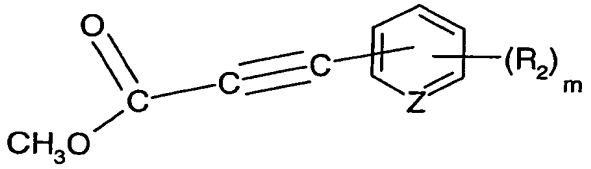
VII: Z is =N-

Accordingly, a pyridylacetylene of formula XI



(XI),

wherein R_2 and m are as defined for formula I, is reacted with n-butyllithium (n-BuLi) and then with a chloroformic acid methyl ester to form an ester of formula Xa

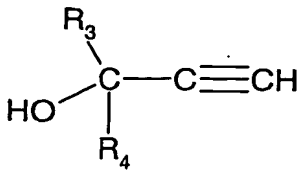


(Xa),

wherein Z is =N-.

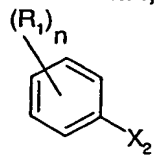
That ester can be converted into the desired compound of formula I entirely analogously to the method already described in Scheme 3, *via* an alcohol of formula VII (Z is =N-) (analogously to J. Org. Chem. 1988 (53), 4166-4171).

The compounds of formula I can also be prepared by first reacting the propargyl alcohols of formula VI



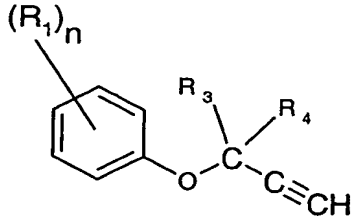
(VI),

wherein R_3 and R_4 are as defined for formula I, with activated phenyl halides of formula XII



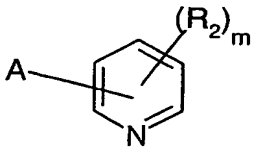
(XII),

wherein X_2 is halogen, n is 1, 2, 3, 4 or 5 and R_1 is a substituent having an electron-withdrawing effect (–M and/or –I effect), e.g. $-NO_2$, -CN, CF_3 or COR_{12}, to form compounds of formula IV

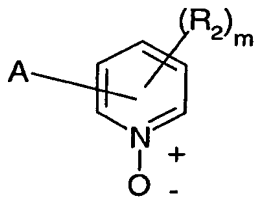


(IV),

wherein R_1, R_3, R_4 and n are as defined, and then in the next synthesis step carrying out a Sonogashira reaction with activated pyridine or pyridine N-oxide derivatives of formula V or Va



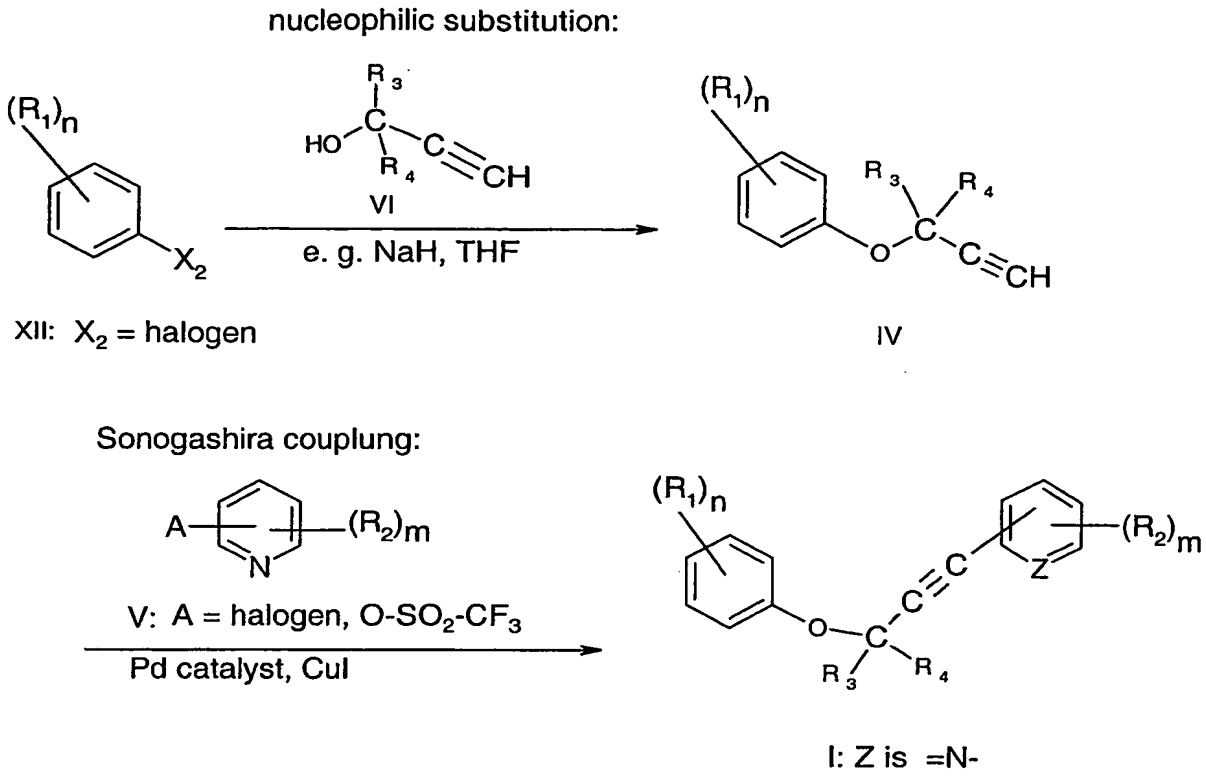
(V) or



(Va),

wherein R_2 and m are as defined for formula I and A is a leaving group, e.g. halogen or trifluoromethanesulfonate (Reaction Scheme 5).

Scheme 5



The following comments apply to the individual reaction steps in Schemes 1 to 5:
The reactions to form compounds of formula I are advantageously performed in aprotic, inert organic solvents. Such solvents are hydrocarbons, such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons, such as dichloromethane, trichloromethane, tetrachloromethane and chlorobenzene, ethers, such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran and dioxane, nitriles, such as acetonitrile and propionitrile, amides, such as N,N-dimethylformamide, diethylformamide and N-methylpyrrolidinone. The reaction temperatures are preferably from -20°C to +120°C. The reactions generally proceed slightly exothermically and can generally be carried out at room temperature. In order to shorten the reaction time or alternatively to initiate the reaction, the reaction mixture may, if appropriate, be heated to its boiling point for a short time. The reaction times may likewise be shortened by the addition of a few drops of base as reaction catalyst. Suitable bases are especially tertiary amines, such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene and 1,5-diazabicyclo[5.4.0]undec-7-ene, but it is also possible to use inorganic bases, such as hydrides,

e.g. sodium or calcium hydride, hydroxides, such as sodium or potassium hydroxide, carbonates, such as sodium or potassium carbonate, or hydrogen carbonates, such as potassium or sodium hydrogen carbonate.

The compounds of formula I can be isolated in customary manner by concentration and/or evaporation of the solvent and can be purified by recrystallisation or trituration of the solid residue in solvents in which they are not readily soluble, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons.

The starting compounds of formulae II, III, V, VI, IX, XI and XII used in Schemes 1 to 5 are known, in some cases are commercially available or can be prepared analogously to described standard methods. For example, the compounds of formula V are described in Tetrahedron Organic Chemistry 20, 209 (2000).

For the use according to the invention of the compounds of formula I, or of compositions comprising them, there come into consideration all methods of application customary in agriculture, for example pre-emergence application, post-emergence application and seed dressing, and also various methods and techniques such as, for example, the controlled release of active ingredient. For that purpose a solution of the active ingredient is applied to mineral granule carriers or polymerised granules (urea/formaldehyde) and dried. If required, it is also possible to apply a coating (coated granules), which allows the active ingredient to be released in metered amounts over a specific period of time.

The compounds of formula I may be used as herbicides in their unmodified form, that is to say as obtained in the synthesis, but they are preferably formulated in customary manner together with the adjuvants conventionally employed in formulation technology, for example into emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. Such formulations are described, for example, on pages 9 to 13 of WO 97/34485. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, wetting, scattering or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

The formulations, that is to say the compositions, preparations or mixtures comprising the compound (active ingredient) of formula I or at least one compound of formula I and, usually, one or more solid or liquid formulation adjuvants, are prepared in known manner, e.g. by

homogeneously mixing and/or grinding the active ingredients with the formulation adjuvants, for example solvents or solid carriers. Surface-active compounds (surfactants) may also be used in addition in the preparation of the formulations. Examples of solvents and solid carriers are given, for example, on page 6 of WO 97/34485.

Depending upon the nature of the compound of formula I to be formulated, suitable surface-active compounds are non-ionic, cationic and/or anionic surfactants and surfactant mixtures having good emulsifying, dispersing and wetting properties. Examples of suitable anionic, non-ionic and cationic surfactants are listed, for example, on pages 7 and 8 of WO 97/34485. In addition, the surfactants conventionally employed in formulation technology, which are described, *inter alia*, in "McCutcheon's Detergents and Emulsifiers Annual" MC Publishing Corp., Ridgewood New Jersey, 1981, Stache, H., "Tensid-Taschenbuch", Carl Hanser Verlag, Munich/Vienna 1981, and M. and J. Ash, "Encyclopedia of Surfactants", Vol. I-III, Chemical Publishing Co., New York, 1980-81, are also suitable for the preparation of the herbicidal compositions according to the invention.

The herbicidal formulations generally contain from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of herbicide, from 1 to 99.9 % by weight, especially from 5 to 99.8 % by weight, of a solid or liquid formulation adjuvant, and from 0 to 25 % by weight, especially from 0.1 to 25 % by weight, of a surfactant. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations. The compositions may also comprise further ingredients, such as stabilisers, for example vegetable oils or epoxidised vegetable oils (epoxidised coconut oil, rapeseed oil or soybean oil), anti-foams, for example silicone oil, preservatives, viscosity regulators, binders, tackifiers, and also fertilisers or other active ingredients.

The compounds of formula I are generally applied to plants or the locus thereof at rates of application of from 0.001 to 4 kg/ha, especially from 0.005 to 2 kg/ha. The concentration required to achieve the desired effect can be determined by experiment. It is dependent on the nature of the action, the stage of development of the cultivated plant and of the weed and on the application (place, time, method) and may vary within wide limits as a function of those parameters.

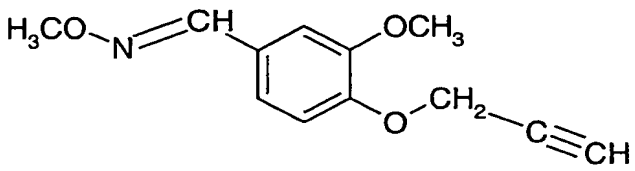
The compounds of formula I are distinguished by herbicidal and growth-inhibiting properties, allowing them to be used in crops of useful plants, especially cereals, cotton, soybeans, sugar beet, sugar cane, plantation crops, rape, maize and rice, and also for non-selective

weed control. The term "crops" is to be understood as including also crops that have been made tolerant to herbicides or classes of herbicides as a result of conventional methods of breeding or genetic techniques. The weeds to be controlled may be either monocotyledonous or dicotyledonous weeds, such as, for example, Stellaria, Nasturtium, Agrostis, Digitaria, Avena, Setaria, Sinapis, Lolium, Solanum, Echinochloa, Scirpus, Monochoria, Sagittaria, Bromus, Alopecurus, Sorghum halepense, Panicum, Rottboellia, Cyperus, Abutilon, Sida, Xanthium, Amaranthus, Chenopodium, Ipomoea, Chrysanthemum, Galium, Viola and Veronica.

The following Examples further illustrate but do not limit the invention.

Preparation Examples:

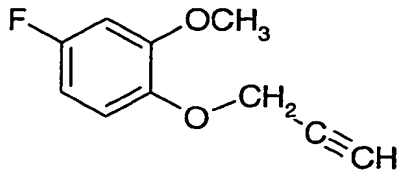
Example P1: Preparation of 3-methoxy–4-prop-2-ynyloxy-benzaldehyde O-methyl-oxime



5.0 g (26.3 mmol) of 3-methoxy–4-(2-propynyloxy)-benzaldehyde (see DE-A-4 141 401) are dissolved at 20°C in 20 ml of ethanol under nitrogen. Then, with stirring, 2.86 g (34.3 mmol) of O-methyl-hydroxylamine hydrochloride and 4.65 g (34.2 mmol) of anhydrous sodium acetate are added in succession thereto. After the addition, stirring is carried out for a further 18 hours at 20°C and 1.5 hours at about 50°C. The solvent is then distilled off, 100 ml of water are added to the residue and extraction is carried out three times with a total of 100 ml of dichloromethane. The combined organic phases are dried over magnesium sulfate. After evaporating off the solvent, 5.37 g of the desired target compound 3-methoxy–4-prop-2-ynyloxy-benzaldehyde O-methyl-oxime are obtained in the form of yellow crystals having a melting point of 68-69°C.

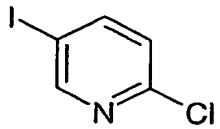
^{1}H-NMR ($CDCl_3$): δ (ppm) = 2.53 (t); 3.92 (s); 3.97 (s); 4.80 (t); 7.00 (s); 7.29 (s); 8.00 (s).

Example P2: Preparation of 4-fluoro-2-methoxy-1-prop-2-ynyloxy-benzene



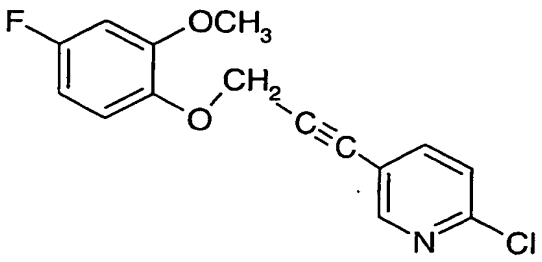
80.0 g (0.563 mol) of 4-fluoro-2-methoxyphenol are dissolved at 20°C in 2 litres of acetone. 80.0 g of potassium carbonate are added and stirring is carried out at 20°C for 1 hour. Then, in the course of 30 minutes, 82.7 ml of propargyl bromide are added dropwise, with stirirng, and the resulting suspension is heated at reflux temperature. When the reaction is complete, the solvent is distilled off and the residue is taken up in ether. The ether phase is washed three times with 1N NaOH, twice with water and twice with saturated brine. A small amount of toluene is then added to the ether phase and the reaction mixture is finally completely concentrated by evaporation. 171.6 g of the desired target compound 4-fluoro-2-methoxy-1-prop-2-ynyloxy-benzene are obtained in the form of a light-brown oil.
^{1}H-NMR ($CDCl_3$): δ (ppm) = 2.52 (s); 3.86 (s); 4.72 (s); 6.58-6.72 (m); 6.95-7.05 (m).

Example P3: 2-Chloro-5-iodopyridine



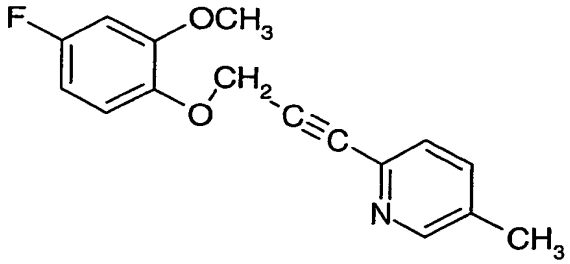
22.1 g (0.1 mol) of 2-hydroxy-5-iodo-pyridine are heated together with 31.0 g (0.2 mol) of phosphorus oxytrichloride ($POCl_3$) for 1 hour at reflux temperature. When the reaction is complete, excess $POCl_3$ is distilled off and the residue is taken up in toluene. The organic phase is stirred with aqueous potassium carbonate solution, separated and concentrated by evaporation. The crude product is purified by chromatography over silica gel. 19 g of the desired title compound are obtained in the form of colourless crystals.
^{1}H-NMR ($CDCl_3$): δ (ppm) = 7.10-7.20 (d); 7.90-8.00 (dxd); 8.55-8.65 (d).

Example P4: 2-Chloro-5-[3-(4-fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-pyridine



300 mg (1.25 mmol) of 2-chloro-5-iodo-pyridine (Example P3), 339 mg (1.87 mmol) of 4-fluoro-2-methoxy-1-prop-2-ynyloxy-benzene (Example P2) and 48 mg (0.25 mmol) of copper(I) iodide (CuI) are suspended in a mixture consisting of 4 ml of dioxane and 3 ml of diisopropylamine under argon at 20°C. The resulting reaction mixture is heated to 50°C and 88 mg (0.125 mmol) of $Pd(PPh_3)_2Cl_2$ are added. After 3.5 hours, the reaction mixture is cooled to 20°C. The solvent mixture is distilled off *in vacuo* and the crude product is subjected to flash chromatography over silica gel (eluant: ethyl acetate/petroleum ether 1/5). 308 mg of the desired target compound 2-chloro-5-[3-(4-fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-pyridine are obtained in the form of a beige solid having a melting point of 86-87°C. ^{1}H-NMR ($CDCl_3$): δ (ppm) = 3.87 (s); 4.93 (s); 6.56-6.70 (m); 6.97-7.02 (dxd); 7.28 (d); 7.64 (dxd); 8.42 (d).

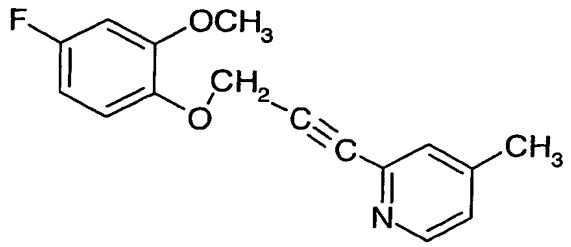
Example P5: 2-[3-(4-Fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-5-methyl-pyridine



200 mg (1.16 mmol) of 2-bromo-5-methyl-pyridine, 314 mg (1.74 mmol) of 4-fluoro-2-methoxy-1-prop-2-ynyloxy-benzene (Example P2) and 44 mg (0.23 mmol) of copper(I) iodide (CuI) are suspended in a mixture consisting of 4 ml of dioxane and 3 ml of diisopropylamine under argon at 20°C. The reaction mixture is heated to 50°C and 81 mg (0.12 mmol) of $Pd(PPh_3)_2Cl_2$ are added. After 4 hours, the reaction mixture is cooled to 20°C. The solvent mixture is distilled off *in vacuo* and the resulting crude product is purified by chromatography over silica gel (eluant: ethyl acetate/petroleum ether 1/3). 208 mg of the desired target com-

pound 2-[3-(4-fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-5-methyl-pyridine are obtained in the form of a brown oil.
^{1}H-NMR ($CDCl_3$): δ (ppm) = 2.33 (s); 3.86 (s); 4.95 (s); 6.55-6.68 (m); 7.05 (dxd); 7.29 (d); 7.43 (dxd); 8.40 (d).

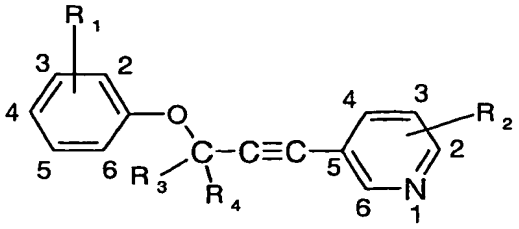
Example P6: 2-[3-(4-Fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-4-methyl-pyridine



200 mg (1.16 mmol) of 2-bromo-4-methyl-pyridine, 314 mg (1.74 mmol) of 4-fluoro-2-methoxy-1-prop-2-ynyloxy-benzene (Example P2) and 44 mg (0.23 mmol) of copper(I) iodide (CuI) are suspended in a mixture consisting of 4 ml of dioxane and 3 ml of diisopropylamine under argon at 20°C. The reaction mixture is heated to 50°C and 81 mg (0.12 mmol) of $Pd(PPh_3)_2Cl_2$ are added. After 4 hours, the reaction mixture is cooled to 20°C. The solvent mixture is distilled off *in vacuo* and the resulting crude product is purified by chromatography over silica gel (eluant: ethyl acetate/petroleum ether 1/3). 152 mg of the desired target compound 2-[3-(4-fluoro-2-methoxy-phenoxy)-prop-1-ynyl]-4-methyl-pyridine are obtained in the form of a brown solid.
^{1}H-NMR ($CDCl_3$): δ (ppm) = 2.32 (s); 3.87 (s); 4.95 (s); 6.56-6.68 (m); 7.03-7.08 (m); 7.23 (s); 8.41 (d).

In a manner analogous to that described in Examples P1 to P5 or in accordance with the methods as shown in Reaction Schemes 1-5 and in the references indicated, it is also possible to obtain the preferred compounds listed in the following Tables. In the column headed "Phys. data", the temperatures indicate the melting point (m.p.) of the compounds in question. In cases where the purity of the compounds has been investigated by means of HPLC/MS ("High Pressure Liquid Chromatography/Electrospray Mass Spectrometry"), the column headed "Phys. data" gives the $[M+H]^+$ peak from the Electrospray-MS of the compound in question (e.g. Comp. No. 3.011).

Table 1: Compounds of formula I_1



(I_1)

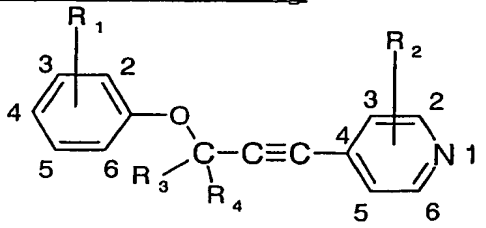
Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
1.001	2-OCH_3, 4-CN	2-Cl	H	H	160-161
1.002	2-F, 4-Cl	2-Cl	H	H	
1.003	2-Cl, 4-Cl	2-Cl	H	H	
1.004	2-OCH_3, 4-F	2-Cl	H	H	86-87
1.005	2-OCH_3, 4-Cl	2-Cl	H	H	
1.006	2-OCH_3, 4-Br	2-Cl	H	H	
1.007	2-CF_3, 4-F	2-Cl	H	H	
1.008	2-OCH_3, 4-CF_3	2-Cl	H	H	
1.009	2-OCH_3, 4-CH_3	2-Cl	H	H	
1.010	2-OCH_3, 4-CH=$NOCH_3$	2-Cl	H	H	97-99
1.011	2-OCH_3, 5-CH=$NOCH_3$	2-Cl	H	H	128-129
1.012	3-CF_3	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.013	4-OCH_3	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.014	H	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.015	2-Cl	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.016	4-Cl	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.017	3-Cl	2-$OCH_2CH_2N(C_2H_5)_2$	H	H	oil
1.018	2-OCH_3, 4-F	H	H	H	78-79
1.019	2-OCH_3, 4-CN	2-Cl	CH_3	H	-
1.020	2-F, 4-Cl	2-Cl	CH_3	H	-
1.021	2-Cl, 4-Cl	2-Cl	CH_3	H	-
1.022	2-OCH_3, 4-F	2-Cl	CH_3	H	-
1.023	2-OCH_3, 4-Cl	2-Cl	CH_3	H	-
1.024	2-OCH_3, 4-Br	2-Cl	CH_3	H	-
1.025	2-CF_3, 4-F	2-Cl	CH_3	H	-
1.026	2-OCH_3, 4-CF_3	2-Cl	CH_3	H	-
1.027	2-OCH_3, 4-CH_3	2-Cl	CH_3	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
1.028	2-OCH_3, 4-CH=$NOCH_3$	2-Cl	CH_3	H	-
1.029	2-OCH_3, 4-CH=$NOCH_3$	2-NH_2	H	H	135-138
1.030	2-OCH_3, 4-F	2-NH_2	H	H	-
1.031	2-OCH_3, 4-Cl	2-NH_2	H	H	-
1.032	2-OCH_3, 4-CN	3-Br	H	H	-
1.033	2-F, 4-Cl	3-Br	H	H	-
1.034	2-Cl, 4-Cl	3-Br	H	H	-
1.035	2-OCH_3, 4-F	3-Br	H	H	72-74
1.036	2-OCH_3, 4-Cl	3-Br	H	H	-
1.037	2-OCH_3, 4-Br	3-Br	H	H	-
1.038	2-CF_3, 4-F	3-Br	H	H	-
1.039	2-OCH_3, 4-CF_3	3-Br	H	H	-
1.040	2-OCH_3, 4-CH_3	3-Br	H	H	-
1.041	2-OCH_3, 4-CH=$NOCH_3$	3-Br	H	H	102-104
1.042	2-OCH_3, 4-CH=$NOCH_3$	3-Br, 6-OH	H	H	crystalline
1.043	2-OCH_3, 4-F	3-Br, 6-OH	H	H	crystalline
1.044	2-OCH_3, 4-CN	3-CH_2CN	H	H	-
1.045	2-F, 4-Cl	3-CH_2CN	H	H	-
1.046	2-Cl, 4-Cl	3-CH_2CN	H	H	-
1.047	2-OCH_3, 4-F	3-CH_2CN	H	H	-
1.048	2-OCH_3, 4-Cl	3-CH_2CN	H	H	-
1.049	2-OCH_3, 4-Br	3-CH_2CN	H	H	-
1.050	2-CF_3, 4-F	3-CH_2CN	H	H	-
1.051	2-OCH_3, 4-CF_3	3-CH_2CN	H	H	-
1.052	2-OCH_3, 4-CH_3	3-CH_2CN	H	H	-
1.053	2-OCH_3, 4-CH=$NOCH_3$	3-CH_2CN	H	H	-
1.054	2-OCH_3, 4-F	3-OCH_3, 6-NHC(O)O-t-C_4H_9	H	H	crystalline
1.055	2-OCH_3, 4-CH=$NOCH_3$	3-OCH_3, 6-NHC(O)O-t-C_4H_9	H	H	crystalline
1.056	2-OCH_3, 4-F	3-OCH_3, 6-NH_2	H	H	amorphous
1.057	2-OCH_3, 4-CH=$NOCH_3$	3-OCH_3, 6-NH_2	H	H	crystalline
1.058	2-OCH_3, 4-CN	3-Cl	H	H	-
1.059	2-F, 4-Cl	3-Cl	H	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
1.060	2-Cl, 4-Cl	3-Cl	H	H	-
1.061	2-OCH_3, 4-F	3-Cl	H	H	-
1.062	2-OCH_3, 4-Cl	3-Cl	H	H	-
1.063	2-OCH_3, 4-Br	3-Cl	H	H	-
1.064	2-CF_3, 4-F	3-Cl	H	H	-
1.065	2-OCH_3, 4-CF_3	3-Cl	H	H	-
1.066	2-OCH_3, 4-CH_3	3-Cl	H	H	-
1.067	2-OCH_3, 4-CH=$NOCH_3$	3-Cl	H	H	-
1.068	2-OCH_3, 4-F	3-Cl, 6-OH	H	H	-
1.069	2-OCH_3, 4-CH=$NOCH_3$	3-Cl, 6-OH	H	H	crystalline
1.070	2-OCH_3, 4-CN	3-$CH(CH_3)CN$	H	H	-
1.071	2-F, 4-Cl	3-$CH(CH_3)CN$	H	H	-
1.072	2-Cl, 4-Cl	3-$CH(CH_3)CN$	H	H	-
1.073	2-OCH_3, 4-F	3-$CH(CH_3)CN$	H	H	-
1.074	2-OCH_3, 4-Cl	3-$CH(CH_3)CN$	H	H	-
1.075	2-OCH_3, 4-Br	3-$CH(CH_3)CN$	H	H	-
1.076	2-CF_3, 4-F	3-$CH(CH_3)CN$	H	H	-
1.077	2-OCH_3, 4-CF_3	3-$CH(CH_3)CN$	H	H	-
1.078	2-OCH_3, 4-CH_3	3-$CH(CH_3)CN$	H	H	-
1.079	2-OCH_3, 4-CH=$NOCH_3$	3-$CH(CH_3)CN$	H	H	-
1.080	2-OCH_3, 4-F	3-CH_2CN	CH_3	CH_3	-
1.081	2-OCH_3, 4-Cl	3-CH_2CN	CH_3	CH_3	-
1.082	2-OCH_3, 4-Br	3-CH_2CN	CH_3	CH_3	-
1.083	2-OCH_3, 4-CN	3-CH_3	H	H	-
1.084	2-F, 4-Cl	3-CH_3	H	H	-
1.085	2-Cl, 4-Cl	3-CH_3	H	H	-
1.086	2-OCH_3, 4-F	3-CH_3	H	H	-
1.087	2-OCH_3, 4-Cl	3-CH_3	H	H	-
1.088	2-OCH_3, 4-Br	3-CH_3	H	H	-
1.089	2-CF_3, 4-F	3-CH_3	H	H	-
1.090	2-OCH_3, 4-CF_3	3-CH_3	H	H	-
1.091	2-OCH_3, 4-CH_3	3-CH_3	H	H	-
1.092	2-OCH_3, 4-CH=$NOCH_3$	3-CH_3	H	H	-
1.093	2-OCH_3	3-CH_2CN	H	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
1.094	2-OCH_3	4-CH_2CN	H	H	-
1.095	2-OCH_3	3-F	H	H	-
1.096	2-OCH_3	3-Cl	H	H	-
1.097	2-OCH_3	3-Br	H	H	-
1.098	2-OCH_3, 4-F	2-OCH_3	H	H	66-68
1.099	2-OCH_3, 4-CH=$NOCH_3$	2-CH_3	H	H	resin
1.100	2-OCH_3, 4-F	2-CH_3	H	H	resin
1.101	2-OCH_3, 4-CH=$NOCH_3$	2-CN	H	H	crystalline
1.102	2-OCH_3, 4-CH=$NOCH_3$	3-OCH_3	H	H	resin
1.103	2-OCH_3, 4-F	3-OCH_3	H	H	resin
1.104	2-OCH_3, 4-F	2-CN	H	H	oil

Table 2: Compounds of formula I_2:



(I_2)

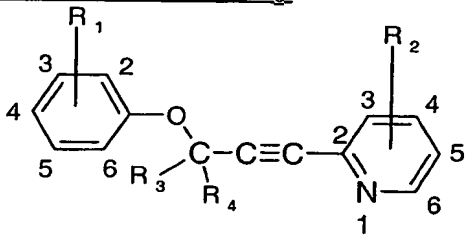
Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
2.001	2-OCH_3, 4-CN	2-F	H	H	132-134
2.002	2-F, 4-Cl	2-F	H	H	-
2.003	2-Cl, 4-Cl	2-F	H	H	-
2.004	2-OCH_3, 4-F	2-F	H	H	resin
2.005	2-OCH_3, 4-Cl	2-F	H	H	-
2.006	2-OCH_3, 4-Br	2-F	H	H	-
2.007	2-CF_3, 4-F	2-F	H	H	-
2.008	2-OCH_3, 4-CF_3	2-F	H	H	-
2.009	2-OCH_3, 4-CH_3	2-F	H	H	-
2.010	2-OCH_3, 4-CH=$NOCH_3$	2-F	H	H	amorphous
2.011	2-OCH_3, 4-F	H	H	H	crystalline
2.012	2-OCH_3, 4-CH=$NOCH_3$	H	H	H	crystalline
2.013	2-OCH_3, 4-CN	2-OCH_3	H	H	-
2.014	2-F, 4-Cl	2-OCH_3	H	H	-
2.015	2-Cl, 4-Cl	2-OCH_3	H	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
2.016	2-OCH_3, 4-F	2-OCH_3	H	H	-
2.017	2-OCH_3, 4-Cl	2-OCH_3	H	H	-
2.018	2-OCH_3, 4-Br	2-OCH_3	H	H	-
2.019	2-CF_3, 4-F	2-OCH_3	H	H	-
2.020	2-OCH_3, 4-CF_3	2-OCH_3	H	H	-
2.021	2-OCH_3, 4-CH_3	2-OCH_3	H	H	-
2.022	2-OCH_3, 4-CH=$NOCH_3$	2-OCH_3	H	H	-
2.023	2-OCH_3, 4-F	2-OCH_3, 5-NH_2	H	H	amorphous
2.024	2-OCH_3, 4-CH=$NOCH_3$	2-OCH_3, 5-NH_2	H	H	amorphous
2.025	2-OCH_3, 4-F	2-OCH_3, 5-NHC(O)O-t-C_4H_9	H	H	oil
2.026	2-OCH_3, 4-CH=$NOCH_3$	2-OCH_3, 5-NHC(O)O-t-C_4H_9	H	H	crystalline
2.027	2-OCH_3, 4-CN	2-Cl	H	H	-
2.028	2-F, 4-Cl	2-Cl	H	H	-
2.029	2-Cl, 4-Cl	2-Cl	H	H	-
2.030	2-OCH_3, 4-F	2-Cl	H	H	-
2.031	2-OCH_3, 4-Cl	2-Cl	H	H	-
2.032	2-OCH_3, 4-Br	2-Cl	H	H	-
2.033	2-CF_3, 4-F	2-Cl	H	H	-
2.034	2-OCH_3, 4-CF_3	2-Cl	H	H	-
2.035	2-OCH_3, 4-CH_3	2-Cl	H	H	-
2.036	2-OCH_3, 4-CH=$NOCH_3$	2-Cl	H	H	-
2.037	2-OCH_3, 4-CN	2-CH_2CN	H	H	-
2.038	2-F, 4-Cl	2-CH_2CN	H	H	-
2.039	2-Cl, 4-Cl	2-CH_2CN	H	H	-
2.040	2-OCH_3, 4-F	2-CH_2CN	H	H	83-84
2.041	2-OCH_3, 4-Cl	2-CH_2CN	H	H	-
2.042	2-OCH_3, 4-Br	2-CH_2CN	H	H	-
2.043	2-CF_3, 4-F	2-CH_2CN	H	H	-
2.044	2-OCH_3, 4-CF_3	2-CH_2CN	H	H	-
2.045	2-OCH_3, 4-CH_3	2-CH_2CN	H	H	-
2.046	2-OCH_3, 4-CH=$NOCH_3$	2-CH_2CN	H	H	resin
2.047	2-OCH_3, 4-CN	2-$N(CH_3)_2$	H	H	142-144

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
2.048	2-F, 4-Cl	2-$N(CH_3)_2$	H	H	-
2.049	2-Cl, 4-Cl	2-$N(CH_3)_2$	H	H	-
2.050	2-OCH_3, 4-F	2-$N(CH_3)_2$	H	H	
2.051	2-OCH_3, 4-Cl	2-$N(CH_3)_2$	H	H	-
2.052	2-OCH_3, 4-Br	2-$N(CH_3)_2$	H	H	-
2.053	2-CF_3, 4-F	2-$N(CH_3)_2$	H	H	-
2.054	2-OCH_3, 4-CF_3	2-$N(CH_3)_2$	H	H	-
2.055	2-OCH_3, 4-CH_3	2-$N(CH_3)_2$	H	H	-
2.056	2-OCH_3, 4-CH=$NOCH_3$	2-$N(CH_3)_2$	H	H	-
2.057	2-OCH_3, 4-CN	2-$CH(CH_3)CN$	H	H	-
2.058	2-F, 4-Cl	2-$CH(CH_3)CN$	H	H	-
2.059	2-Cl, 4-Cl	2-$CH(CH_3)CN$	H	H	-
2.060	2-OCH_3, 4-F	2-$CH(CH_3)CN$	H	H	-
2.061	2-OCH_3, 4-Cl	2-$CH(CH_3)CN$	H	H	-
2.062	2-OCH_3, 4-Br	2-$CH(CH_3)CN$	H	H	-
2.063	2-CF_3, 4-F	2-$CH(CH_3)CN$	H	H	-
2.064	2-OCH_3, 4-CF_3	2-$CH(CH_3)CN$	H	H	-
2.065	2-OCH_3, 4-CH_3	2-$CH(CH_3)CN$	H	H	-
2.066	2-OCH_3, 4-CH=$NOCH_3$	2-$CH(CH_3)CN$	H	H	-
2.067	2-OCH_3, 4-F	2-Cl	CH_3	H	-
2.068	2-OCH_3, 4-Cl	2-Cl	CH_3	H	-
2.069	2-OCH_3, 4-Br	2-Cl	CH_3	H	-
2.070	2-OCH_3, 4-CF_3	2-Cl	CH_3	H	-
2.071	2-OCH_3, 4-CH=$NOCH_3$	2-Cl	CH_3	H	-
2.072	2-OCH_3, 4-F	2-CH_2CN	CH_3	CH_3	-
2.073	2-OCH_3, 4-Cl	2-CH_2CN	CH_3	CH_3	-
2.074	2-OCH_3, 4-Br	2-CH_2CN	CH_3	CH_3	-
2.075	2-OCH_3, 4-CF_3	2-CH_2CN	CH_3	CH_3	-
2.076	2-OCH_3, 4-CH=$NOCH_3$	2-CH_2CN	CH_3	CH_3	-
2.077	2-OCH_3, 4-F	2-CH_2CN	CH_3	H	-
2.078	2-OCH_3, 4-Cl	2-CH_2CN	CH_3	H	-
2.079	2-OCH_3, 4-Br	2-CH_2CN	CH_3	H	-
2.080	2-OCH_3, 4-CF_3	2-CH_2CN	CH_3	H	-
2.081	2-OCH_3, 4-CH=$NOCH_3$	2-CH_2CN	CH_3	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
2.082	2-OCH_3, 4-F	3-CH_2CN	CH_3	H	-
2.083	2-OCH_3, 4-Cl	3-CH_2CN	CH_3	H	-
2.084	2-OCH_3, 4-Br	3-CH_2CN	CH_3	H	-
2.085	2-OCH_3, 4-CF_3	3-CH_2CN	CH_3	H	-
2.086	2-OCH_3, 4-CH=$NOCH_3$	3-CH_2CN	CH_3	H	-
2.087	2-OCH_3	2-CH_2CN	H	H	-
2.088	2-OCH_3	3-CH_2CN	H	H	-
2.089	2-OCH_3	2-F	H	H	-
2.090	2-OCH_3	2-Cl	H	H	-
2.091	2-OCH_3	2-Br	H	H	-

Table 3: Compounds of formula I_3:



(I_3)

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
3.001	2-OCH_3, 4-CN	4-CH_3	H	H	-
3.002	2-F, 4-Cl	4-CH_3	H	H	-
3.003	2-Cl, 4-Cl	4-CH_3	H	H	-
3.004	2-OCH_3, 4-F	4-CH_3	H	H	crystalline
3.005	2-OCH_3, 4-Cl	4-CH_3	H	H	-
3.006	2-OCH_3, 4-Br	4-CH_3	H	H	-
3.007	2-CF_3, 4-F	4-CH_3	H	H	-
3.008	2-OCH_3, 4-CF_3	4-CH_3	H	H	-
3.009	2-OCH_3, 4-CH_3	4-CH_3	H	H	-
3.010	2-OCH_3, 4-CH=$NOCH_3$	4-CH_3	H	H	
3.011	H	4-CH_3	H	H	MS: $[M+H]^+$
3.012	2-OCH_3, 4-CH_2CN	4-CH_3	H	H	MS: $[M+H]^+$
3.013	4-NO_2	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.014	2-OCH_3	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
3.015	4-CH_2CN	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.016	2-OCH_3, 4-CH_2CN	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.017	4-CN	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.018	4-$CO_2C_2H_5$	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.019	2-Cl, 6-Cl	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.020	H	3-OH, 6-CH_3	H	H	MS: $[M+H]^+$
3.021	2-OCH_3, 4-F	6-CH_3	H	H	oil
3.022	2-OCH_3, 4-F	5-CH_3	H	H	oil
3.023	2-OCH_3, 4-CH=$NOCH_3$	5-CH_3	H	H	crystalline
3.024	2-OCH_3, 4-CH=$NOCH_3$	6-CH_3	H	H	crystalline
3.025	4-OC_6H_5	H	H	H	-
3.026	2-OCH_3, 4-CH_2CN	H	H	H	MS: $[M+H]^+$
3.027	4-CH_2CN	H	H	H	MS: $[M+H]^+$
3.028	H	H	H	H	MS: $[M+H]^+$
3.029	2-OCH_3, 4-CN	5-CF_3	H	H	94-95
3.030	2-F, 4-Cl	5-CF_3	H	H	-
3.031	2-OCH_3, 4-F	5-CF_3	H	H	crystalline
3.032	2-OCH_3, 4-Cl	5-CF_3	H	H	-
3.033	2-OCH_3, 4-Br	5-CF_3	H	H	-
3.034	2-OCH_3, 4-CF_3	5-CF_3	H	H	-
3.035	2-OCH_3, 4-CH_3	5-CF_3	H	H	-
3.036	2-OCH_3, 4-CH=$NOCH_3$	5-CF_3	H	H	crystalline
3.037	4-$CO_2C_2H_5$	5-CF_3	H	H	MS: $[M+H]^+$
3.038	2-OCH_3, 4-CN	4-CH_2CN	H	H	-
3.039	2-F, 4-Cl	4-CH_2CN	H	H	-
3.040	2-Cl, 4-Cl	4-CH_2CN	H	H	-
3.041	2-OCH_3, 4-F	4-CH_2CN	H	H	-
3.042	2-OCH_3, 4-Cl	4-CH_2CN	H	H	-
3.043	2-OCH_3, 4-Br	4-CH_2CN	H	H	-
3.044	2-CF_3, 4-F	4-CH_2CN	H	H	-
3.045	2-OCH_3, 4-CF_3	4-CH_2CN	H	H	-
3.046	2-OCH_3, 4-CH_3	4-CH_2CN	H	H	-
3.047	2-OCH_3, 4-CH=$NOCH_3$	4-CH_2CN	H	H	-
3.048	2-OCH_3	4-CH_2CN	H	H	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
3.049	2-OCH_3	4-Cl	H	H	-
3.050	2-OCH_3	4-Br	H	H	-
3.051	2-OCH_3	6-CH_2CN	H	H	106
3.052	2-OCH_3	6-Cl	H	H	-
3.053	2-OCH_3	6-Br	H	H	-
3.054	2-OCH_3, 4-CN	5-Cl	H	H	-
3.055	2-F, 4-Cl	5-Cl	H	H	-
3.056	2-OCH_3, 4-F	5-Cl	H	H	-
3.057	2-OCH_3, 4-Cl	5-Cl	H	H	-
3.058	2-OCH_3, 4-Br	5-Cl	H	H	-
3.059	2-OCH_3, 4-CF_3	5-Cl	H	H	-
3.060	2-OCH_3, 4-CH_3	5-Cl	H	H	-
3.061	2-OCH_3, 4-CH=$NOCH_3$	5-Cl	H	H	-
3.062	4-$OCH_2CH_2N(C_2H_5)_2$	5-Cl	H	H	58-60
3.063	2-OCH_3, 4-CN	6-Br	H	H	84-85
3.064	2-F, 4-Cl	6-Br	H	H	-
3.065	2-Cl, 4-Cl	6-Br	H	H	-
3.066	2-OCH_3, 4-F	6-Br	H	H	crystalline
3.067	2-OCH_3, 4-Cl	6-Br	H	H	-
3.068	2-OCH_3, 4-Br	6-Br	H	H	-
3.069	2-CF_3, 4-F	6-Br	H	H	-
3.070	2-OCH_3, 4-CF_3	6-Br	H	H	-
3.071	2-OCH_3, 4-CH_3	6-Br	H	H	-
3.072	2-OCH_3, 4-CH=$NOCH_3$	6-Br	H	H	crystalline
3.073	2-OCH_3, 4-F	4-CH_3	CH_3	H	-
3.074	2-OCH_3, 4-Cl	4-CH_3	CH_3	H	-
3.075	2-OCH_3, 4-Br	4-CH_3	CH_3	H	-
3.076	2-OCH_3, 4-CF_3	4-CH_3	CH_3	H	-
3.077	2-OCH_3, 4-CH_3	4-CH_3	CH_3	H	-
3.078	2-OCH_3, 4-CH=$NOCH_3$	4-CH_3	CH_3	H	-
3.079	2-OCH_3, 4-F	4-CH_3	CH_3	CH_3	-
3.080	2-OCH_3, 4-Cl	4-CH_3	CH_3	CH_3	-
3.081	2-OCH_3, 4-Br	4-CH_3	CH_3	CH_3	-
3.082	2-OCH_3, 4-CF_3	4-CH_3	CH_3	CH_3	-

Comp. No.	R_1	R_2	R_3	R_4	Phys. data m.p. (°C)
3.083	2-OCH_3, 4-CH_3	4-CH_3	CH_3	CH_3	-
3.084	2-OCH_3, 4-CH=$NOCH_3$	4-CH_3	CH_3	CH_3	-
3.085	2-OCH_3, 4-F	3-OH	H	H	crystalline
3.086	2-OCH_3, 4-Cl	3-OH	H	H	-
3.087	2-OCH_3, 4-Br	3-OH	H	H	-
3.088	2-OCH_3, 4-CF_3	3-OH	H	H	-
3.089	2-OCH_3, 4-CH_3	3-OH	H	H	-
3.090	2-OCH_3, 4-CH=$NOCH_3$	3-OH	H	H	crystalline
3.091	4-CH_2CN	3-OC_2H_5	H	H	MS: $[M+H]^+$
3.092	2-OCH_3	3-OC_2H_5	H	H	MS: $[M+H]^+$
3.093	2-OCH_3, 4-CH_2CN	3-OC_2H_5	H	H	MS: $[M+H]^+$
3.094	2-OCH_3, 4-CN	3-OC_2H_5	H	H	MS: $[M+H]^+$
3.095	2-OCH_3, 4-F	6-CH_2CN	H	H	resin
3.096	2-OCH_3, 4-CH=$NOCH_3$	6-CH_2CN	H	H	solid
3.097	2-OCH_3, 4-CH=$NOCH_3$	5-CH_2CN	H	H	crystalline
3.098	2-OCH_3, 4-F	5-CH_2CN	H	H	resin
3.099	2-OCH_3, 4-CH=$NOCH_3$	6-OCH_3	H	H	resin
3.100	2-OCH_3, 4-F	6-OCH_3	H	H	resin
3.101	2-OCH_3, 4-CH=$NOCH_3$	H	H	H	resin
3.102	2-OCH_3, 4-F	H	H	H	oil

Biological Examples

Example B1: Herbicidal action prior to emergence of the plants (pre-emergence action)

Monocotyledonous and dicotyledonous test plants are sown in standard soil in pots. Immediately after sowing, the test compounds, in the form of an aqueous suspension (prepared from a wettable powder (Example F3, b) according to WO 97/34485) or in the form of an emulsion (prepared from an emulsifiable concentrate (Example F1, c) according to WO 97/34485), are applied by spraying in an optimum concentration (500 litres of water/ha). The test plants are then grown in a greenhouse under optimum conditions. After a test duration of 4 weeks, the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action.

Test plants: Panicum, Echinochloa (Ds), Amaranthus, Chenopodium, Stellaria, Veronica.

Table B1:

Concentration 1000 g of active ingredient/ha

Comp. No.	Panicum	Echinochloa (Ds)	Amaranthus	Chenopodium	Stellaria	Veronica
1.010	3	-	1	1	1	1
1.004	2	2	1	1	1	1
3.004	2	2	1	1	1	1

The same results are obtained when the compounds of formula I are formulated in accordance with the other Examples analogously to WO 97/34485.

Example B2: Post-emergence herbicidal action

Monocotyledonous and dicotyledonous test plants are sown in standard soil in pots. When the test plants are at the 2- to 3-leaf stage, the test compounds, in the form of an aqueous suspension (prepared from a wettable powder (Example F3, b) according to WO 97/34485) or in the form of an emulsion (prepared from an emulsifiable concentrate (Example F1, c) according to WO 97/34485), are applied by spraying in an optimum concentration (500 litres of water/ha). The test plants are then grown on in a greenhouse under optimum conditions. After a test duration of 2 to 3 weeks, the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action.

Test plants: Panicum, Euphorbia, Amaranthus, Chenopodium, Stellaria, Veronica.

Table B2:

Concentration 1000 g of active ingredient/ha

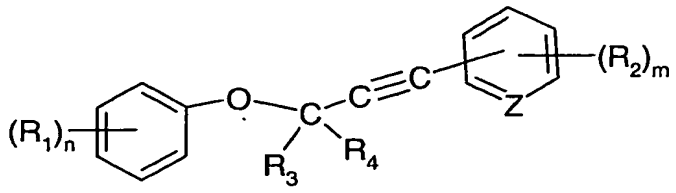
Comp. No.	Pani-cum	Euphor-bia	Amaranthus	Chenopodium	Stellaria	Veronica
1.010	4	1	1	1	2	3
1.004	-	2	1	1	2	2
3.004	5	3	1	1	2	3

In the above Tables B1 and B2 " – " means that no data are available for that indication.

The same results are obtained when the compounds of formula I are formulated in accordance with the other Examples analogously to WO 97/34485.

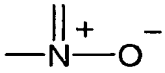
What is claimed is:

1. A compound of formula I



(I),

wherein

Z is =N- or  ;

n is 0, 1, 2, 3, 4 or 5;

each R_1 independently of any others is halogen, -CN, -SCN, $-SF_5$, $-NO_2$, $-NR_5R_6$, $-CO_2R_7$, $-CONR_8R_9$, $-C(R_{10})=NOR_{11}$, $-COR_{12}$, $-OR_{13}$, $-SR_{14}$, $-SOR_{15}$, $-SO_2R_{16}$, $-OSO_2R_{17}$, C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl or C_3-C_6cycloalkyl; or is C_1-C_8alkyl, C_2-C_8alkenyl or C_2-C_8alkynyl substituted by one or more halogen, -CN, $-NO_2$, $-NR_{18}R_{19}$, $-CO_2R_{20}$, $-CONR_{21}R_{22}$, $-COR_{23}$, $-C(R_{24})=NOR_{25}$, $-C(S)NR_{26}R_{27}$, $-C(C_1$-C_4alkylthio$)=NR_{28}$, $-OR_{29}$, $-SR_{30}$, $-SOR_{31}$, $-SO_2R_{32}$ or C_3-C_6cycloalkyl substituents; or

each R_1 independently of any others is C_3-C_6cycloalkyl substituted by one or more halogen, -CN, $-NO_2$, $-NR_{18}R_{19}$, $-CO_2R_{20}$, $-CONR_{21}R_{22}$, $-COR_{23}$, $-C(R_{24})=NOR_{25}$, $-C(S)NR_{26}R_{27}$, $-C(C_1$-C_4alkylthio$)=NR_{28}$, $-SR_{30}$, $-SOR_{31}$, $-SO_2R_{32}$ or C_3-C_6cycloalkyl substituents; or

each R_1 independently of any others is phenyl, which may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

two adjacent R_1 together form a C_1-C_7alkylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9; or

two adjacent R_1 together form a C_2-C_7alkenylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9;

R_3 and R_4 are each independently of the other hydrogen, halogen, -CN, C_1-C_4alkyl or C_1-C_4-alkoxy; or

R_3 and R_4 together are C_2-C_5alkylene;

R_5 is hydrogen or C_1-C_8alkyl;

R_6 is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl; wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_5 and R_6 together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_7 is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_8 is hydrogen or C_1-C_8alkyl;

R_9 is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl or –CN substituents, or

R_9 is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_8 and R_9 together are C_2-C_5alkylene;

R_{10} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{11} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;

R_{12} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{13} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl; or

R_{13} is phenyl or phenyl-C_1-C_6alkyl, wherein both phenyl rings may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents, or

R_{13} is C_1-C_8alkyl substituted by one or more halogen, –CN, C_1-C_6alkylamino, di(C_1-C_6alkyl)-amino or C_1-C_4alkoxy substituents;

R_{14} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{15}, R_{16} and R_{17} are each independently of the others C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8-alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{18} is hydrogen or C_1-C_8alkyl;

R_{19} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{18} and R_{19} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;
R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{21} is hydrogen or C_1-C_8alkyl;
R_{22} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or
R_{22} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{21} and R_{22} together are C_2-C_5alkylene;
R_{23} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{24} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{25} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{26} is hydrogen or C_1-C_8alkyl;
R_{27} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or
R_{27} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{26} and R_{27} together are C_2-C_5alkylene;
R_{28} is hydrogen or C_1-C_8alkyl;
R_{29} and R_{30} are each independently of the other hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8-alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;
R_{31} and R_{32} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;
m is 0, 1, 2, 3 or 4;
each R_2 independently of any others is halogen, -CN, -SCN, -OCN, -N_3, -SF_5, -NO_2, -$NR_{33}R_{34}$, -CO_2R_{35}, -$CONR_{36}R_{37}$, -$C(R_{38})$=NOR_{39}, -COR_{40}, -OR_{41}, -SR_{42}, -SOR_{43}, -SO_2R_{44}, -OSO_2R_{45}, -$N([CO]_pR_{46})COR_{47}$, -$N(OR_{54})COR_{55}$, -$N(R_{56})SO_2R_{57}$, -$N(SO_2R_{58})SO_2R_{59}$, -N=$C(OR_{60})R_{61}$, -$CR_{62}(OR_{63})OR_{64}$, -$OC(O)NR_{65}R_{66}$, -$SC(O)NR_{67}R_{68}$, -$OC(S)NR_{69}R_{70}$ or -N-phthalimide; or
R_2 is a 5- to 7-membered heterocyclic ring system which may be aromatic or partially or fully saturated and may contain from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur, it being possible for that heterocyclic ring system in turn to be substituted by one or

more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, hydroxy-C_1-C_4alkyl, C_1-C_4alkoxy, C_1-C_4alkoxy-C_1-C_4alkyl, -CN, -NO_2, C_1-C_6alkylthio, C_1-C_6alkylsulfinyl or C_1-C_6alkylsulfonyl substituents;
R_{33} is hydrogen or C_1-C_8alkyl; and
R_{34} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4-alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{33} and R_{34} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;
R_{35} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{36} is hydrogen or C_1-C_8alkyl;
R_{37} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents, or
R_{37} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{36} and R_{37} together are C_3-C_5alkylene;
R_{38} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{39} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{40} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_8alkylthio, -C(O)-C(O)OC_1-C_4alkyl or C_3-C_6-cycloalkyl;
R_{41} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_8alkyl-carbonyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_1-C_6alkoxy-C_1-C_6alkoxycarbonyl, C_1-C_6alkylthio-C_1-C_6alkyl, C_1-C_6alkylsulfinyl-C_1-C_6alkyl or C_1-C_6alkylsulfonyl-C_1-C_6alkyl; or
R_{41} is phenyl or phenyl-C_1-C_6alkyl, wherein both phenyl rings may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, or -S(O)$_2$$C_1$-$C_8$alkyl substituents, or
R_{41} is C_1-C_8alkyl substituted by one or more –COOH, C_1-C_8alkoxycarbonyl, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or –CN substituents;
R_{42} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;
R_{43} and R_{44} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or C_1-C_8alkyl substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{45} is C_1-C_8alkyl, C_1-C_8alkyl substituted by one or more halogen, -CN or C_1-C_4alkoxy substituents, C_3-C_8alkenyl or C_3-C_8alkynyl, or

R_{45} is phenyl, it being possible for the phenyl ring to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{46} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_4haloalkyl;

R_{47} is hydrogen, C_1-C_8alkyl, C_1-C_4alkoxy, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl substituted by one or more halogen, -CN, C_1-C_4alkoxy, C_1-C_8alkoxycarbonyl, $-NH_2$, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, $-NR_{48}COR_{49}$, $-NR_{50}SO_2R_{51}$ or $-NR_{52}CO_2R_{53}$ substituents, or

R_{47} is phenyl or benzyl, each of which may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

p is 0 or 1;

R_{48}, R_{49}, R_{50}, R_{51}, R_{52} and R_{53} are each independently of the others hydrogen, C_1-C_8alkyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic radicals in turn to be substituted by one or more halogen, C_1-C_8alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, $-NH_2$, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{54} and R_{55} are each independently of the other hydrogen, C_1-C_8alkyl or phenyl, whereby the phenyl ring may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{56} is hydrogen, C_1-C_8alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_3-C_8alkenyl, C_3-C_8alkynyl or benzyl, it being possible for benzyl in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_8alkylthio, C_1-C_8alkylsulfinyl or C_1-C_8alkylsulfonyl substituents;

R_{57} is C_1-C_8alkyl, C_1-C_4haloalkyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic rings to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, $-NH_2$, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{58} and R_{59} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl, benzyl or naphthyl, it being possible for the three last-mentioned aromatic rings to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4alkylamino, di(C_1-C_4alkyl)amino, $-NH_2$, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{60} and R_{61} are each independently of the other hydrogen or C_1-C_6alkyl;

R_{62}, R_{63} and R_{64} are each independently of the others hydrogen or C_1-C_8alkyl, or

R_{63} and R_{64} together form a C_2-C_5alkylene bridge;
R_{65}, R_{66}, R_{67}, R_{68}, R_{69} and R_{70} are each independently of the others hydrogen or C_1-C_8alkyl, or
R_{65} and R_{66} together or R_{67} and R_{68} together or R_{69} and R_{70} together form a C_2-C_5alkylene bridge; or
each R_2 independently of any others is C_1-C_8alkyl, or is C_1-C_8alkyl mono- or poly-substituted by halogen, -CN, $-N_3$, -SCN, $-NO_2$, $-NR_{71}R_{72}$, $-CO_2R_{73}$, $-CONR_{74}R_{75}$, $-COR_{76}$, $-C(R_{77})=NOR_{78}$, $-C(S)NR_{79}R_{80}$, $-C(C_1$-C_4alkylthio$)=NR_{81}$, $-OR_{82}$, $-SR_{83}$, $-SOR_{84}$, $-SO_2R_{85}$, $-O(SO_2)R_{86}$, $-N(R_{87})CO_2R_{88}$, $-N(R_{89})COR_{90}$, $-S^+(R_{91})_2$, $-N^+(R_{92})_3$, $-Si(R_{93})_3$ or C_3-C_6cycloalkyl; or
each R_2 independently of any others is C_1-C_8alkyl substituted by a 5- to 7-membered heterocyclic ring system, which may be aromatic or partially or fully saturated and may contain from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur, it being possible for that heterocyclic ring system in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, hydroxy-C_1-C_4alkyl, C_1-C_4alkoxy, C_1-C_4alkoxy-C_1-C_4alkyl, -CN, $-NO_2$, C_1-C_6alkylthio, C_1-C_6alkylsulfinyl or C_1-C_6alkylsulfonyl substituents; or
each R_2 independently of any others is C_2-C_8alkenyl, or is C_2-C_8alkenyl mono- or poly-substituted by halogen, -CN, $-NO_2$, $-CO_2R_{94}$, $-CONR_{95}R_{96}$, $-COR_{97}$, $-C(R_{98})=NOR_{99}$, $-C(S)NR_{100}R_{101}$, $-C(C_1$-C_4alkylthio$)=NR_{102}$, $-OR_{103}$, $-Si(R_{104})_3$ or C_3-C_6cycloalkyl; or
each R_2 independently of any others is C_2-C_8alkynyl, or is C_2-C_8alkynyl mono- or poly-substituted by halogen, -CN, $-CO_2R_{105}$, $-CONR_{106}R_{107}$, $-COR_{108}$, $-C(R_{109})=NOR_{110}$, $-C(S)NR_{111}R_{112}$, $-C(C_1$-C_4alkylthio$)=NR_{113}$, $-OR_{114}$, $-Si(R_{115})_3$ or C_3-C_6cycloalkyl; or
each R_2 independently of any others is C_3-C_6cycloalkyl, or is C_3-C_6cycloalkyl mono- or poly-substituted by halogen, -CN, $-CO_2R_{116}$, $-CONR_{117}R_{118}$, $-COR_{119}$, $-C(R_{120})=NOR_{121}$, $-C(S)NR_{122}R_{123}$ or $-C(C_1$-C_4alkylthio$)=NR_{124}$; or
two adjacent R_2 together form a C_1-C_7alkylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9; or
two adjacent R_2 together form a C_2-C_7alkenylene bridge, which may be interrupted by 1 or 2 non-adjacent oxygen atoms and may be substituted by C_1-C_6alkyl or C_1-C_6alkoxy, the total number of ring atoms being at least 5 and at most 9;
R_{71} is hydrogen or C_1-C_8alkyl;
R_{72} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, $-NO_2$, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{71} and R_{72} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or a sulfur atom;

R_{73} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, or is C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, it being possible for phenyl in turn to be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;

R_{74} is hydrogen or C_1-C_8alkyl;

R_{75} is hydrogen, C_1-C_8alkyl or C_3-C_7cycloalkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl, C_1-C_6alkoxy or –CN substituents; or

R_{75} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{74} and R_{75} together are a C_2-C_5alkylene chain, which may be interrupted by an oxygen or sulfur atom;

R_{76} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{77} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;

R_{78} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl; and

R_{79} is hydrogen or C_1-C_8alkyl;

R_{80} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or

R_{80} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or

R_{79} and R_{80} together are C_2-C_5alkylene;

R_{81} is hydrogen or C_1-C_8alkyl;

R_{82} is -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_8alkyl, whereby C_1-C_8alkyl is mono- or poly-substituted by halogen, -CN, -NH_2, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or C_1-C_4alkoxy;

R_{83} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_8alkyl, whereby C_1-C_8alkyl is mono- or poly-substituted by halogen, -CN, -NH_2, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino or C_1-C_4alkoxy;

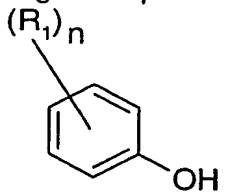
R_{84}, R_{85} and R_{86} are each independently of the others C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8-alkynyl, or C_1-C_8alkyl which is substituted by one or more halogen, –CN or C_1-C_4alkoxy substituents;

R_{87} and R_{89} are each independently of the other hydrogen, C_1-C_8alkyl or C_1-C_8alkoxy;
R_{88} is C_1-C_8alkyl;
R_{90} is hydrogen or C_1-C_8alkyl;
R_{91} is C_1-C_4alkyl;
R_{92} and R_{93} are each independently of the other C_1-C_6alkyl;
R_{94} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{95} is hydrogen or C_1-C_8alkyl;
R_{96} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{96} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{95} and R_{96} together are C_2-C_5alkylene;
R_{97} and R_{98} are each independently of the other hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{99} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{100} is hydrogen or C_1-C_8alkyl;
R_{101} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{101} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{100} and R_{101} together are C_2-C_5alkylene;
R_{102} is hydrogen or C_1-C_8alkyl;
R_{103} is hydrogen, C_1-C_8alkyl, -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl or C_3-C_8alkynyl;
R_{104} is C_1-C_6alkyl;
R_{105} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{106} is hydrogen or C_1-C_8alkyl;
R_{107} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl or –CN substituents; or

R_{107} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{106} and R_{107} together are C_2-C_5alkylene;
R_{108} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{109} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{110} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{111} is hydrogen or C_1-C_8alkyl;
R_{112} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or
R_{112} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{111} and R_{112} together are C_2-C_5alkylene;
R_{113} is hydrogen or C_1-C_8alkyl;
R_{114} is hydrogen, C_1-C_8alkyl, -Si(C_1-C_6alkyl)$_3$, C_3-C_8alkenyl or C_3-C_8alkynyl;
R_{115} is C_1-C_6alkyl;
R_{116} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl, each of which may be mono- or poly-substituted by one or more halogen, C_1-C_4alkoxy or phenyl substituents, wherein phenyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents;
R_{117} is hydrogen or C_1-C_8alkyl;
R_{118} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8alkoxycarbonyl or –CN substituents; or
R_{118} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{117} and R_{118} together are C_2-C_5alkylene;
R_{119} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{120} is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl or C_3-C_6cycloalkyl;
R_{121} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_4haloalkyl or C_3-C_6haloalkenyl;
R_{122} is hydrogen or C_1-C_8alkyl;
R_{123} is hydrogen or C_1-C_8alkyl, or is C_1-C_8alkyl substituted by one or more -COOH, C_1-C_8-alkoxycarbonyl or –CN substituents; or

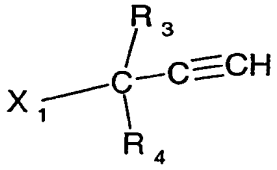
R_{123} is C_3-C_8alkenyl, C_3-C_8alkynyl, phenyl or benzyl, wherein phenyl and benzyl may in turn be substituted by one or more halogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, -CN, -NO_2, C_1-C_4alkylthio, C_1-C_4alkylsulfinyl or C_1-C_4alkylsulfonyl substituents; or
R_{122} and R_{123} together are C_2-C_5alkylene; and
R_{124} is hydrogen or C_1-C_8alkyl,
or an agrochemically acceptable salt or any stereoisomer or tautomer of a compound of formula I.

2. A process for the preparation of a compound of formula I according to claim 1, which process comprises reacting a compound of formula II



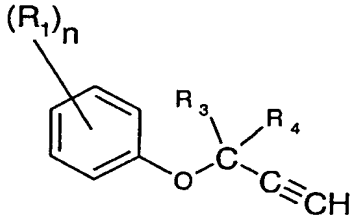
(II),

wherein R_1 and n are as defined in claim 1, in the presence of a base, with a compound of formula III



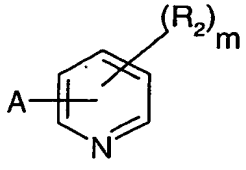
(III),

wherein R_3 and R_4 are as defined in claim 1 and X_1 is O-tosyl, O-mesyl, chlorine, bromine or iodine, to form a compound of formula IV

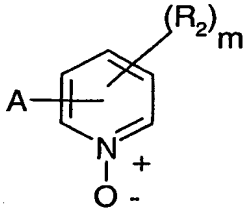


(IV),

wherein R_1, R_3, R_4 and n are as defined, and then coupling that compound with a compound of formula V or Va



(V) or



(Va),

wherein R_2 and m are as defined in claim 1 and A is a leaving group, in the presence of a palladium catalyst, and, if desired, oxidising the resulting pyridine derivative of formula I wherein Z is =N- to form the corresponding pyridine N-oxide of formula I wherein Z is $—\overset{\|}{N}\overset{+}{—}O^{-}$.

3. A herbicidal and plant-growth-inhibiting composition, comprising a herbicidally effective amount of a compound of formula I on an inert carrier.

4. A method of controlling undesired plant growth, which method comprises applying a compound of formula I, or a composition comprising such a compound, in a herbicidally effective amount to plants or to the locus thereof.

5. A method of inhibiting plant growth, which method comprises applying a compound of formula I, or a composition comprising such a compound, in a herbicidally effective amount to plants or to the locus thereof.

6. A compound according to claim 1, wherein Z is =N-; and each R_2 independently of any others is C_2-C_8alkenyl, or is C_2-C_8alkenyl mono- or poly-substituted by -CN, -NO_2, -CO_2R_{94}, -$CONR_{95}R_{96}$, -COR_{97}, -$C(R_{98})=NOR_{99}$, -$C(S)NR_{100}R_{101}$, -$C(C_1$-C_4alkylthio)=NR_{102}, -OR_{103}, -$Si(R_{104})_3$ or C_3-C_6cycloalkyl.

7. A compound according to claim 1, wherein each R_2 independently of any others is halogen, -CN, -SCN, -OCN, -N_3, -$CONR_{36}R_{37}$, -$C(R_{38})=NOR_{39}$, -COR_{40}, -OR_{41}, -SO_2R_{45}, -$N([CO]_pR_{46})COR_{47}$, -$N(R_{56})SO_2R_{57}$, -$N(SO_2R_{58})SO_2R_{59}$, -$N=C(OR_{60})R_{61}$ or C_1-C_8alkyl, or is C_1-C_8alkyl mono- or poly-substituted by halogen, -CN, -N_3, -SCN, -$CONR_{74}R_{75}$, -COR_{76}, -$C(R_{77})=NOR_{78}$, -$C(S)NR_{79}R_{80}$, -OR_{82}, -SOR_{84}, -SO_2R_{85} or -$N(R_{89})COR_{90}$.

8. A compound according to claim 1, wherein each R_1 independently of any others is halogen, -CN, C_1-C_3alkyl, C_1-C_3haloalkyl, C_1-C_3cyanoalkyl, -OR_{13} or -$C(R_{24})=NOR_{25}$; R_{13} is C_1-C_3alkyl or di(C_1-C_4-alkyl)amino-C_1-C_4alkyl; R_{24} is hydrogen or methyl; and R_{25} is hydrogen or C_1-C_3alkyl.

9. A compound according to claim 1, wherein R_3 and R_4 are each independently of the other hydrogen or methyl.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 02/08878

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N43/40 C07D213/61 C07D213/64 C07D213/16 C07D213/73
C07D213/57 C07D213/75 C07D213/65 C07D213/74

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
31 October 2002	08/11/2002

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Johnson, C

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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(74) Agent: BECKER, Konrad; Novartis AG, Patent– und Markenabteilung, Lichtstrasse 35, CH–4002 Basel (CH).

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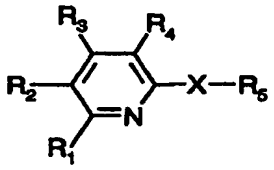
(54) Title: PYRIDINE DERIVATIVES



(I)

(57) Abstract

Compounds of the formula (I), wherein X and R_1 to R_5 are as defined in the description, are useful for treating disorders mediated full or in part by mGluR5.

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Pyridine derivatives

The invention relates to the use of 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo-pyridines for modulating the activity of mGluRs and for treating mGluR5 mediated diseases, to pharmaceutical compositions for use in such therapy, as well as to novel 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo-pyridines.

It has been found that 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo-pyridines including the pharmaceutically acceptable salts (hereinafter agents of the invention) are useful as modulators of mGluRs. Modulation of mGluRs can be demonstrated in a variety of ways, inter alia, in binding assays and functional assays such as second messenger assays or measurement of changes in intracellular calcium concentrations. For example, measurement of the inositol phosphate turnover in recombinant cell lines expressing hmGluR5a showed, for selected agents of the invention, IC_{50} values of about 1nM to about 50μM.

In particular, the agents of the invention have valuable pharmacological properties. For example, they exhibit a marked and selective modulating, especially antagonistic, action at human metabotropic glutamate receptors (mGluRs). This can be determined in vitro for example at recombinant human metabotropic glutamate receptors, especially PLC-coupled subtypes thereof such as mGluR5, using different procedures like, for example, measurement of the inhibition of the agonist induced elevation of intracellular Ca^{2+} concentration in accordance with L. P. Daggett et al. Neuropharm. Vol. 34, pages 871-886 (1995), P. J. Flor et al., J. Neurochem. Vol. 67, pages 58-63 (1996) or by determination to what extent the agonist induced elevation of the inositol phosphate turnover is inhibited as described by T. Knoepfel et al. Eur. J. Pharmacol. Vol. 288, pages 389-392 (1994), L. P. Daggett et al., Neuropharm. Vol. 67, pages 58-63 (1996) references cited therein. Isolation and expression of human mGluR subtypes are described in US-Patent No. 5,521,297. Selected agents of the invention showed IC_{50} values for the inhibition of the quisqualate-induced inositol phosphate turnover, measured in recombinant cells expressing hmGluR5a of about 1nM to about 50μM.

Accordingly the invention relates to agents of the invention for use in the treatment of disorders associated with irregularities of the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by mGluR5.

Disorders associated with irregularities of the glutamatergic signal transmission are for example epilepsy, cerebral ischemias, especially acute ischemias, ischemic diseases of the eye, muscle spasms such as local or general spasticity and, in particular, convulsions or pain.

Nervous system disorders mediated full or in part by mGluR5 are for example acute, traumatic and chronic degenerative processes of the nervous system, such as Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis and multiple sclerosis, psychiatric diseases such as schizophrenia and anxiety, depression and pain.

The invention also relates to the use of agents of the invention, in the treatment of disorders associated with irregularities of the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by Group I mGluRs.

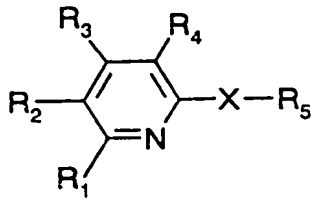
Furthermore the invention relates to the use of agents of the invention for the manufacture of a pharmaceutical composition designed for the treatment of disorders associated with irregularities of the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by Group I mGluRs.

In a further aspect the invention relates to a method of treating disorders mediated full or in part by group I mGluRs (preferentially mGluR5) which method comprises administering to a warm-blooded organism in need of such treatment a therapeutically effective amount of an agent of the invention.

In still a further aspect, the invention relates to novel 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo-pyridines and their salts, and to a process for preparing them.

Moreover the invention relates to a pharmaceutical composition comprising as pharmaceutical active ingredient, together with customary pharmaceutical excipients, a novel 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- or 2-heteroarylazo-pyridine or a pharmaceutically acceptable salt thereof.

Agents of the invention are for example compounds of formula I



(I),

wherein

R_1 denotes hydrogen, lower alkyl, hydroxy-lower alkyl lower alkyl-amino, piperidino, carboxy, esterified carboxy, amidated carboxy, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted N-lower-alkyl-N-phenylcarbamoyl, lower alkoxy, halo-lower alkyl or halo-lower alkoxy,

R_2 denotes hydrogen, lower alkyl, carboxy, esterified carboxy, amidated carboxy, hydroxy-lower alkyl, hydroxy, lower alkoxy or lower alkanoyloxy, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 represents hydrogen, lower alkyl, carboxy, lower alkoxy-carbonyl, lower alkyl-carbamoyl, hydroxy- lower alkyl, di- lower alkyl- aminomethyl, morpholinocarbonyl or 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy,

R_4 represents hydrogen, lower alkyl, hydroxy, hydroxy-lower alkyl, amino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl, unsubstituted or hydroxy-substituted lower alkyleneamino-lower alkyl, lower alkoxy, lower alkanoyloxy, amino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy, phthalimido-lower alkoxy, unsubstituted or hydroxy- or 2-oxo-imidazolidin-1-yl-substituted lower alkyleneamino-lower alkoxy, carboxy, esterified or amidated carboxy, carboxy-lower-alkoxy or esterified carboxy-lower-alkoxy,

X represents an optionally halo-substituted lower alkenylene or alkynylene group bonded via vicinal unsaturated carbon atoms or an azo (-N=N-) group, and

R_5 denotes an aromatic or heteroaromatic group which is unsubstituted or substituted by one or more substituents selected from lower alkyl, halo, halo-lower alkyl, halo-lower alkoxy, lower alkenyl, lower alkynyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl-lower alkynyl, hydroxy, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy, lower alkenyloxy, lower alkylenedioxy, lower alkanoyloxy, amino-, lower alkylamino-, lower alkanoylamino- or N-lower alkyl-N-lower alkanoylamino-lower alkoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted phenoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or

trifluoromethyl-substituted phenyl-lower alkoxy, acyl, carboxy, esterified carboxy, amidated carboxy, cyano, carboxy-lower alkylamino, esterified carboxy-lower alkylamino, amidated carboxy-lower alkylamino, phosphono-lower alkylamino, esterified phosphono-lower alkylamino, nitro, amino, lower alkylamino, di-lower alkylamino, acylamino, N-acyl-N-lower alkylamino, phenylamino, phenyl-lower alkylamino, cycloalkyl-lower alkylamino or heteroaryl-lower alkylamino each of which may be unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted,
customary photoaffinity ligands and customary radioactive markers, inclusive of their N-oxides and their pharmaceutically acceptable salts.

Compounds of formula I having basic groups may form acid addition salts, and compounds of the formula I having acidic groups may form salts with bases. Compounds of formula I having basic groups and in addition having at least one acidic group, may also form internal salts.

Also included are both total and partial salts, that is to say salts with 1, 2 or 3, preferably 2, equivalents of base per mole of acid of formula I, or salts with 1, 2 or 3 equivalents, preferably 1 equivalent, of acid per mole of base of formula I.

For the purposes of isolation or purification it is also possible to use pharmaceutically unacceptable salts. Only the pharmaceutically acceptable, non-toxic salts are used therapeutically and they are therefore preferred.

Halo in the present description denotes fluorine, chlorine, bromine or iodine.

When X represents an alkenylene group, configuration trans is preferred.

Preferred compounds of formula I are those wherein

X represents an optionally halo-substituted (C_{2-4})alkenylene or alkynylene group bonded via vicinal unsaturated carbon atoms,

R_1 is hydrogen, (C_{1-4}) alkyl, (C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, cyano, ethynyl, carboxy, (C_{1-4})alkoxycarbonyl, di(C_{1-4})alkylamino, (C_{1-6})alkylaminocarbonyl, trifluoromethylphenylaminocarbonyl,

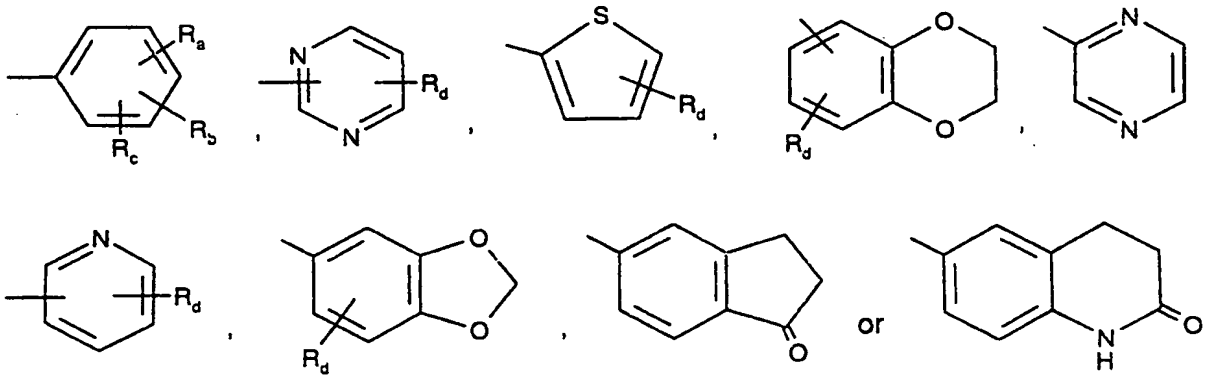
R_2 is hydrogen, hydroxy, (C_{1-4}) alkyl, hydroxy (C_{1-4}) alkyl, (C_{1-4}) alkoxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4}) alkoxycarbonyl, di(C_{1-4})alkylamino(C_{1-4})alkanoyl,

di(C_{1-4})alkylaminomethyl, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 is hydrogen, (C_{1-4}) alkyl, carboxy, (C_{1-4})alkoxycarbonyl, (C_{1-4})alkylcarbamoyl, hydroxy(C_{1-4})alkyl, di(C_{1-4})alkylaminomethyl, morpholinocarbonyl or 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy,

R_4 is hydrogen, hydroxy, (C_{1-4})alkoxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxycarbonyl, amino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkyl, carboxy (C_{1-4})alkylcarbonyl, (C_{1-4})alkoxycarbonyl(C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, di(C_{1-4})alkylamino(C_{1-4})alkoxy, m-hydroxy-p-azidophenylcarbonylamino(C_{1-4})alkoxy, and

R_5 is a group of formula



wherein

R_a and R_b independently are hydrogen, hydroxy, halogen, nitro, cyano, carboxy, (C_{1-4})alkyl, (C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, (C_{1-4})alkoxycarbonyl, (C_{2-7})alkanoyl, (C_{2-5})alkanoyloxy, (C_{2-5})alkanoyloxy(C_{1-4})alkyl, trifluoromethyl, trifluoromethoxy, trimethylsilylethynyl, (C_{2-5})alkynyl, amino, azido, amino (C_{1-4})alkoxy, (C_{2-5})alkanoylamino(C_{1-4})alkoxy, (C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino (C_{1-4})alkoxy, (C_{1-4})alkylamino, di(C_{1-4})alkylamino, monohalobenzylamino, thienylmethylamino, thienylcarbonylamino, trifluoromethylphenylaminocarbonyl, tetrazolyl, (C_{2-5})alkanoylamino, benzylcarbonylamino, (C_{1-4})alkylaminocarbonylamino, (C_{1-4})alkoxycarbonyl-aminocarbonylamino or (C_{1-4})alkylsulfonyl,

R_c is hydrogen, fluorine, chlorine, bromine, hydroxy, (C_{1-4})alkyl, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxy or cyano, and

R_d is hydrogen, halogen or (C_{1-4})alkyl.

More preferred compounds of formula I are those wherein X is as defined above and

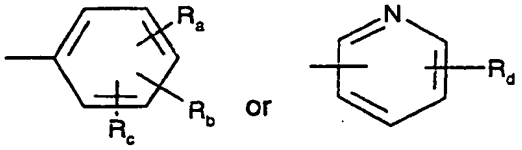
R_1 is hydrogen, (C_{1-4}) alkyl, (C_{1-4})alkoxy, cyano, ethynyl or di(C_{1-4})alkylamino,

R_2 is hydrogen, hydroxy, carboxy, (C_{1-4}) alkoxycarbonyl, di(C_{1-4})alkylaminomethyl, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 is as defined above,

R_4 is hydrogen, hydroxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxycarbonyl, amino (C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkyl or hydroxy(C_{1-4})alkyl, and

R_5 is a group of formula



wherein

R_a and R_b independently are hydrogen, halogen, nitro, cyano, (C_{1-4})alkyl, (C_{1-4})alkoxy, trifluoromethyl, trifluoromethoxy or (C_{2-5})alkynyl, and

R_c and R_d are as defined above.

The agents of the invention include, for example, the compounds described in the examples hereinafter.

The usefulness of the agents of the invention in the treatment of the above-mentioned disorders could be confirmed in a range of standard tests including those indicated below:

At doses of about 10 to 100 mg/kg i.p. or p.o. with pretreatment times of 15 min. to 8 hours, the agents of the invention show anticonvulsive activity in the electroshock induced convulsion model [cf. E.A. Swinyard, J. Pharm. Assoc. Scient. Ed. 38, 201 (1949) and J. Pharmacol. Exptl. Therap. 106, 319 (1952)].

At doses of about 4 to about 40 mg/kg p.o., the agents of the invention show reversal of Freund complete adjuvant (FCA) induced hyperalgesia [cf. J. Donnerer et al., Neuroscience 49, 693-698 (1992) and C.J. Woolf, Neuroscience 62, 327-331 (1994)].

For all the above mentioned indications, the appropriate dosage will of course vary depending upon, for example, the compound employed, the host, the mode of administration and the nature and severity of the condition being treated. However, in general, satisfactory results in animals are indicated to be obtained at a daily dosage of from about 0.5 to about 100 mg/kg animal body weight. In larger mammals, for example humans, an indicated daily dosage is in the range from about 5 to 1500 mg, preferably about 10 to about 1000 mg of the compound conveniently administered in divided doses up to 4 times a day or in sustained release form.

Preferred compounds for the above mentioned indications include (3-{2-[2-trans-(3,5-dichlorophenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethylamine (A), 2-methyl-6-styryl-pyridine (B), 2-(3-fluoro-phenylethynyl)-6-methyl-pyridine (C) and 2-(4-ethoxy-3-trifluoromethyl-phenylethynyl)-6-methyl-pyridine (D). It has for example been determined that in the above-mentioned electroshock induced convulsion model, compounds A and B show anticonvulsive activity with ED_{50}s of 30 and 35 mg/kg i.p. respectively (pretreatment times: 4 hours and 15 min. respectively) and that in the above-mentioned FCA induced hyperalgesia model, compounds C and D show reversal of the hyperalgesia with ED_{50}s of 4.2 and 19 mg/kg p.o. respectively (post-treatment time: 3 hours).

As indicated above, the agents of the invention include novel 2-arylalkenyl-, 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo-pyridines and their salts, hereinafter referred to as "compounds of the invention".

Compounds of the invention include compounds of formula I as defined above, and their salts, wherein X and R_1 to R_5 are as defined above, provided that when R_3 is hydrogen, a) in compounds of the formula I in which R_1, R_2 and R_4 are hydrogen, R_5 is different from phenyl, monohalophenyl, 2,4- and 3,4-dichlorophenyl, 3- and 4-trifluoromethylphenyl, methylphenyl, 3,4- and 2,5-dimethylphenyl, 4-isopropylphenyl, 3,5-di-tert.-butylphenyl, methoxyphenyl, 3,4-dimethoxyphenyl, 2,4,5- and 3,4,5-trimethoxyphenyl, hydroxyphenyl, 3,5-dihydroxyphenyl, 4-hydroxy-3,5-dimethyl-phenyl, 3-hydroxy-4-methoxy- and 4-hydroxy-3-methoxy-phenyl, 4-hydroxy-(3-methyl-5-tert.-butyl-, 2- and 4-acetylaminophenyl, 3,5-diisopropyl- and 3,5-di-tert.-butyl)phenyl, 4-carboxy- and 4-ethoxycarbonylphenyl, 4-cyanophenyl, 3-methoxycarbonylphenyl, 3-carboxy-5-methoxy-phenyl, 2-pyridinyl, 5-chloro-2-pyridinyl and 6-methyl-2-pyridinyl when X denotes ethenylene, or R_5 is different from phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-bromophenyl and 2- and 4-chlorophenyl when

X denotes 1,2-propylene attached to R_5 in 2-position, or R_5 is different from phenyl, 2- and 4-chlorophenyl and 3-methoxyphenyl when X denotes 1,2-propylene attached to R_5 in 1-position, or R_5 is different from 4-methoxyphenyl when X denotes 2,3-but-2-enylene or 1,2-but-1-enylene attached to R_5 in 2-position, or R_5 is different from 4-methoxyphenyl and 4-isopropyphenyl when X denotes 2,3-pent-2-enylene attached to R_5 in 3-position, or R_5 is different from phenyl, 4-methylphenyl, methoxyphenyl and 4-hydroxyphenyl when X denotes 3,4-hex-3-enylene;

b) in compounds of the formula I in which R_1 is methyl and R_2 and R_4 are hydrogen, R_5 is different from phenyl, 3-methylphenyl, 2-methoxyphenyl, 2-chlorophenyl, 4-cyanophenyl, , 2-pyridinyl and 6-methyl-2-pyridinyl when X denotes ethenylene;

c) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is carboxy, R_5 is different from phenyl, 3-methylphenyl, 4-methoxyphenyl and 4-bromophenyl when X denotes ethenylene;

d) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is methyl, R_5 is different from phenyl, 3-methoxy-, 4-methoxy- and 3,4-dimethoxyphenyl, 2-chloro- and 2,4-dichlorophenyl and 6-methyl-pyrid-2yl when X denotes ethenylene or R_5 is different from phenyl when X is 1,2-prop-1-enylene attached to R_5 in 2-position;

e) in compounds of the formula I wherein R_1 and R_2 are hydrogen and R_4 is 2-dimethyl-aminoethoxycarbonyl or 3-dimethylaminopropyloxycarbonyl, R_5 is different from 4-methoxy-phenyl when X denotes ethenylene;

f) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is 2-dimethoxy-ethoxy, R_5 is different from phenyl, 4-methylphenyl and 4-methoxycarbonylphenyl when X denotes ethenylene;

g) R_5 is different from phenyl when R_1 and R_2 are hydrogen and R_4 is hydroxy or ethoxy-carbonyl, or when R_1 and R_2 are hydrogen and R_4 is hydroxy, or when R_1 is methyl, R_2 is hydrogen and R_4 is methoxy, or R_1 is but-1-enyl, R_2 is hydrogen and R_4 is hydrogen, or R_1 is hydrogen and R_4 is 2-dimethoxyethoxy, and X is, in each case, ethenylene,

and provided that, when R_3 is hydrogen and X is ethynylene,

a') R_5 is different from phenyl, 2- and 4-nitrophenyl, 4-aminophenyl, 4-chlorophenyl, 4-methylphenyl, 4-methoxyphenyl, 4-ethoxycarbonylphenyl, 5-formyl-2-methoxy-phenyl, 5-carboxy-2-methyo-phenyl and pyridyl when R_1, R_2 and R_4 are hydrogen;

b') in compounds of the formula I in which R_2 and R_4 are hydrogen, R_5 is different from phenyl, 3-methylphenyl. 6-methylpyridin-2-yl and 2-methoxyphenyl when R_1 is methyl, R_5 is different form 6-bromopyridin-2-yl when R_1 is bromo, and R_5 is different form 6-hexyloxypyridin-2-yl when R_1 denotes hexyloxy;

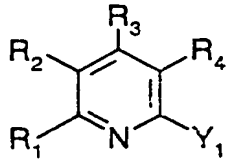
c') in compounds of the formula I wherein R_1 and R_4 are hydrogen, R_5 is different from phenyl, 4-aminophenyl and 4-propylphenyl when R_2 is methyl, R_5 is different from phenyl, 4-cyanophenyl and 4-pentylphenyl when R_2 is ethyl, R_5 is different form 3-cyano-4-ethoxy-phenyland 3-bromo-4-methoxy-phenyl when R_2 is butyl, R_5 is different from 4-methoxy-phenyl and 4 butyloxyphenyl when R_2 is pentyl, R_5 is different form 4-ter.-butylphenyl, 3-tert.-butyl-4-hydroxy-phenyl, 4-tert.-butyl-3-hydroxy-phenyl,and 4-hexyloxyphenyl when R_2 is carboxy, R_5 is different from phenyl when R_2 is methoxycarbonyl or methylcarbamoyl, R_4 is different form 3-tert.-butylphenyl, 3-tert.-butyl-4-hydroxy-phenyl and 4-(4-methylpentyl)phenyl when R_2 is ethoxycarbonyl, and R_5 is different from 4-pentyloxyphenyl when R_2 is 2-methylbutyloxycarbonyl;
d') in compounds of the formula I wherein R_1 and R_2 are hydrogen, R_5 is different from phenyl when R_4 is hydroxy, methyl, ethyl, carboxy, methoxycarbonyl or carbamoyl.

Preferred compounds of the invention are as indicated above for the agents of the invention.

The compounds of the invention can be prepared in analogy to the synthesis of known compounds of formula I.

Thus the compounds of the invention which are of formula I can be prepared for example by a process which comprises

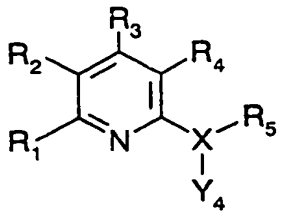
a) reacting a compound of the formula II



(II)

with a compound of the formula $Y_2 — R_5$ (III), in which either one of Y_1 and Y_2 denotes lower alkanoyl and the other one represents lower alkyl or triarylphosphoranylidenemethyl, or one of Y_1 and Y_2 denotes a reactive esterified hydroxy group and the other one represents a group $Y_3 — X$- in which Y_3 is hydrogen or a metallic group, and R_1, R_2, R_3, R_4 and R_5 have the meanings indicated hereinbefore and functional groups R_1, R_2, R_3 and R_4 as well as functional substituents of R_5 may be temporarily protected, or

b) eliminating $H — Y_4$ from a compound of the formula IV



(IV),

in which Y_4 denotes an electrofugal group and R_1, R_2, R_3, R_4, X and R_5 have the meanings indicated hereinbefore and functional groups R_1, R_2, R_3 and R_4 as well as functional substituents of R_5 may temporarily be protected, removing any temporary protecting groups

and, if desired, converting a compound of formula I obtainable by the above-defined processes into a different compound of formula I, resolving a mixture of isomers that may be obtained into the individual isomers and/or converting a compound of formula I having at least one salt-forming group obtainable by the above-defined processes into a salt, or converting a salt obtainable by the above-defined processes into the corresponding free compound or into a different salt.

A lower alkanoyl Y_2 or, more preferably, Y_1 group is, for example, a C_1-C_3alkanoyl group, such as formyl, acetyl or propionyl, especially formyl. A lower alkyl group Y_1 or, more preferably, Y_2 is, for example, a C_1-C_3alkyl group, such as methyl, ethyl or propyl, especially methyl. Triarylphosphoranylidenemethyl Y_2 or, more preferably, Y_1 is, for example, triphenylphosphoranylidenemethyl.

When one of Y_1 and Y_2 denotes a reactive esterified hydroxy group and the other one represents a group of the formula Y_3–X- in which Y_3 denotes hydrogen, the condensation is preferably performed according to the Heck coupling method, for example, in the presence of copper or of a copper catalyst or of a noble metal/phosphine catalyst, such as Palladium or a PdII salt in the presence of triaryl phosphine, for example, Palladium acetate, and of triphenylphosphine, or in the presence of bis-triphenylphosphine-palladium dichloride, preferably in the presence of a tri-lower alkyl amine, for example, trimethylamine, advantageously in the presence of Cu^{I}-I, in a polar organic solvent such as N,N-di-lower alkyl-alkanoic acid amide, for example, dimethylformamide, a di-lower alkyl sulfoxide, for example, dimethylsulfoxide, or dioxan, at temperatures from appropriately 15° C to appropriately 120° C, preferably at the boil.

When one of Y_1 and Y_2 denotes a reactive esterified hydroxy group and the other one represents a group of the formula Y_3–X- in which Y_3 denotes a metallic group such as a

halo-magnesium group, the reaction is preferably performed according to Grignard method, wherein the metallic intermediate is preferably formed *in situ*.

When one of Y_1 and Y_2 denotes lower alkanoyl and the other one represents lower alkyl, the intermolecular condensation of compounds of the formulae II and III is preferably performed according to the Shaw and Wagstaff method or one of its many modifications.

When one of Y_1 and Y_2 denotes lower alkanoyl and the other one represents triarylphosphoranylidenemethyl , the condensation is preferably performed according to the well known Wittig olefin-building method, preferably by forming the phosphoranylidene component from a corresponding triarylphosphonium halide *in situ*, for example, by reacting the latter with a metal base, such as an alkalimetal hydride, such as sodium hydride, or with a metal-organic base, such as a lower alkyl metal compound, such as butyllithium, or with an alkali metal alkanolate, for example, potassium tertiary butoxide, preferably in an inert organic solvent, such as an aromatic or arylaliphatic hydrocarbon, for example, benzene or toluene, at appropriately -10° C to appropriately 39° C, preferably first at 0° to 10° C and then at ambient temperature.

Electrofugal groups Y_4 are, for example, esterified hydroxy groups, such as hydroxy groups esterified with an organic acid, for example, lower alkanoyloxy or hydroxy groups esterified with an anorganic acid, for example, halo groups, or tertiary amino groups, such as tri-lower alkylamino groups, for example, trimethylamino, or lower-alkyleneamino, lower azaalkyleneamino, lower-oxyalkyleneamino or lower thiaalkyleneamino groups, such as pyrrolidino, piperidino, morpholino or thiomorpholino, or corresponding quaternary ammonium groups.

The protection of functional groups by such protecting groups, the protecting groups themselves and the reactions for their removal are described, for example, in standard works.

The elimination of H —Y_4 from compounds of formula IV can be performed in a customary manner. Thus, water or lower alkanoic acids may be eliminated by means of azeotropic distillation, for example, in toluene, advantageously under mild-acidic conditions. Hydrogen halides may be removed under basic conditions such as reaction with an alkalimetal alkanolate, preferably in the corresponding lower alkanol as a solvent or co-solvent, or by heating in the presence of a tertiary amine, such as a tri-lower alkylamine.

The starting materials for the above described reactions are generally known. Novel starting materials can be obtained in manner analogous to the methods for the preparation of known starting materials.

Compounds of formula I obtainable in accordance with the process can be converted into different compounds of formula I in customary manner, for example a free carboxy group may be esterified or amidated, an esterified or amidated carboxy group may be converted into a free carboxy group, an esterified carboxy group can be converted into an unsubstituted or substituted carbamoyl group, a free amino group can be acylated or alkylated, and a free hydroxy can be acylated.

Also, compounds of the formula I can be oxidized by customary methods such as reaction with an organic peroxy acid, yielding the corresponding pyridine-N-oxide derivatives.

Salts of compounds of formula I can also be converted in a manner known *per se* into the free compounds, for example by treatment with a base or with an acid.

Resulting salts can be converted into different salts in a manner known *per se*.

The compounds of formula I, including their salts, may also be obtained in the form of hydrates or may include the solvent used for crystallization.

As a result of the close relationship between the novel compounds in free form and in the form of their salts, hereinbefore and hereinafter any reference to the free compounds and their salts is to be understood as including the free compounds, as well as the corresponding salts.

In a compound of formula I the configuration at individual chirality centers can be selectively reversed. For example, the configuration of asymmetric carbon atoms that carry nucleophilic substituents, such as amino or hydroxy, can be reversed by second order nucleophilic substitution, optionally after conversion of the bonded nucleophilic substituent into a suitable nucleofugal leaving group and reaction with a reagent introducing the original substituent, or the configuration at carbon atoms having hydroxy groups can be reversed by oxidation and reduction, analogously to European Patent Application EP-A-0 236 734.

The invention relates also to pharmaceutical compositions comprising compounds of formula I.

The pharmacologically acceptable compounds of the present invention may be used, for example, in the preparation of pharmaceutical compositions that comprise an effective amount of the active ingredient together or in a mixture with a significant amount of inorganic or organic, solid or liquid, pharmaceutically acceptable carriers.

The pharmaceutical compositions according to the invention are compositions for enteral, such as nasal, rectal or oral, or parenteral, such as intramuscular or intravenous, administration to warm-blooded animals (human beings and animals) that comprise an effective dose of the pharmacological active ingredient alone or together with a significant amount of a pharmaceutically acceptable carrier. The dose of the active ingredient depends on the species of warm-blooded animal, body weight, age and individual condition, individual pharmacokinetic data, the disease to be treated and the mode of administration.

The pharmaceutical compositions comprise from approximately 1% to approximately 95%, preferably from approximately 20% to approximately 90%, active ingredient. Pharmaceutical compositions according to the invention may be, for example, in unit dose form, such as in the form of ampoules, vials, suppositories, dragées, tablets or capsules.

The pharmaceutical compositions of the present invention are prepared in a manner known *per se*, for example by means of conventional dissolving, lyophilizing, mixing, granulating or confectioning processes.

The doses to be administered to warm-blooded animals, for example human beings, of, for example, approximately 70 kg body weight, especially the doses effective in disorders caused by or associated with irregularities of the glutamatergic signal transmission, are from approximately 3 mg to approximately 3 g, preferably from approximately 10 mg to approximately 1 g, for example approximately from 20 mg to 500 mg, per person per day, divided preferably into 1 to 4 single doses which may, for example, be of the same size. Usually, children receive about half of the adult dose. The dose necessary for each individual can be monitored, for example by measuring the serum concentration of the active ingredient, and adjusted to an optimum level.

The following non-limiting Examples serve to illustrate the invention; temperatures are given in degrees Celsius, pressures in mbar.

EXAMPLE 1

3-[2-(6-Methylpyridin-2-yl)-vinyl]-benzonitrile

A solution of 2,6-dimethyl pyridine (4.2ml, 36.28 mMol), 3-cyanobenzaldehyde (4.95g, 37.74 mMol) in acetic anhydride (6.85 ml) is heated under reflux for 16 hours. The acetic anhydride is then evaporated in vacuo and the residue purified on column chromatography (silica gel 400g). The column is first eluted with toluene (400 ml) and then with toluene/ethyl acetate 95:5. The fractions containing the desired compound are combined, evaporated in vacuo. The solid residue is recrystallized from methylene chloride/hexane and 3.18 g of white crystals are isolated. (melting point: 91-92°).

EXAMPLE 2:

2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile

A solution of 2,6-dimethyl pyridine (5.8 ml, 50 mMol), 2-cyanobenzaldehyde (6.81 g, 52 mMol) in acetic anhydride (9.5 ml) is heated under reflux for 16 hours. The acetic anhydride is then evaporated in vacuo and the residue purified on column chromatography (silica gel 400g). The column is first eluted with toluene (400 ml) and then with toluene/ethyl acetate 95:5. The fractions containing the desired compound are combined, evaporated in vacuo. The solid residue is recrystallized from methylene chloride/diisopropyl ether and white crystals are isolated. (melting point: 113-114°).

EXAMPLE 3

2-Methyl-6-[2-(pyridin-4-yl)-vinyl]-pyridine

A solution of 2,6-dimethyl pyridine (5.8 ml, 50 mMol), pyridine-4-carbaldehyde (4.9 ml, 52 mMol) in acetic anhydride (9.5 ml) is heated under reflux for 16 hours. The acetic anhydride is then evaporated in vacuo and the residue purified on column chromatography (silica gel 900g). The column is first eluted with toluene/acetone 4:1 (5 L), then with toluene/acetone 3:1 (5 L) and finally with toluene/acetone 2:1 (15 L). The fractions containing the desired compound are combined, evaporated in vacuo. The solid residue is recrystallized from methylene chloride/diisopropyl ether and 0.956 g of white crystals are isolated. (melting point: 72-73°C).

EXAMPLE 4

2-Methyl-6-[2-(pyridin-3-yl)-vinyl]-pyridine

A solution of 2,6-dimethyl pyridine (5.8 ml, 50 mMol), pyridine-3-carbaldehyde (4.9 ml, 52 mMol) in acetic anhydride (9.5 ml) is heated under reflux for 10 hours. The acetic anhydride is then evaporated in vacuo and the residue purified on column chromatography anhydride is then evaporated in vacuo and the residue purified on column chromatography (silica gel 900g). The column is first eluted with toluene/acetone 9:1 (7 L), then with toluene/acetone 4:1 (5 L) and finally with toluene/acetone 2:1 (5 L). The fractions containing the desired compound are combined, evaporated in vacuo. The solid residue is recrystallized from methylene chloride/diisopropyl ether and 4.28 g of a colorless oil which solidify upon standing at 6-8°C.

EXAMPLE 5

2-[2-(3-Bromophenyl)ethynyl]-6-methyl-pyridine

1.2 g (2.8 mMol) of 2-[1,2-dibromo-2-(3-bromophenyl)-ethyl]-6-methyl-pyridine are dissolved in 10 ml of ethanol. 0.9 g (16.1 mMol) of potassium hydroxide (powder) are added, and the resulting suspension is heated under reflux for 4 hours. The suspension is then cooled to room temperature, poured into 100 ml of brine and extracted thrice with 30 ml each of *t*-butyl methyl ether. The combined organic phases are washed with 30 ml of brine, dried over Sodium sulfate, filtrated and evaporated *in vacuo*. 0.720 g of the title compound are obtained as a colorless oil crystallizing on standing; melting point 60-61°.

The starting material can be obtained as follows:

a) 2-[2-(3-Bromophenyl)-vinyl]-6-methyl-pyridine

A solution of 24 ml (200 mMol) of 2,6-dimethyl pyridine and 25.6 ml (207 mMol) of 3-bromobenzaldehyde in 38 ml of acetic anhydride is heated under reflux for 7.5 hours. The acetic anhydride is then evaporated *in vacuo*, and the residue is dissolved in 500 ml of 4N hydrochloric acid and twice extracted with 200 ml each of hexane. The water phase is then extracted four times with 300 ml each of tert.-butyl methyl ether. The combined organic phases are washed twice with 300 ml each of a saturated solution of $NaHCO_3$ in water, then once with 300 ml of brine (300 ml), dried over sodium sulfate, filtrated and evaporated *in vacuo* yielding 4.2 g of the title compound as colorless crystals of melting point 58-59°.

b) 2-[1,2-dibromo-2-(3-bromophenyl)-ethyl]-6-methyl-pyridine

1 g (3.6 mMol) of 2-(3-Bromo-phenylethynyl)-6-methyl-pyridine are dissolved in 5 ml of carbon tetrachloride, and the solution is heated to 55-60°. A solution of 0.23 ml (4.4 mMol) of bromine Br_2 in 1 ml of carbon tetrachloride is added dropwise. The reaction mixture is maintained at 55-60° for 30 minutes and then cooled to room temperature. The resulting precipitate is collected by filtration and dried *in vacuo*. 1.3 g of the title compound in form of yellow crystals of melting point 164-166are isolated.

EXAMPLE 6

3-[2-(6-Methylpyridin-2-yl)ethynyl]-benzonitrile

A mixture of 1 g (8.54 mMol) 2-ethynyl-6-methyl-pyridine (prepared in analogy to D. E. Ames et al., Synthesis, 1981, p. 364-5), 2.3 g (12.8 mMol) 3-bromo-benzonitrile, 0.47 g (0.7 mMol) bis-(triphenylphosphine)-palladium-II-chloride, 80 mg (0.41 mMol) cuprous iodide and 1.53 ml (15 mMol) triethylamine in 10 ml dimethylformamide is stirred for 3 hours at 90° C. The reaction mixture is cooled to ambient temperature, poured into water and extracted with dichloromethane. The organic layer is dried over sodium sulfate, filtered, evaporated to dryness and the residue is purified by chromatography on silica gel with hexane/ethyl acetate (4:1) as eluant. Crystallization from hexane of the obtained product affords 0.53 g (28.4 %) of the title compound as brown crystals, melting point 120-3° C.

EXAMPLE 7

In analogous manner to Example 1 (when X is alkenylene) or Example 5 (when X is alkynylene), the following compounds of formula I can be prepared:

Compound of formula I	**Melting point (°C)**
2-Styryl-pyridin-3-ol	249-252
2-Methyl-6-[2-(3-nitro-phenyl)-vinyl]-pyridine	100-101
2-[2-(2-Chloro-phenyl)-vinyl]-pyridine	colorless oil
2-Methyl-6-styryl-pyridine	40-42
Acetic acid 6-[2-(2-chloro-phenyl)-vinyl]-pyridin-3-yl ester	75-77
6-[2-(2-Chloro-phenyl)-vinyl]-pyridin-3-ol	168-171
Acetic acid 2-[2-(2-chloro-phenyl)-vinyl]-pyridin-3-yl ester	99-102

2-[2-(2-Chloro-phenyl)-vinyl]-pyridin-3-ol	232-234
6-Methyl-2-styryl-pyridin-3-ol	261 dec
Acetic acid 2-[2-(2-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester	92-94
2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol	232-234
(Z)-6-Methyl-2-styryl-pyridin-3-ol	145-148
2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridine	51-52
2-[2-(2-Fluoro-phenyl)-vinyl]-pyridine	69-70
2-[2-(2-Nitro-phenyl)-vinyl]-pyridine	97-99
Acetic acid 2-[2-(4-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester	102-103
Acetic acid 6-[2-(4-chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester	130-131
2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol	275-278 dec
6-[2-(4-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol	265-270 dec
Acetic acid 6-methyl-2-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-yl ester	139-140
6-Methyl-2-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-ol	190-195 dec
Acetic acid 2-methyl-6-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-yl ester	99-100
2-Methyl-6-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-ol	230-233 dec
Acetic acid 2-[2-(3-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester	97-99
Acetic acid 6-[2-(3-chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester	112-114
2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol	232-235
6-[2-(3-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol	230-232
(Z)-(6-Styryl-pyridin-2-yl)-methanol	69-70
(E)-(6-Styryl-pyridin-2-yl)-methanol	58-60
2,2'-(1,2-Ethenediyl)bis[6-methyl]-pyridine	108-110
Dimethyl-[3-(6-methyl-2-styryl-pyridin-3-yloxy)-propyl]-amine;hydrochloride salt	136-139
(E)-6-[2-(2-Pyridyl)vinyl]-2-picoline	56-57
2-Methyl-6-styryl-pyridine 1-oxide	102-103
2-Styryl-pyridine 1-oxide	156-159
(E)-6-Methyl-2-(2-pyridin-2-yl-vinyl)-pyridin-3-ol	240-242
(Z)-6-Methyl-2-(2-pyridin-2-yl-vinyl)-pyridin-3-ol; HCl salt	225-228
6-Styryl-pyridine-2-carbonitrile	92-93
2-[2-(2,6-Dichloro-phenyl)-vinyl]-6-methyl-pyridine	light yell. oil
3-Methoxy-6-methyl-2-styryl-pyridine	light yell. oil
6-Styryl-pyridine-2-carboxylic acid amide	141-142
2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile	113-114

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile	91-92
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile	131-132
6-Styryl-pyridine-2-carboxylic acid; HCl Salt	209-212
6-Styryl-pyridine-2-carboxylic acid methyl ester	87-88
Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	colorless oil
2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenol	227-229
Acetic acid 2-methoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	102-103
2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridine	59-61
2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridine	83-85
2-[2-(2-Chloro-phenyl)-vinyl]-5-ethyl-pyridine	34-35
1-(6-Styryl-pyridin-2-yl)-ethanone	67-68
6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-nicotinic acid ethyl ester	80-82
2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-nicotinic acid ethyl ester	70-72
2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid; HCl salt	218-219
3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid	150-151
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid	206-207
3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid methyl ester; HCl salt	237-238
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid methyl ester	112-113
2-Methoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	118-119
{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-methanol; HCl salt	230-231
6-Styryl-pyridine-2-carboxylic acid .tert.-butylamide	87-88
2-(2-Bromo-2-phenyl-vinyl)-6-methyl-pyridine; HCl salt	150-154
2-Methyl-6-phenylethynyl-pyridine; HCl salt	146-148
6-Styryl-pyridine-2-carboxylic acid hexylamide; HCl salt	118-125
6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-nicotinic acid	219-221 dec
2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-nicotinic acid	168-170
2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridine	75-77
2-Methyl-6-[2-(3-trifluoromethyl-phenyl)-vinyl]-pyridine	44-45
(E)-6-[2-(4-pyridyl)vinyl]-2-Picoline	72-73
N,N-Diethyl-3-[2-(6-methyl-pyridin-2-yl)-vinyl]-benzamide; HCl salt	227-228
N,N-Diethyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-benzamide; HCl salt	183-184
(E)-6-[2-(3-pyridyl)vinyl]-2-Picoline	yellowish oil
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetic acid ethyl ester	colorless gum

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-.N.-(3-trifluoromethyl-phenyl)-benzamide; HCl salt	249-251
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-.N.-(3-trifluoromethyl-phenyl)-benzamide	160-161
2-[2-(3-Nitro-phenyl)-vinyl]-pyridine	127-128
6-Styryl-pyridine-2-carboxylic acid (3-trifluoromethyl-phenyl)-amide	126-129
2-(6-Styryl-pyridin-2-yl)-propan-2-ol, HCl salt	171-174
2-Methyl-6-(2-thiophen-2-yl-vinyl)-pyridine, HCl salt	208-211
2-[2-(3-Chloro-phenyl)-vinyl]-pyridine	51-53
2-[2-(3-Cyano-phenyl)-vinyl]-pyridine	85-86
2-[2-(3-Bromo-phenyl)-vinyl]-6-methyl-pyridine	58-59
2-[2-(3-Bromo-phenyl)-2-fluoro-vinyl]-6-methyl-pyridine	58-59
2-[2-(3,5-Dimethylphenyl)-2-fluoro-vinyl]-6-methyl-pyridine	70-72
2-[2-(2,3-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine	colorless oil
2-[2-(2,3-Dichloro-phenyl)-vinyl]-6-methyl-pyridine	67-68
2-[2-(3-Chloro-phenyl)-1-methyl-vinyl]-pyridine	colorless oil
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl}-methanol	87-90
2-Methyl-6-[2-(3-trimethylsilanylethynyl-phenyl)-vinyl]-pyridine	yellowish oil
2-[2-(3,4-Difluoro-phenyl)-vinyl]-6-methyl-pyridine	61-62
2-[2-(3-Ethynyl-phenyl)-vinyl]-6-methyl-pyridine	yellowish oil
2-[2-(3,5-Difluoro-phenyl)-vinyl]-6-methyl-pyridine	yellowish oil
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridine	yellowish oil
2-[2-(3-Methoxy-phenyl)-vinyl]-6-methyl-pyridine	yellowish oil
2-Methyl-6-[2-(3-phenoxy-phenyl)-vinyl]-pyridine	yellowish oil
2-[2-(3-Benzyloxy-phenyl)-vinyl]-6-methyl-pyridine	68-69
2-[2-(2,5-Difluoro-phenyl)-vinyl]-6-methyl-pyridine	44-45
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetic acid	230-233
(3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine	203-205
{6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-methanol	131-133
2-(3-Bromo-phenylethynyl)-6-methyl-pyridine	61-63
2-Methyl-6-{2-[3-(3-trifluoromethyl-phenoxy)-phenyl]-vinyl}-pyridine	yellowish oil
2-[2-(3,5-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine	43-45
2-[2-(3-Chloro-phenyl)-vinyl]-3-methoxy-6-methyl-pyridine	52-53
Acetic acid 4-bromo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	yellowish oil
Acetic acid 3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	yellowish oil

2-[2-(3,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridine	73-75
4-Bromo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	246-248
Acetic acid 2-[2-(3,5-dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester	156-158
Acetic acid 6-[2-(3,5-dichloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester	159-161
Acetic acid 2-[2-(3,5-dichloro-phenyl)-vinyl]-pyridin-3-yl ester	154-156
2-Methyl-6-(2-naphthalen-1-yl-vinyl)-pyridine	yellowish oil
2-[2-(2,3-Dihydro-benzo[1,4]dioxin-6-yl)-vinyl]-6-methyl-pyridine	99-101
2-Methyl-6-(2-naphthalen-2-yl-vinyl)-pyridine	97-99
2-Methyl-6-(2-m-tolyl-vinyl)-pyridine	yellowish oil
2-{2-[3-(3,5-Dichloro-phenoxy)-phenyl]-vinyl}-6-methyl-pyridine	yellowish gum
2-[2-(3-Chloro-phenyl)-propenyl]-6-methyl-pyridine	yellowish oil
2-[2-(2,3-Dihydro-benzofuran-5-yl)-vinyl]-6-methyl-pyridine	88-90
2-[2-(4-Fluoro-phenyl)-vinyl]-6-methyl-pyridine	50-51
2-Methyl-6-(2-o-tolyl-vinyl)-pyridine	yellowish oil
2-Methyl-6-(2-p-tolyl-vinyl)-pyridine	85-86
2-Methyl-6-(2-p-tolyl-propenyl)-pyridine	yellowish oil
3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamine	126-129
(2,3-Dimethoxy-7-nitro-quinoxalin-5-ylmethyl)-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine	pale orange foam
N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide	147
N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-2-phenyl-acetamide	156
2,2-Dimethyl-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-propionamide	166-168
Thiophene-2-carboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide	197 dec
Cyclohexanecarboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide	215
1-(4-Bromo-phenyl)-3-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea	197 dec
2-Methyl-6-[2-(4-nitro-phenyl)-vinyl]-pyridine	134-135
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamine	147-148
2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol	218-220
6-[2-(3,5-Dichloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol	286 dec
2-[2-(3,5-Dichloro-phenyl)-vinyl]-pyridin-3-ol	240-242
2-[2-(6-Chloro-benzo[1,3]dioxol-5-yl)-vinyl]-6-methyl-pyridine	131-132
2-[2-(2,3-Difluoro-phenyl)-vinyl]-6-methyl-pyridine	55-56
2-[2-(3,4-Dichloro-phenyl)-propenyl]-6-methyl-pyridine	yellowish oil

2-[2-(3,5-Bis-trifluoromethyl-phenyl)-vinyl]-6-methyl-pyridine	85-86
Acetic acid 2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	yellowish oil
2-Methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	118-120
2-Methyl-6-[2-(2,3,6-trifluoro-phenyl)-vinyl]-pyridine	59-62
2-[2-(4-Fluoro-3-trifluoromethyl-phenyl)-vinyl]-6-methyl-pyridine	yellowish oil
2-Methyl-6-(2,3,6-trifluoro-phenylethynyl)-pyridine	93-94
Acetic acid 4-chloro-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	yellowish oil
Acetic acid 2,6-di-.tert.-butyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	127-128
3-(6-Methyl-pyridin-2-ylethynyl)-benzamide	187-189
Acetic acid 4-bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester	151-153
2-(6-Chloro-benzo[1,3]dioxol-5-ylethynyl)-6-methyl-pyridine	105-106 light brown crystals
2-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-6-methyl-pyridine	127-129
2-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-pyridine	111-113
5-Azido-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	143 dec
2-[2-(Pyridin-3-yl)ethynyl]-6-methyl-pyridine	light yellow crystals 60-61
N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-succinamic acid	212-213
1-tert.-Butyl-3-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea	191-192
5-({3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamino}-methyl)-7-nitro-1,4-dihydro-quinoxaline-2,3-dione	250 dec
Tetrahydro-furan-2-carboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide	160-161
(1-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-2-phenyl-ethyl)-carbamic acid tert.-butyl ester	colorless foam
({3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-methyl)-carbamic acid tert.-butyl ester	colorless foam
Diethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine	217 dec
Ethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine	225 dec
Ethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine	183 dec
2-(2-Ethoxy-3,6-difluoro-phenylethynyl)-6-methyl-pyridine	yellowish oil
2-(3,5-Difluoro-phenylethynyl)-6-methyl-pyridine	yellowish oil
2-(3-Fluoro-phenylethynyl)-6-methyl-pyridine	26-28
2-[2-(3,5-Dimethyl-phenyl)-vinyl]-6-methyl-pyridine	56-57

2-[2-(3,4-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine	55-56
2-(3,4-Dichloro-phenylethynyl)-6-methyl-pyridine	73-74
2-(4-Ethoxy-3-trifluoromethyl-phenylethynyl)-6-methyl-pyridine	61-62
2-(4-Fluoro-phenylethynyl)-6-methyl-pyridine	98-100
2-Methyl-6-.o.-tolylethynyl-pyridine	yellowish oil
2-(3,4-Difluoro-phenylethynyl)-6-methyl-pyridine	65-68
2-Methyl-6-[2-(2,3,5-trichloro-phenyl)-vinyl]-pyridine	80-82
1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-ethanone	76-78
2-Methyl-6-(3-trifluoromethyl-phenylethynyl)-pyridine	35-37
2-Methyl-6-(3-nitro-phenylethynyl)-pyridine	99.5-102.5
6-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-2-methyl-pyridine	98-100
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl}-morpholin-4-yl-methanone	123-125
(3-{2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	207-210
N-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-succinamic acid	201 dec
N-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-2-phenyl-acetamide	236-237 dec
({4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-methyl)-carbamic acid .tert.-butyl ester	144-145 dec
1-tert.-Butyl-3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea	209 dec
{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-thiophen-2-ylmethyl-amine hydrochloride salt	161-162
Cyclohexylmethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine hydrochloride salt	178-179 dec
{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-thiophen-2-ylmethyl-amine	100
Cyclohexylmethyl-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine	106-107
2-Amino-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-3-phenyl-propionamide	102
2-Amino-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide	105
2-Amino-N-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide	217-219 dec
1-[1-({2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetyl)-piperidin-4-yl]-imidazolidin-2-one	amorphous foam
(1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamino}-ethyl)-phosphonic acid dimethyl ester	orange amorphous solid
2-[2-(2-Methoxy-phenyl)-vinyl]-6-methyl-pyridine	129-130

2-(3-Ethoxy-4-fluoro-phenylethynyl)-6-methyl-pyridine	82-83
2-(3-Chloro-phenylethynyl)-6-methyl-pyridine	57-59
1-(3-Pyridin-2-ylethynyl-phenyl)-ethanone	48-51
4-Chloro-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	256-260
4-Bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	121-123
2-Methyl-6-.m.-tolylethynyl-pyridine	57-58
2-(2,5-Difluoro-phenylethynyl)-6-methyl-pyridine	49-50
2-(3,5-Dimethyl-phenylethynyl)-6-methyl-pyridine	yellowish oil
2-[2-(3,5-Dibromo-phenyl)-vinyl]-6-methyl-pyridine	68-70
2-Methyl-6-[2-(pyrimidin-5-yl)-ethynyl]-pyridine	110-112
(2-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-ethyl)-dimethyl-amine	165-167
Acetic acid 1-{4-[2-(6-methyl-pyridin-2-yi)-vinyl]-phenyl}-ethyl ester	
3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenol	250-251
3-(6-Methyl-pyridin-2-ylethynyl)-phenylamine	129-130
N-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-2-phenyl-acetamide	133-135 dec
Thiophene-2-carboxylic acid [3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-amide	156-157 dec
2-Methyl-6-(thiophen-2-ylethynyl)-pyridine	34-36
3-(6-Methyl-pyridin-2-ylethynyl)-benzoic acid ethyl ester	56-58
2-(3,5-Dibromo-phenylethynyl)-6-methyl-pyridine	100:101
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ylmethyl}-dimethyl-amine	227-229 dec
(3-{6-[2-(3-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yloxy}-propyl)-dimethyl-	184-186
5-Azido-4-iodo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	red glass
2,6-Di-tert-butyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	126-127
1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-ethanol	97-99
2-Methyl-6-[2-(pyrimidin-2-yl)-ethynyl]-pyridine	144-145
[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-phenyl-methanone	99-100
6-(6-Methyl-pyridin-2-ylethynyl)-3,4-dihydro-1H-quinolin-2-one	189-191
2-(3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-isoindole-1,3-dione	101-103
3-Methoxy-6-methyl-2-.m.-tolylethynyl-pyridine	brown oil
Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-4-nitro-phenyl ester	129-131
6-(6-Methyl-pyridin-2-ylethynyl)-indan-1-one	160-165
2-Methyl-6-[2-(pyrazin-2-yl)-ethynyl]-pyridine	95-96

N-Methyl-N-(3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-acetamide	62-70
2-[2-(3,5-Bis-trifluoromethyl-phenyl)-1-ethoxy-vinyl]-6-methyl-pyridine	yellow oil
Acetic acid 2-phenylethynyl-pyridin-3-yl ester	brown oil
Acetic acid 6-methyl-2-.m.-tolylethynyl-pyridin-3-yl ester	brown oil
Acetic acid 4-[2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenyl ester	91-93
2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-4-nitro-phenol	275 dec
Dimethyl-[3-(2-phenylethynyl-pyridin-3-yloxy)-propyl]-amine	yellowish oil
Dimethyl-(3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-amine	240-243
1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-ethanone	56-58
2-(3-Fluoro-phenylethynyl)-quinoline	81-83
Acetic acid 2-methyl-6-styryl-pyridin-3-yl ester	93-96
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol	141-143
3-Ethoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol	175-178 dec
4-(6-Methyl-pyridin-2-ylethynyl)-2-nitro-phenol	184-187 dec
Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-6-nitro-phenyl ester	105-110 dec
Dimethyl-[3-(6-methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-amine	yellow gum
2-Azido-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol	155-157 dec
Dimethyl-[3-(6-methyl-2-.m.-tolylethynyl-pyridin-3-yloxy)-propyl]-amine	yellowish oil
2-(3-Methanesulfonyl-phenylethynyl)-6-methyl-pyridine	108-110 dec
3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propylamine	186-189
4-Azido-.N.-(3-{2-[2-(3-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-2-hydroxy-benzamide	99-102 dec
3-[3-(3-Dimethylamino-propoxy)-6-methyl-pyridin-2-ylethynyl]-benzonitrile	yellow gum
5-(6-Methyl-pyridin-2-ylethynyl)-indan-1-one	133-134
2-Methyl-6-(2,3,5-trichloro-phenylethynyl)-pyridine	112-114
2-[2-(6-methyl-pyridin-3-yl)ethynyl]-6-methyl-pyridine	118-119
Dimethyl-{3-[6-methyl-2-(3-trifluoromethyl-phenylethynyl)-pyridin-3-yloxy]-propyl}-amine	yellow gum
2-[2-(6-methyl-pyridin-3-yl)ethynyl]-3-methoxy 6-methyl-pyridine hydrochloride salt	198-199
2-Methyl-6-(5,6,7,8-tetrahydro-naphthalen-2-ylethynyl)-pyridine	50-51
3-[2-(3-Chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propylamine	151-153
(3-{4-Bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-dimethyl-amine;	211-215

[6-(3-Fluoro-phenylethynyl)-pyridin-2-yl]-dimethyl-amine	brown oil
6'-(3-Fluoro-phenylethynyl)-3,4,5,6-tetrahydro-2.H.-[1,2']bipyridinyl	brown gum
{3-[2-(3-Chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-dimethyl-amine	158-160
4-Azido-.N.-{3-[2-(3-chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-2-hydroxy-benzamide	161-163 dec
1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-1H-[1,2,4]triazole-3-carboxylic acid ethyl ester	105-110 dec
1-[3-(6-Methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-piperidin-3-ol	108-109
2-Ethynyl-6-(3-fluoro-phenylethynyl)-pyridine	89-90
3-Methyl-6-(6-methyl-pyridin-2-ylethynyl)-3H-benzooxazol-2-one	172-174
1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-1H-[1,2,4]triazole-3-carboxylic acid dimethylamide	154-157
1-[3-(6-Methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-piperidin-4-ol	amorphous white solid
5-(6-Methyl-pyridin-2-ylethynyl)-2-nitro-phenol	150-151 dec
5-[2-Bromo-2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol	158-159
5-[2-(6-Methyl-pyridin-2-yl)-E-vinyl]-2-nitro-phenol	171-173
5-[2-(6-Methyl-pyridin-2-yl)-Z-vinyl]-2-nitro-phenol	108-110
4-Azido-2-hydroxy-.N.-[3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-benzamide	180-182 dec
5-(3-Dimethylamino-propoxy)-6-phenylethynyl-pyridine-2-carboxylic acid ethyl ester	160-162
6-Methyl-2-styryl-pyrimidin-4-ol	221-225
2-Ethyl-6-(3-fluoro-phenylethynyl)-pyridine	brown oil
2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridine	74-76
2-Methyl-6-(3-trifluoromethoxy-phenylethynyl)-pyridine	<30; brown crystals
2-Methyl-6-(3-[1,2,4]triazol-1-yl-phenylethynyl)-pyridine	128-130
4-(6-Methyl-pyridin-2-ylethynyl)-phthalonitrile	138-140
2-Methyl-6-{2-[3-(1.H.-tetrazol-5-yl)-phenyl]-vinyl}-pyridine; compound with formic acid	234-240
3-[2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propylamine	97-100
{3-[2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-dimethyl-amine	171-173
2-(3,5-Dimethyl-phenylethynyl)-3-methoxy-6-methyl-pyridine	yellowish oil
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridin-3-ol	251-253 Dec.

6-(3-Fluoro-phenylethynyl)-2-methyl-nicotinic acid ethyl ester	84-86
2-Azido-5-(6-methyl-pyridin-2-ylethynyl)-phenol	153-155 dec
6-(3,4-Dimethoxy-phenylethynyl)-5-(3-dimethylamino-propoxy)-pyridine-2-carboxylic acid ethyl ester	149-152
2-(4-Methoxy-3-trifluoromethyl-phenylethynyl)-6-methyl-pyridine	56-87
2-(3-Fluoro-phenylethynyl)-6-methoxy-pyridine	brown oil
2-(3-Fluoro-phenylethynyl)-5-methyl-pyridine	74-76
6-(3,5-Dichloro-phenylethynyl)-5-(3-dimethylamino-propoxy)-pyridine-2-carboxylic acid ethyl ester	195-198
5-(3-Dimethylamino-propoxy)-6-(3,5-dimethyl-phenylethynyl)-pyridine-2-carboxylic acid ethyl ester	187-190
6-(3-Fluoro-phenylethynyl)-2-methyl-nicotinic acid	173-175
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanol	116-118
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanone	138-140
2-(3-Fluoro-phenylethynyl)-6-methyl-nicotinic acid ethyl ester	brown oil
2-(3-Fluoro-phenylethynyl)-4,6-dimethyl-pyridine	brown oil
6-(3-Fluoro-phenylethynyl)-.N.-(5-methoxy-indan-2-ylmethyl)-2-methyl-nicotinamide	157-159
{[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-amino}-phenyl-acetic acid methyl ester	133-135
2-Methyl-6-(5-methyl-thiophen-2-ylethynyl)-pyridine	58-59
2-Methyl-6-(2,3,5-trimethyl-phenylethynyl)-pyridine	brown oil
3-{2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propan-1-ol	86-88
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-ylmethyl]-dimethyl-amine	220-222
2,2-Dimethyl-propionic acid 3-[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl ester	yellowish oil
2-Azido-4-iodo-5-(6-methyl-pyridin-2-ylethynyl)-phenol	140 dec
6-Azido-2,4-diiodo-3-(6-methyl-pyridin-2-ylethynyl)-phenol	162 dec
4-Azido-2-hydroxy-5-iodo-.N.-[3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-benzamide	185 dec
Acetic acid 3-acetoxymethyl-5-(6-methyl-pyridin-2-ylethynyl)-benzyl ester	brown oil
(Benzyl-{[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-acetyl}-amino)-acetic acid ethyl ester	brown oil
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-isonicotinic acid ethyl ester	76-77

3-[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propan-1-ol	72-74
[3-Hydroxymethyl-5-(6-methyl-pyridin-2-ylethynyl)-phenyl]-methanol	115-117
(3-{2-[2-(3,5-Dimethyl-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine	yellowish gum
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-{6-[2-(3-fluoro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-methanone	156-158
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-isonicotinic acid	245-248
{6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-[4-(4-fluoro-benzoyl)-piperidin-1-yl]-methanone	109-112
2-(3-Ethynyl-phenylethynyl)-6-methyl-pyridine	48-49
(3-{2-[2-(2,6-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	207-210
(3-{2-[2-(2,3-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	161-169
4-[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-piperazine-1-carboxylic acid .tert.-butyl ester	97-99
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-piperazin-1-yl-methanone	250-252 dec
[4-(4-Azido-2-hydroxy-benzoyl)-piperazin-1-yl]-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanone	186-188 dec
(3-{2-[2-(2,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	170-176
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid ethyl ester	89-91
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid .tert.-butyl ester	94-96
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid	231 dec
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-methanol	143-146
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-methanone	156-158
3-Allyloxy-2-[2-(3,5-dichloro-phenyl)-vinyl]-6-methyl-pyridine	105-106
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-morpholin-4-yl-methanone	114-116
Acetic acid 3-(6-methyl-pyridin-2-ylethynyl)-benzyl ester	brown oil
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-ylmethyl]-dimethyl-amine	209-212
(3-{2-[2-(3,5-Dichloro-phenyl)-propenyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	182-184
2-(3-Fluoro-phenylethynyl)-3-methoxy-6-methyl-pyridine	yellowish oil

(3-{2-[2-(3,5-Dichloro-phenyl)-vinyl]-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	171-174
(4-Azido-2-hydroxy-5-iodo-phenyl)-{4-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-piperazin-1-yl}-methanone	195-200 dec
4-Azido-.N.-{3-[2-(3-chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-2-hydroxy-5-iodo-benzamide	142-150 dec
4-(2-Pyridin-2-yl-vinyl)-benzoic acid ethyl ester	100-102
(3-{2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	159-171
[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-methanol	43-45
6-(3-Fluoro-phenylethynyl)-nicotinic acid .tert.-butyl ester	96-98
(3-{2-[2-(3,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine hydrochloride salt	174-177
2-(1-Bromo-2-phenyl-vinyl)-4-methyl-pyrimidine	yellow oil
6-(3-Fluoro-phenylethynyl)-nicotinic acid	223 dec.
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[6-(3-fluoro-phenylethynyl)-pyridin-3-yl]-methanone	136.0-139.0
2-(2-.tert.-Butoxy-3,6-difluoro-phenylethynyl)-6-methyl-pyridine	72.0-74.0
2-Methyl-6-[2-(2,4,5-trifluoro-phenyl)-vinyl]-pyridine	74-76
2-Methyl-6-[2-(2,3,4-trifluoro-phenyl)-vinyl]-pyridine	79-82
3-(6-Methyl-pyridin-2-ylethynyl)-phenol	142-144
2-Methyl-6-[2-(3,4,5-trifluoro-phenyl)-vinyl]-pyridine	74-76
2-(3-Methoxy-phenylethynyl)-6-methyl-pyridine	55-57
2-Methyl-6-(2,3,4-trifluoro-phenylethynyl)-pyridine	104-106

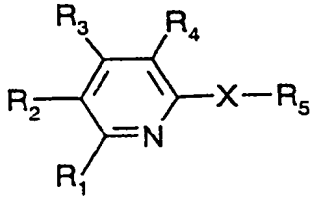
(dec = decomposition)

Claims:

1. A 2-arylalkenyl- , 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo- pyridine or a pharmaceutically acceptable salt thereof, for use in the treatment of disorders associated with irregularities of the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by mGluR5.

2. A 2-arylalkenyl- , 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo- pyridine or a pharmaceutically acceptable salt thereof, for use in the treatment of epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases.

3. A compound of formula I



(I),

wherein

R_1 denotes hydrogen, lower alkyl,hydroxy-lower alkyl, lower alkyl-amino, piperidino, carboxy, esterified carboxy, amidated carboxy, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted N-lower-alkyl-N-phenylcarbamoyl, lower alkcxy, halo-lower alkyl or halo-lower alkoxy,

R_2 denotes hydrogen, lower alkyl, carboxy, esterified carboxy, amidated carboxy, hydroxy-lower alkyl, hydroxy, lower alkoxy or lower alkanoyloxy, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 represents hydrogen, lower alkyl, carboxy, lower alkoxy-carbonyl, lower alkyl-carbamoyl, hydroxy- lower alkyl, di- lower alkyl- aminomethyl, morpholinocarbonyl or 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy,

R_4 represents hydrogen, lower alkyl, hydroxy, hydroxy-lower alkyl, amino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl, unsubstituted or hydroxy-substituted lower alkyleneamino-lower alkyl, lower alkoxy, lower alkanoyloxy, amino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy,

phthalimido-lower alkoxy, unsubstituted or hydroxy- or 2-oxo-imidazolidin-1-yl-substituted lower alkyleneamino-lower alkoxy, carboxy, esterified or amidated carboxy, carboxy-lower-alkoxy or esterified carboxy-lower-alkoxy,
X represents an optionally halo-substituted lower alkenylene or alkynylene group bonded via vicinal unsaturated carbon atoms or an azo (-N=N-) group, and
R_5 denotes an aromatic or heteroaromatic group which is unsubstituted or substituted by one or more substituents selected from lower alkyl, halo, halo-lower alkyl, halo-lower alkoxy, lower alkenyl, lower alkynyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl-lower alkynyl, hydroxy, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy, lower alkenyloxy, lower alkylenedioxy, lower alkanoyloxy, amino-, lower alkylamino-, lower alkanoylamino- or N-lower alkyl-N-lower alkanoylamino-lower alkoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted phenoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl-lower alkoxy, acyl, carboxy, esterified carboxy, amidated carboxy, cyano, carboxy-lower alkylamino, esterified carboxy-lower alkylamino, amidated carboxy-lower alkylamino, phosphono-lower alkylamino, esterified phosphono-lower alkylamino, nitro, amino, lower alkylamino, di-lower alkylamino, acylamino, N-acyl-N-lower alkylamino, phenylamino, phenyl-lower alkylamino, cycloalkyl-lower alkylamino or heteroaryl-lower alkylamino each of which may be unsubstituted or lower alkyl-lower alkoxy-, halo- and/or trifluoromethyl-substituted,
in free form or in form of a photoaffinity ligand, a radioactive marker, an N-oxide or a pharmaceutically acceptable salt,

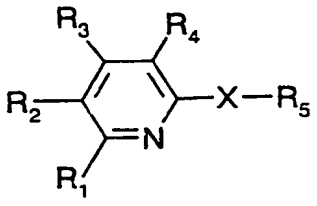
for use in the treatment of disorders associated with irregularities of the glutaminergic signal transmission, and of nervous system disorders mediated full or in part by mGluR5.

4. The use of a compound according to claim 3, in the treatment of disorders associated with irregularities of the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by mGluR5.

5. The use of a compound according to claim 3, for the manufacture of a pharmaceutical composition designed for the treatment of disorders associated with irregularities of

the glutamatergic signal transmission, and of nervous system disorders mediated full or in part by mGluR5.

6. A compound of formula I



(I),

wherein

R_1 denotes hydrogen, lower alkyl, hydroxy-lower alkyl, lower alkyl-amino, piperidino, carboxy, esterified carboxy, amidated carboxy, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted N-lower-alkyl-N-phenylcarbamoyl, lower alkoxy, halo-lower alkyl or halo-lower alkoxy,

R_2 denotes hydrogen, lower alkyl, carboxy, esterified carboxy, amidated carboxy, hydroxy-lower alkyl, hydroxy, lower alkoxy or lower alkanoyloxy, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 represents hydrogen, lower alkyl, carboxy, lower alkoxy-carbonyl, lower alkyl-carbamoyl, hydroxy- lower alkyl, di- lower alkyl- aminomethyl, morpholinocarbonyl or 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy,

R_4 represents hydrogen, lower alkyl, hydroxy, hydroxy-lower alkyl, amino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl, unsubstituted or hydroxy-substituted lower alkyleneamino-lower alkyl, lower alkoxy, lower alkanoyloxy, amino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy, phthalimido-lower alkoxy, unsubstituted or hydroxy- or 2-oxo-imidazolidin-1-yl-substituted lower alkyleneamino-lower alkoxy, carboxy, esterified or amidated carboxy, carboxy-lower-alkoxy or esterified carboxy-lower-alkoxy,

X represents an optionally halo-substituted lower alkenylene or alkynylene group bonded via vicinal unsaturated carbon atoms or an azo (-N=N-) group, and

R_5 denotes an aromatic or heteroaromatic group which is unsubstituted or substituted by one or more substituents selected from lower alkyl, halo, halo-lower alkyl, halo-lower alkoxy, lower alkenyl, lower alkynyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl, unsubstituted or lower alkyl-, lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl-lower alkynyl, hydroxy,

hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy, lower alkenyloxy, lower alkylenedioxy, lower alkanoyloxy, amino-, lower alkylamino-, lower alkanoylamino- or N-lower alkyl-N-lower alkanoylamino-lower alkoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted phenoxy, unsubstituted or lower alkyl- lower alkoxy-, halo- and/or trifluoromethyl-substituted phenyl-lower alkoxy, acyl, carboxy, esterified carboxy, amidated carboxy, cyano, carboxy-lower alkylamino, esterified carboxy-lower alkylamino, amidated carboxy-lower alkylamino, phosphono-lower alkylamino, esterified phosphono-lower alkylamino, nitro, amino, lower alkylamino, di-lower alkylamino, acylamino, N-acyl-N-lower alkylamino, phenylamino, phenyl-lower alkylamino, cycloalkyl-lower alkylamino or heteroaryl-lower alkylamino each of which may be unsubstituted or lower alkyl-lower alkoxy-, halo- and/or trifluoromethyl-substituted,
in free form or in form of a photoaffinity ligand, a radioactive marker, an N-oxide or a pharmaceutically acceptable salt,
provided that, when R_3 is hydrogen,
a) in compounds of the formula I in which R_1, R_2 and R_4 are hydrogen, R_5 is different from phenyl, monohalophenyl, 2,4- and 3,4-dichlorophenyl, 3- and 4-trifluoromethylphenyl, methylphenyl, 3,4- and 2,5-dimethylphenyl, 4-isopropylphenyl, 3,5-di-tert.-butylphenyl, methoxyphenyl, 3,4-dimethoxyphenyl, 2,4,5- and 3,4,5-trimethoxyphenyl, hydroxyphenyl, 3,5-dihydroxyphenyl, 4-hydroxy-3,5-dimethyl-phenyl, 3-hydroxy-4-methoxy- and 4-hydroxy-3-methoxy-phenyl, 4-hydroxy-(3-methyl-5-tert.-butyl-, 2- and 4-acetylaminophenyl, 3,5-diisopropyl- and 3,5-di-tert.-butyl)phenyl, 4-carboxy- and 4-ethoxycarbonylphenyl, 4-cyanophenyl, 3-methoxycarbonylphenyl, 3-carboxy-5-methoxy-phenyl, 2-pyridinyl, 5-chloro-2-pyridinyl and 6-methyl-2-pyridinyl when X denotes ethenylene, or R_5 is different from phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-bromophenyl and 2- and 4-chlorophenyl when X denotes 1,2-propylene attached to R_5 in 2-position, or R_5 is different from phenyl, 2- and 4-chlorophenyl and 3-methoxyphenyl when X denotes 1,2-propylene attached to R_5 in 1-position, or R_5 is different from 4-methoxyphenyl when X denotes 2,3-but-2-enylene or 1,2-but-1-enylene attached to R_5 in 2-position, or R_5 is different from 4-methoxyphenyl and 4-isopropyphenyl when X denotes 2,3-pent-2-enylene attached to R_5 in 3-position, or R_5 is different from phenyl, 4-methylphenyl, methoxyphenyl and 4-hydroxyphenyl when X denotes 3,4-hex-3-enylene;
b) in compounds of the formula I in which R_1 is methyl and R_2 and R_4 are hydrogen, R_5 is different from phenyl, 3-methylphenyl, 2-methoxyphenyl, 2-chlorophenyl, 4-cyanophenyl, , 2-pyridinyl and 6-methyl-2-pyridinyl when X denotes ethenylene;

c) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is carboxy, R_5 is different from phenyl, 3-methylphenyl, 4-methoxyphenyl and 4-bromophenyl when X denotes ethenylene;
d) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is methyl, R_5 is different from phenyl, 3-methoxy-, 4-methoxy- and 3,4-dimethoxyphenyl, 2-chloro- and 2,4-dichlorophenyl and 6-methyl-pyrid-2yl when X denotes ethenylene or R_5 is different from phenyl when X is 1,2-prop-1-enylene attached to R_5 in 2-position;
e) in compounds of the formula I wherein R_1 and R_2 are hydrogen and R_4 is 2-dimethylaminoethoxycarbonyl or 3-dimethylaminopropyloxycarbonyl, R_5 is different from 4-methoxyphenyl when X denotes ethenylene;
f) in compounds of the formula I in which R_1 and R_2 are hydrogen and R_4 is 2-dimethoxyethoxy, R_5 is different from phenyl, 4-methylphenyl and 4-methoxycarbonylphenyl when X denotes ethenylene;
g) R_5 is different from phenyl when R_1 and R_2 are hydrogen and R_4 is hydroxy or ethoxycarbonyl, or when R_1 and R_2 are hydrogen and R_4 is hydroxy, or when R_1 is methyl, R_2 is hydrogen and R_4 is methoxy, or R_1 is but-1-enyl, R_2 is hydrogen and R_4 is hydrogen, or R_1 is hydrogen and R_4 is 2-dimethoxyethoxy, and X is, in each case, ethenylene,
and provided that, when R_3 is hydrogen and X is ethynylene,
a') R_5 is different from phenyl, 2- and 4-nitrophenyl, 4-aminophenyl, 4-chlorophenyl, 4-methylphenyl, 4-methoxyphenyl, 4-ethoxycarbonylphenyl, 5-formyl-2-methoxy-phenyl, 5-carboxy-2-methyo-phenyl and pyridyl when R_1, R_2 and R_4 are hydrogen;
b') in compounds of the formula I in which R_2 and R_4 are hydrogen, R_5 is different from phenyl, 3-methylphenyl. 6-methylpyridin-2-yl and 2-methoxyphenyl when R_1 is methyl, R_5 is different form 6-bromopyridin-2-yl when R_1 is bromo, and R_5 is different form 6-hexyloxypyridin-2-yl when R_1 denotes hexyloxy;
c') in compounds of the formula I wherein R_1 and R_4 are hydrogen, R_5 is different from phenyl, 4-aminophenyl and 4-propylphenyl when R_2 is methyl, R_5 is different from phenyl, 4-cyanophenyl and 4-pentylphenyl when R_2 is ethyl, R_5 is different form 3-cyano-4-ethoxy-phenyland 3-bromo-4-methoxy-phenyl when R_2 is butyl, R_5 is different from 4-methoxyphenyl and 4 butyloxyphenyl when R_2 is pentyl, R_5 is different form 4-ter.-butylphenyl, 3-tert.-butyl-4-hydroxy-phenyl, 4-tert.-butyl-3-hydroxy-phenyl,and 4-hexyloxyphenyl when R_2 is carboxy, R_5 is different from phenyl when R_2 is methoxycarbonyl or methylcarbamoyl, R_4 is different form 3-tert.-butylphenyl, 3-tert.-butyl-4-hydroxy-phenyl and 4-(4-methylpentyl)phenyl when R_2 is ethoxycarbonyl, and R_5 is different from 4-pentyloxyphenyl when R_2 is 2-methylbutyloxycarbonyl;

d') in compounds of the formula I wherein R_1 and R_2 are hydrogen, R_5 is different from phenyl when R_4 is hydroxy, methyl, ethyl, carboxy, methoxycarbonyl or carbamoyl.

7. A compound according to claim 6, wherein

X represents an optionally halo-substituted (C_{2-4})alkenylene or alkynylene group bonded via vicinal unsaturated carbon atoms,

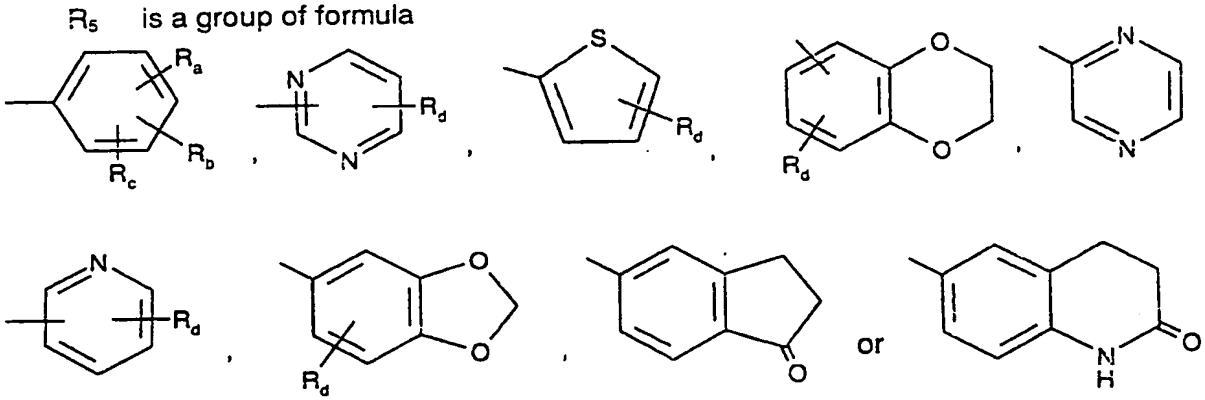
R_1 is hydrogen, (C_{1-4}) alkyl, (C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, cyano, ethynyl, carboxy, (C_{1-4})alkoxycarbonyl, di(C_{1-4})alkylamino, (C_{1-6})alkylaminocarbonyl, trifluoromethylphenylaminocarbonyl,

R_2 is hydrogen, hydroxy, (C_{1-4}) alkyl, hydroxy (C_{1-4}) alkyl, (C_{1-4}) alkoxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4}) alkoxycarbonyl, di(C_{1-4})alkylamino(C_{1-4})alkanoyl, di(C_{1-4})alkylaminomethyl, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 is hydrogen, (C_{1-4}) alkyl, carboxy, (C_{1-4})alkoxycarbonyl, (C_{1-4})alkylcarbamoyl, hydroxy(C_{1-4})alkyl, di(C_{1-4})alkylaminomethyl, morpholinocarbonyl or 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy,

R_4 is hydrogen, hydroxy, (C_{1-4})alkoxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxycarbonyl, amino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkyl, carboxy (C_{1-4})alkylcarbonyl, (C_{1-4})alkoxycarbonyl-(C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, di(C_{1-4})alkylamino(C_{1-4})alkoxy, m-hydroxy-p-azidophenylcarbonylamino(C_{1-4})alkoxy, and

R_5 is a group of formula



wherein

R_a and R_b independently are hydrogen, hydroxy, halogen, nitro, cyano, carboxy, (C_{1-4})alkyl, (C_{1-4})alkoxy, hydroxy(C_{1-4})alkyl, (C_{1-4})alkoxycarbonyl, (C_{2-7})alkanoyl,

(C_{2-5})alkanoyloxy, (C_{2-5})alkanoyloxy(C_{1-4})alkyl, trifluoromethyl, trifluoromethoxy, trimethylsilylethynyl, (C_{2-5})alkynyl, amino, azido, amino (C_{1-4})alkoxy, (C_{2-5})alkanoylamino(C_{1-4})alkoxy, (C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkoxy, (C_{1-4})alkylamino, di(C_{1-4})alkylamino, monohalobenzylamino, thienylmethylamino, thienylcarbonylamino, trifluoromethylphenylaminocarbonyl, tetrazolyl, (C_{2-5})alkanoylamino, benzylcarbonylamino, (C_{1-4})alkylamino-carbonylamino, (C_{1-4})alkoxycarbonyl-aminocarbonylamino or (C_{1-4})alkylsulfonyl,

R_c is hydrogen, fluorine, chlorine, bromine, hydroxy, (C_{1-4})alkyl, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxy or cyano, and

R_d is hydrogen, halogen or (C_{1-4})alkyl.

8. A compound according to claim 6, wherein

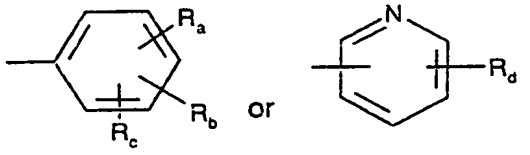
R_1 is hydrogen, (C_{1-4}) alkyl, (C_{1-4})alkoxy, cyano, ethynyl or di(C_{1-4})alkylamino,

R_2 is hydrogen, hydroxy, carboxy, (C_{1-4}) alkoxycarbonyl, di(C_{1-4})alkylaminomethyl, 4-(4-fluoro-benzoyl)-piperidin-1-yl-carboxy, 4-t.-butyloxycarbonyl-piperazin-1-yl-carboxy, 4-(4-azido-2-hydroxybenzoyl)-piperazin-1-yl-carboxy or 4-(4-azido-2-hydroxy-3-iodo-benzoyl)-piperazin-1-yl-carboxy,

R_3 is as defined in claim 7,

R_4 is hydrogen, hydroxy, carboxy, (C_{2-5})alkanoyloxy, (C_{1-4})alkoxycarbonyl, amino (C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkoxy, di(C_{1-4})alkylamino(C_{1-4})alkyl or hydroxy(C_{1-4})alkyl, and

R_5 is a group of formula



wherein

R_a and R_b independently are hydrogen, halogen, nitro, cyano, (C_{1-4})alkyl, (C_{1-4})alkoxy, trifluoromethyl, trifluoromethoxy or (C_{2-5})alkynyl, and

R_c and R_d are as defined in claim 7.

9. A compound according to claim 6, selected from

3-[2-(6-Methylpyridin-2-yl)-vinyl]-benzonitrile

2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile

2-Methyl-6-[2-(pyridin-4-yl)-vinyl]-pyridine
2-Methyl-6-[2-(pyridin-3-yl)-vinyl]-pyridine
2-[2-(3-Bromophenyl)ethynyl]-6-methyl-pyridine
3-[2-(6-Methylpyridin-2-yl)ethynyl]-benzonitrile
2-Styryl-pyridin-3-ol
2-Methyl-6-[2-(3-nitro-phenyl)-vinyl]-pyridine
Acetic acid 6-[2-(2-chloro-phenyl)-vinyl]-pyridin-3-yl ester
6-[2-(2-Chloro-phenyl)-vinyl]-pyridin-3-ol
Acetic acid 2-[2-(2-chloro-phenyl)-vinyl]-pyridin-3-yl ester
2-[2-(2-Chloro-phenyl)-vinyl]-pyridin-3-ol
6-Methyl-2-styryl-pyridin-3-ol
Acetic acid 2-[2-(2-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester
2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol
(Z)-6-Methyl-2-styryl-pyridin-3-ol
2-[2-(2-Nitro-phenyl)-vinyl]-pyridine
Acetic acid 2-[2-(4-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester
Acetic acid 6-[2-(4-chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester
2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol
6-[2-(4-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol
Acetic acid 6-methyl-2-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-yl ester
6-Methyl-2-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-ol
Acetic acid 2-methyl-6-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-yl ester
2-Methyl-6-[2-(2-nitro-phenyl)-vinyl]-pyridin-3-ol
Acetic acid 2-[2-(3-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester
Acetic acid 6-[2-(3-chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester
2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol
6-[2-(3-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol
(Z)-(6-Styryl-pyridin-2-yl)-methanol
(E)-(6-Styryl-pyridin-2-yl)-methanol
Dimethyl-[3-(6-methyl-2-styryl-pyridin-3-yloxy)-propyl]-amine;
2-Methyl-6-styryl-pyridine 1-oxide
2-Styryl-pyridine 1-oxide
(E)-6-Methyl-2-(2-pyridin-2-yl-vinyl)-pyridin-3-ol
(Z)-6-Methyl-2-(2-pyridin-2-yl-vinyl)-pyridin-3-ol;
6-Styryl-pyridine-2-carbonitrile
2-[2-(2,6-Dichloro-phenyl)-vinyl]-6-methyl-pyridine

3-Methoxy-6-methyl-2-styryl-pyridine

6-Styryl-pyridine-2-carboxylic acid amide

2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzonitrile

6-Styryl-pyridine-2-carboxylic acid;

6-Styryl-pyridine-2-carboxylic acid methyl ester

Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenol

Acetic acid 2-methoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridine

2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridine

2-[2-(2-Chloro-phenyl)-vinyl]-5-ethyl-pyridine

1-(6-Styryl-pyridin-2-yl)-ethanone

6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-nicotinic acid ethyl ester

2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-nicotinic acid ethyl ester

2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid;

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid

4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid methyl ester

4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-benzoic acid methyl ester

2-Methoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol

{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-methanol;

6-Styryl-pyridine-2-carboxylic acid .tert.-butylamide

2-(2-Bromo-2-phenyl-vinyl)-6-methyl-pyridine;

6-Styryl-pyridine-2-carboxylic acid hexylamide;

6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-nicotinic acid

2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-nicotinic acid

2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridine

2-Methyl-6-[2-(3-trifluoromethyl-phenyl)-vinyl]-pyridine

(E)-6-[2-(4-Pyridyl)vinyl]-2-picoline

N,N-Diethyl-3-[2-(6-methyl-pyridin-2-yl)-vinyl]-benzamide;

N,N-Diethyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-benzamide;

(E)-6-[2-(3-pyridyl)vinyl]-2-Picoline

{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetic acid ethyl ester

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-.N.-(3-trifluoromethyl-phenyl)-benzamide;

4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-.N.-(3-trifluoromethyl-phenyl)-benzamide

2-[2-(3-Nitro-phenyl)-vinyl]-pyridine

6-Styryl-pyridine-2-carboxyiic acid (3-trifluoromethyl-phenyl)-amide
2-(6-Styryl-pyridin-2-yl)-propan-2-ol
2-Methyl-6-(2-thiophen-2-yl-vinyl)-pyridine
2-[2-(3-Cyano-phenyl)-vinyl]-pyridine
2-[2-(3-Bromo-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Bromo-phenyl)-2-fluoro-vinyl]-6-methyl-pyridine
2-[2-(3,5-Dimethylphenyl)-2-fluoro-vinyl]-6-methyl-pyridine
2-[2-(2,3-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(2,3-Dichloro-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Chloro-phenyl)-1-methyl-vinyl]-pyridine
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl}-methanol
2-Methyl-6-[2-(3-trimethylsilanylethynyl-phenyl)-vinyl]-pyridine
2-[2-(3,4-Difluoro-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Ethynyl-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3,5-Difluoro-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Methoxy-phenyl)-vinyl]-6-methyl-pyridine
2-Methyl-6-[2-(3-phenoxy-phenyl)-vinyl]-pyridine
2-[2-(3-Benzyloxy-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(2,5-Difluoro-phenyl)-vinyl]-6-methyl-pyridine
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetic acid
(3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
{6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-methanol
2-(3-Bromo-phenylethynyl)-6-methyl-pyridine
2-Methyl-6-{2-[3-(3-trifluoromethyl-phenoxy)-phenyl]-vinyl}-pyridine
2-[2-(3,5-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3-Chloro-phenyl)-vinyl]-3-methoxy-6-methyl-pyridine
Acetic acid 4-bromo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester
Acetic acid 3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester
2-[2-(3,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridine
4-Bromo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
Acetic acid 2-[2-(3,5-dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl ester
Acetic acid 6-[2-(3,5-dichloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl ester
Acetic acid 2-[2-(3,5-dichloro-phenyl)-vinyl]-pyridin-3-yl ester
2-Methyl-6-(2-naphthalen-1-yl-vinyl)-pyridine
2-[2-(2,3-Dihydro-benzo[1,4]dioxin-6-yl)-vinyl]-6-methyl-pyridine

2-Methyl-6-(2-naphthalen-2-yl-vinyl)-pyridine

2-{2-[3-(3,5-Dichloro-phenoxy)-phenyl]-vinyl}-6-methyl-pyridine

2-[2-(3-Chloro-phenyl)-propenyl]-6-methyl-pyridine

2-[2-(2,3-Dihydro-benzofuran-5-yl)-vinyl]-6-methyl-pyridine

2-[2-(4-Fluoro-phenyl)-vinyl]-6-methyl-pyridine

2-Methyl-6-(2-o-tolyl-vinyl)-pyridine

2-Methyl-6-(2-p-tolyl-vinyl)-pyridine

2-Methyl-6-(2-p-tolyl-propenyl)-pyridine

3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamine

(2,3-Dimethoxy-7-nitro-quinoxalin-5-ylmethyl)-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine

N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide

N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-2-phenyl-acetamide

2,2-Dimethyl-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-propionamide

Thiophene-2-carboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide

Cyclohexanecarboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide

1-(4-Bromo-phenyl)-3-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea

2-Methyl-6-[2-(4-nitro-phenyl)-vinyl]-pyridine

4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamine

2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-ol

6-[2-(3,5-Dichloro-phenyl)-vinyl]-2-methyl-pyridin-3-ol

2-[2-(3,5-Dichloro-phenyl)-vinyl]-pyridin-3-ol

2-[2-(6-Chloro-benzo[1,3]dioxol-5-yl)-vinyl]-6-methyl-pyridine

2-[2-(2,3-Difluoro-phenyl)-vinyl]-6-methyl-pyridine

2-[2-(3,4-Dichloro-phenyl)-propenyl]-6-methyl-pyridine

2-[2-(3,5-Bis-trifluoromethyl-phenyl)-vinyl]-6-methyl-pyridine

Acetic acid 2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

2-Methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol

2-Methyl-6-[2-(2,3,6-trifluoro-phenyl)-vinyl]-pyridine

2-[2-(4-Fluoro-3-trifluoromethyl-phenyl)-vinyl]-6-methyl-pyridine

2-Methyl-6-(2,3,6-trifluoro-phenylethynyl)-pyridine

Acetic acid 4-chloro-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

Acetic acid 2,6-di-.tert.-butyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

3-(6-Methyl-pyridin-2-ylethynyl)-benzamide

Acetic acid 4-bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl ester

2-(6-Chloro-benzo[1,3]dioxol-5-ylethynyl)-6-methyl-pyridine

2-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-6-methyl-pyridine
2-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-pyridine
5-Azido-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
2-[2-(Pyridin-3-yl)ethynyl]-6-methyl-pyridine
N-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-succinamic acid
1-tert.-Butyl-3-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea
5-({3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamino}-methyl)-7-nitro-1,4-dihydro-quinoxaline-2,3-dione
Tetrahydro-furan-2-carboxylic acid {3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amide
(1-{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-2-phenyl-ethyl)-carbamic acid tert.-butyl ester
({3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-methyl)-carbamic acid tert.-butyl ester
Diethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine
Ethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine
Ethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine
2-(2-Ethoxy-3,6-difluoro-phenylethynyl)-6-methyl-pyridine
2-(3,5-Difluoro-phenylethynyl)-6-methyl-pyridine
2-(3-Fluoro-phenylethynyl)-6-methyl-pyridine
2-[2-(3,5-Dimethyl-phenyl)-vinyl]-6-methyl-pyridine
2-[2-(3,4-Dimethoxy-phenyl)-vinyl]-6-methyl-pyridine
2-(3,4-Dichloro-phenylethynyl)-6-methyl-pyridine
2-(4-Ethoxy-3-trifluoromethyl-phenylethynyl)-6-methyl-pyridine
2-(4-Fluoro-phenylethynyl)-6-methyl-pyridine
2-Methyl-6-o-tolylethynyl-pyridine
2-(3,4-Difluoro-phenylethynyl)-6-methyl-pyridine
2-Methyl-6-[2-(2,3,5-trichloro-phenyl)-vinyl]-pyridine
1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-ethanone
2-Methyl-6-(3-trifluoromethyl-phenylethynyl)-pyridine
2-Methyl-6-(3-nitro-phenylethynyl)-pyridine
6-[2-(3,5-Dichloro-phenyl)-vinyl]-3-methoxy-2-methyl-pyridine
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yl}-morpholin-4-yl-methanone
(3-{2-[2-(3,5-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
N-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-succinamic acid
N-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-2-phenyl-acetamide
({4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylcarbamoyl}-methyl)-carbamic acid .tert.-butyl ester
1-(tert.-Butyl-3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-urea

{3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-thiophen-2-ylmethyl-amine hydrochloride salt
Cyclohexylmethyl-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine hydrochloride salt
{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-thiophen-2-ylmethyl-amine
Cyclohexylmethyl-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-amine
2-Amino-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-3-phenyl-propionamide
2-Amino-N-{3-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide
2-Amino-N-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-acetamide
1-[1-({2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-acetyl)-piperidin-4-yl]-imidazolidin-2-one
(1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenylamino}-ethyl)-phosphonic acid dimethyl ester
2-(3-Ethoxy-4-fluoro-phenylethynyl)-6-methyl-pyridine
2-(3-Chloro-phenylethynyl)-6-methyl-pyridine
1-(3-Pyridin-2-ylethynyl-phenyl)-ethanone
4-Chloro-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
4-Bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
2-(2,5-Difluoro-phenylethynyl)-6-methyl-pyridine
2-(3,5-Dimethyl-phenylethynyl)-6-methyl-pyridine
2-[2-(3,5-Dibromo-phenyl)-vinyl]-6-methyl-pyridine
3-(6-Methyl-pyridin-2-ylethynyl)-benzonitrile
2-Methyl-6-[2-(pyrimidin-5-yl)-ethynyl]-pyridine
(2-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-ethyl)-dimethyl-amine
Acetic acid 1-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenyl}-ethyl ester
3-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenol
3-(6-Methyl-pyridin-2-ylethynyl)-phenylamine
.N.-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-2-phenyl-acetamide
Thiophene-2-carboxylic acid [3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-amide
2-Methyl-6-thiophen-2-ylethynyl-pyridine
3-(6-Methyl-pyridin-2-ylethynyl)-benzoic acid ethyl ester
2-(3,5-Dibromo-phenylethynyl)-6-methyl-pyridine
{2-[2-(2-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-ylmethyl}-dimethyl-amine
(3-{6-[2-(3-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yloxy}-propyl)-dimethyl-
5-Azido-4-iodo-2-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
2,6-Di-tert.-butyl-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-ethanol
2-Methyl-6-[2-(pyrimidin-2-yl)-ethynyl]-pyridine
[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-phenyl-methanone

6-(6-Methyl-pyridin-2-ylethynyl)-3,4-dihydro-1H-quinolin-2-one
2-(3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-isoindole-1,3-dione
3-Methoxy-6-methyl-2-.m.-tolylethynyl-pyridine
Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-4-nitro-phenyl ester
6-(6-Methyl-pyridin-2-ylethynyl)-indan-1-one
2-Methyl-6-[2-(pyrazin-2-yl)-ethynyl]-pyridine
N-Methyl-.N.-(3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-acetamide
2-[2-(3,5-Bis-trifluoromethyl-phenyl)-1-ethoxy-vinyl]-6-methyl-pyridine
Acetic acid 2-phenylethynyl-pyridin-3-yl ester
Acetic acid 6-methyl-2-m-tolylethynyl-pyridin-3-yl ester
Acetic acid 4-[2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenyl ester
2-[2-(6-Methyl-pyridin-2-yl)-vinyl]-4-nitro-phenol
Dimethyl-[3-(2-phenylethynyl-pyridin-3-yloxy)-propyl]-amine
Dimethyl-(3-{4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-amine
1-{4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-phenyl}-ethanone
2-(3-Fluoro-phenylethynyl)-quinoline
Acetic acid 2-methyl-6-styryl-pyridin-3-yl ester
4-[2-(6-Methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol
3-Ethoxy-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol
4-(6-Methyl-pyridin-2-ylethynyl)-2-nitro-phenol
Acetic acid 2-[2-(6-methyl-pyridin-2-yl)-vinyl]-6-nitro-phenyl ester
Dimethyl-[3-(6-methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-amine
2-Azido-4-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenol
Dimethyl-[3-(6-methyl-2-.m.-tolylethynyl-pyridin-3-yloxy)-propyl]-amine
2-(3-Methanesulfonyl-phenylethynyl)-6-methyl-pyridine
3-{2-[2-(3-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propylamine
4-Azido-N-(3-{2-[2-(3-chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-2-hydroxy-benzamide
3-[3-(3-Dimethylamino-propoxy)-6-methyl-pyridin-2-ylethynyl]-benzonitrile
5-(6-Methyl-pyridin-2-ylethynyl)-indan-1-one
2-Methyl-6-(2,3,5-trichloro-phenylethynyl)-pyridine
2-[2-(6-methyl-pyridin-3-yl)ethynyl]-6-methyl-pyridine
Dimethyl-{3-[6-methyl-2-(3-trifluoromethyl-phenylethynyl)-pyridin-3-yloxy]-propyl}-amine
2-[2-(6-methyl-pyridin-3-yl)ethynyl]-3-methoxy 6-methyl-pyridine hydrochloride salt
2-Methyl-6-(5,6,7,8-tetrahydro-naphthalen-2-ylethynyl)-pyridine
3-[2-(3-Chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propylamine

(3-{4-Bromo-2-methoxy-6-[2-(6-methyl-pyridin-2-yl)-vinyl]-phenoxy}-propyl)-dimethyl-amine;

[6-(3-Fluoro-phenylethynyl)-pyridin-2-yl]-dimethyl-amine

6'-(3-Fluoro-phenylethynyl)-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl

{3-[2-(3-Chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-dimethyl-amine

4-Azido-N-{3-[2-(3-chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-2-hydroxy-benzamide

1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-1H-[1,2,4]triazole-3-carboxylic acid ethyl ester

1-[3-(6-Methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-piperidin-3-ol

2-Ethynyl-6-(3-fluoro-phenylethynyl)-pyridine

3-Methyl-6-(6-methyl-pyridin-2-ylethynyl)-3H-benzooxazol-2-one

1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-1H-[1,2,4]triazole-3-carboxylic acid

1-[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-1H-[1,2,4]triazole-3-carboxylic acid dimethylamide

1-[3-(6-Methyl-2-phenylethynyl-pyridin-3-yloxy)-propyl]-piperidin-4-ol

5-(6-Methyl-pyridin-2-ylethynyl)-2-nitro-phenol

5-[2-Bromo-2-(6-methyl-pyridin-2-yl)-vinyl]-2-nitro-phenol

5-[2-(6-Methyl-pyridin-2-yl)-E-vinyl]-2-nitro-phenol

5-[2-(6-Methyl-pyridin-2-yl)-Z-vinyl]-2-nitro-phenol

4-Azido-2-hydroxy-N-[3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-benzamide

5-(3-Dimethylamino-propoxy)-6-phenylethynyl-pyridine-2-carboxylic acid ethyl ester

6-Methyl-2-styryl-pyrimidin-4-ol

2-Ethyl-6-(3-fluoro-phenylethynyl)-pyridine

2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridine

2-Methyl-6-(3-trifluoromethoxy-phenylethynyl)-pyridine

2-Methyl-6-(3-[1,2,4]triazol-1-yl-phenylethynyl)-pyridine

4-(6-Methyl-pyridin-2-ylethynyl)-phthalonitrile

2-Methyl-6-{2-[3-(1H-tetrazol-5-yl)-phenyl]-vinyl}-pyridine; compound with formic acid

3-[2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propylamine

{3-[2-(3,5-Dichloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-dimethyl-amine

2-(3,5-Dimethyl-phenylethynyl)-3-methoxy-6-methyl-pyridine

2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridin-3-ol

6-(3-Fluoro-phenylethynyl)-2-methyl-nicotinic acid ethyl ester

2-Azido-5-(6-methyl-pyridin-2-ylethynyl)-phenol

6-(3,4-Dimethoxy-phenylethynyl)-5-(3-dimethylamino-propoxy)-pyridine-2-carboxylic acid ethyl ester

2-(4-Methoxy-3-trifluoromethyl-phenylethynyl)-6-methyl-pyridine

2-(3-Fluoro-phenylethynyl)-6-methoxy-pyridine

2-(3-Fluoro-phenylethynyl)-5-methyl-pyridine
6-(3,5-Dichloro-phenylethynyl)-5-(3-dimethylamino-propoxy)-pyridine-2-carboxylic acid ethyl ester
5-(3-Dimethylamino-propoxy)-6-(3,5-dimethyl-phenylethynyl)-pyridine-2-carboxylic acid ethyl ester
6-(3-Fluoro-phenylethynyl)-2-methyl-nicotinic acid
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanol
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanone
2-(3-Fluoro-phenylethynyl)-6-methyl-nicotinic acid ethyl ester
2-(3-Fluoro-phenylethynyl)-4,6-dimethyl-pyridine
6-(3-Fluoro-phenylethynyl)-.N.-(5-methoxy-indan-2-ylmethyl)-2-methyl-nicotinamide
{[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-amino}-phenyl-acetic acid methyl ester
2-Methyl-6-(5-methyl-thiophen-2-ylethynyl)-pyridine
2-Methyl-6-(2,3,5-trimethyl-phenylethynyl)-pyridine
3-{2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propan-1-ol
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-ylmethyl]-dimethyl-amine
2,2-Dimethyl-propionic acid 3-[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl ester
2-Azido-4-iodo-5-(6-methyl-pyridin-2-ylethynyl)-phenol
6-Azido-2,4-diiodo-3-(6-methyl-pyridin-2-ylethynyl)-phenol
4-Azido-2-hydroxy-5-iodo-.N.-[3-(6-methyl-pyridin-2-ylethynyl)-phenyl]-benzamide
Acetic acid 3-acetoxymethyl-5-(6-methyl-pyridin-2-ylethynyl)-benzyl ester
(Benzyl-{[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-acetyl}-amino)-acetic acid ethyl ester
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-isonicotinic acid ethyl ester
3-[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propan-1-ol
[3-Hydroxymethyl-5-(6-methyl-pyridin-2-ylethynyl)-phenyl]-methanol
(3-{2-[2-(3,5-Dimethyl-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-{6-[2-(3-fluoro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-methanone
2-[2-(3-Fluoro-phenyl)-vinyl]-6-methyl-isonicotinic acid
{6-[2-(2-Chloro-phenyl)-vinyl]-2-methyl-pyridin-3-yl}-[4-(4-fluoro-benzoyl)-piperidin-1-yl]-methanone
2-(3-Ethynyl-phenylethynyl)-6-methyl-pyridine

(3-{2-[2-(2,6-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
(3-{2-[2-(2,3-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
4-[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-piperazine-1-carboxylic acid tert.-butyl ester
[6-(3-Fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-piperazin-1-yl-methanone
[4-(4-Azido-2-hydroxy-benzoyl)-piperazin-1-yl]-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridin-3-yl]-methanone
(3-{2-[2-(2,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid ethyl ester
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid .tert.-butyl ester
2-(3-Fluoro-phenylethynyl)-6-methyl-isonicotinic acid
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-methanol
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[2-(3-fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-methanone
3-Allyloxy-2-[2-(3,5-dichloro-phenyl)-vinyl]-6-methyl-pyridine
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-yl]-morpholin-4-yl-methanone
Acetic acid 3-(6-methyl-pyridin-2-ylethynyl)-benzyl ester
[2-(3-Fluoro-phenylethynyl)-6-methyl-pyridin-4-ylmethyl]-dimethyl-amine
(3-{2-[2-(3,5-Dichloro-phenyl)-propenyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
2-(3-Fluoro-phenylethynyl)-3-methoxy-6-methyl-pyridine
(3-{2-[2-(3,5-Dichloro-phenyl)-vinyl]-pyridin-3-yloxy}-propyl)-dimethyl-amine
(4-Azido-2-hydroxy-5-iodo-phenyl)-{4-[6-(3-fluoro-phenylethynyl)-2-methyl-pyridine-3-carbonyl]-piperazin-1-yl}-methanone
4-Azido-N-{3-[2-(3-chloro-phenylethynyl)-6-methyl-pyridin-3-yloxy]-propyl}-2-hydroxy-5-iodo-benzamide
4-(2-Pyridin-2-yl-vinyl)-benzoic acid ethyl ester
(3-{2-[2-(4-Chloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
[3-(6-Methyl-pyridin-2-ylethynyl)-phenyl]-methanol
6-(3-Fluoro-phenylethynyl)-nicotinic acid tert.-butyl ester
(3-{2-[2-(3,4-Dichloro-phenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethyl-amine
2-(1-Bromo-2-phenyl-vinyl)-4-methyl-pyrimidine
6-(3-Fluoro-phenylethynyl)-nicotinic acid
[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-[6-(3-fluoro-phenylethynyl)-pyridin-3-yl]-methanone
2-(2-.tert.-Butoxy-3,6-difluoro-phenylethynyl)-6-methyl-pyridine
2-Methyl-6-[2-(2,4,5-trifluoro-phenyl)-vinyl]-pyridine
2-Methyl-6-[2-(2,3,4-trifluoro-phenyl)-vinyl]-pyridine

3-(6-Methyl-pyridin-2-ylethynyl)-phenol
2-Methyl-6-[2-(3,4,5-trifluoro-phenyl)-vinyl]-pyridine
2-(3-Methoxy-phenylethynyl)-6-methyl-pyridine
2-Methyl-6-(2,3,4-trifluoro-phenylethynyl)-pyridine
and pharmaceutically acceptable salts thereof.

10. (3-{2-[2-trans-(3,5-dichlorophenyl)-vinyl]-6-methyl-pyridin-3-yloxy}-propyl)-dimethylamine in free form or in form of a pharmaceutically acceptable salt.

11. A pharmaceutical composition comprising as pharmaceutical active ingredient, together with customary pharmaceutical excipients, a compound according to any of claims 6 to 10, in free form or in form of a pharmaceutically acceptable salt.

12. A method of treating disorders mediated full or in part by mGluR1 or mGluR5, which method comprises administering to a warm-blooded organism in need of such treatment a therapeutically effective amount of an 2-arylalkenyl- , 2-heteroarylalkenyl-, 2-arylalkynyl-, 2-heteroarylalkynyl-, 2-arylazo- and 2-heteroarylazo- pyridine or a pharmaceutically acceptable salt thereof.